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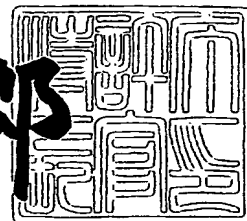
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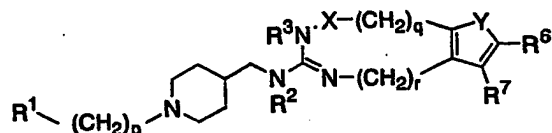
【書類名】 明細書

【発明の名称】 CCR3拮抗作用を有するピペリジン誘導体

【特許請求の範囲】

【請求項1】 下記式(I)

【化1】



(I)

[式中、 $R^1$ はフェニル基、 $C_3 \sim C_8$ シクロアルキル基、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基を表わし、

$R^1$ におけるフェニル基又は芳香族複素環基は、ベンゼン環、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基と縮合して縮合環を形成してもよく、

$R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環は、無置換或いはハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、 $C_1 \sim C_6$ アルキル基、 $C_3 \sim C_8$ シクロアルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、 $C_3 \sim C_5$ アルキレン基、 $C_2 \sim C_4$ アルキレンオキシ基、 $C_1 \sim C_3$ アルキレンジオキシ基、フェニル基、フェノキシ基、フェニルチオ基、ベンジル基、ベンジルオキシ基、ベンゾイルアミノ基、ホルミル基、 $C_2 \sim C_7$ アルカノイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、 $C_2 \sim C_7$ アルカノイルオキシ基、 $C_2 \sim C_7$ アルカノイルアミノ基、 $C_1 \sim C_6$ アルキルスルホニル基、 $C_3 \sim C_8$ （アルコキシカルボニル）メチル基、アミノ基、モノ（ $C_1 \sim C_6$ アルキル）アミノ基、ジ（ $C_1 \sim C_6$ アルキル）アミノ基、カルバモイル基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_4 \sim C_9$ N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、ピペリジルカルボニル基、モルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボ



ニル基、N-メトキシカルバモイル基、(ホルミル)アミノ基、又はウレイド基で置換されてもよく、

$R^1$ のフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基は、無置換或いは $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_2 \sim C_6$ アルキニル基、フェニル基、 $C_3 \sim C_5$ アルキレン基、 $C_3 \sim C_8$ シクロアルキル基、 $C_3 \sim C_8$ シクロアルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、アミノ基、モノ( $C_1 \sim C_6$ アルキル)アミノ基、ジ( $C_1 \sim C_6$ アルキル)アミノ基、ピロリジニル基、ピペリジル基、 $C_3 \sim C_7$ ラクタム基、カルバモイル基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、カルボキシル基、ヒドロキシ基、ベンゾイル基、シアノ基、トリフルオロメチル基、ハロゲン原子、又はtert-ブトキシカルボニルアミノ基によって置換されていてもよい。

ただし、 $R^1$ が $C_3 \sim C_8$ シクロアルキル基の場合、その置換基には、アミノ基、モノ( $C_1 \sim C_6$ アルキル)アミノ基、又はジ( $C_1 \sim C_6$ アルキル)アミノ基を含まない。

pは、1～6の整数を表す。

$R^2$ 及び $R^3$ は、同一又は異なって、水素原子、 $C_1 \sim C_6$ アルキル基、又はフェニル基を表わし、 $R^2$ 及び $R^3$ における $C_1 \sim C_6$ アルキル基又はフェニル基は、無置換或いはハロゲン原子、ヒドロキシ基、 $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_7$ アルコキシカルボニル基、アミノ基、カルバモイル基、カルボキシル基、シアノ基又は $C_1 \sim C_6$ アルコキシ基によって置換されてもよい。

Xは、 $-\text{CO}-$ 、 $-\text{SO}_2-$ 、 $-\text{CH}_2-$ 、 $-\text{CS}-$ 、又は単結合を表す。

qは、0又は1を表す。

rは、0又は1を表す。

Yは、 $-(R^4)C=C(R^5)-$ 、 $-\text{S}-$ 、又は $-\text{NR}^8-$ を表す。

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ は、同一又は異なって、水素原子、ハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシル基、 $C_1 \sim C_6$ アルキル基、 $C_3 \sim C_8$ シクロアルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、 $C_3 \sim C_5$ アルキレン基、 $C_2 \sim C_4$ アルキレンオキシ基、 $C_1 \sim$

C<sub>3</sub>アルキレンジオキシ基、フェニル基、フェノキシ基、フェニルチオ基、ベンジル基、ベンジルオキシ基、ベンゾイルアミノ基、ホルミル基、C<sub>2</sub>~C<sub>7</sub>アルカノイル基、C<sub>2</sub>~C<sub>7</sub>アルコキシカルボニル基、C<sub>2</sub>~C<sub>7</sub>アルカノイルオキシ基、C<sub>2</sub>~C<sub>7</sub>アルカノイルアミノ基、C<sub>4</sub>~C<sub>10</sub>シクロアルカノイルアミノ基、C<sub>3</sub>~C<sub>7</sub>アルケノイルアミノ基、C<sub>1</sub>~C<sub>6</sub>アルキルスルホニル基、C<sub>1</sub>~C<sub>6</sub>アルキルスルホニルアミノ基、C<sub>3</sub>~C<sub>8</sub>（アルコキシカルボニル）メチル基、アミノ基、モノ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、ジ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、カルバモイル基、C<sub>2</sub>~C<sub>7</sub>N-アルキルカルバモイル基、C<sub>4</sub>~C<sub>9</sub>N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、N-（C<sub>7</sub>~C<sub>12</sub>フェニルアルキル）カルバモイル基、ピペリジルカルボニル基、モルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボニル基、N-メトキシカルバモイル基、（ホルミル）アミノ基、（チオホルミル）アミノ基、ウレイド基、又はチオウレイド基を表し、

R<sup>4</sup>、R<sup>5</sup>、R<sup>6</sup>及びR<sup>7</sup>における前記基は、無置換或いはC<sub>1</sub>~C<sub>6</sub>アルキル基、C<sub>2</sub>~C<sub>6</sub>アルケニル基、C<sub>2</sub>~C<sub>6</sub>アルキニル基、フェニル基、C<sub>3</sub>~C<sub>5</sub>アルキレン基、C<sub>3</sub>~C<sub>8</sub>シクロアルキル基、C<sub>3</sub>~C<sub>8</sub>シクロアルケニル基、C<sub>1</sub>~C<sub>6</sub>アルコキシ基、（C<sub>1</sub>~C<sub>6</sub>アルコキシ）（C<sub>1</sub>~C<sub>6</sub>アルコキシ）基、フェニル（C<sub>1</sub>~C<sub>6</sub>アルコキシ）基、C<sub>1</sub>~C<sub>6</sub>アルキルチオ基、アミノ基、モノ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、ジ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、ピロリジニル基、ピペリジル基、（C<sub>2</sub>~C<sub>7</sub>アルカノイル）ピペリジル基、C<sub>3</sub>~C<sub>7</sub>ラクタム基、カルバモイル基、C<sub>2</sub>~C<sub>7</sub>N-アルキルカルバモイル基、C<sub>4</sub>~C<sub>9</sub>N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、N-（C<sub>7</sub>~C<sub>12</sub>フェニルアルキル）カルバモイル基、C<sub>2</sub>~C<sub>7</sub>アルカノイルアミノ基、C<sub>2</sub>~C<sub>7</sub>アルコキシカルボニル基、カルボキシ基、ヒドロキシ基、ベンゾイル基、シアノ基、トリフルオロメチル基、ハロゲン原子、tert-ブトキシカルボニルアミノ基、C<sub>1</sub>~C<sub>6</sub>アルキルスルホニル基、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1~3個有し、C<sub>1</sub>~C<sub>6</sub>アルキル基で置換されていてもよい複素環又は芳香族複素環によって置換されていてもよい。

R<sup>8</sup>は、水素原子又はC<sub>1</sub>~C<sub>6</sub>アルキル基を表わし、R<sup>8</sup>におけるC<sub>1</sub>~C<sub>6</sub>アルキ

ル基は、無置換或いはハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、カルバモイル基、メルカプト基、グアニジノ基、 $C_3 \sim C_8$ シクロアルキル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、フェニル基（フェニル基は、無置換或いはハロゲン原子、ヒドロキシ基、 $C_1 \sim C_6$ アルキル基、 $C_1 \sim C_6$ アルコキシ基、又はベンジルオキシ基によって置換されていてもよい。）  
、フェノキシ基、ベンジルオキシ基、ベンジルオキシカルボニル基、 $C_2 \sim C_7$ アルカノイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、 $C_2 \sim C_7$ アルカノイルオキシ基、 $C_2 \sim C_7$ アルカノイルアミノ基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_2 \sim C_6$ アルキルスルホニル基、アミノ基、モノ（ $C_1 \sim C_6$ アルキル）アミノ基、ジ（ $C_1 \sim C_6$ アルキル）アミノ基、又はウレイド基によって置換されていてもよい。]

で表されるピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1 \sim C_6$ アルキル付加体。

【請求項2】 前記式（I）において、Xが $-CO-$ である請求項1に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1 \sim C_6$ アルキル付加体。

【請求項3】 前記式（I）において、Xが $-SO_2-$ である請求項1に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1 \sim C_6$ アルキル付加体。

【請求項4】 前記式（I）において、Xが $-CH_2-$ である請求項1に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1 \sim C_6$ アルキル付加体。

【請求項5】 前記式（I）において、Xが $-CS-$ である請求項1に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1 \sim C_6$ アルキル付加体。

【請求項6】 前記式（I）において、Xが単結合である請求項1に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1 \sim C_6$ アルキル付加体。

【請求項7】 前記式（I）において、Yが $-(R^4)C=C(R^5)-$ であ

る請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 8】 前記式 (I) において、Y が  $-S-$  である請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 9】 前記式 (I) において、Y が  $-NR^8-$  である請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 10】 前記式 (I) において、 $R^2$  が水素原子であり、かつ  $R^3$  が水素原子である請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 11】 前記式 (I) において、 $q = 0$  であり、かつ  $r = 0$  である請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 12】 前記式 (I) において、 $q = 1$  であり、かつ  $r = 0$  である請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 13】 前記式 (I) において、 $q = 0$  であり、かつ  $r = 1$  である請求項 1 に記載のピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体。

【請求項 14】 請求項 1 ～ 13 のいずれか 1 項に記載の前記式 (I) で表されるピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体を、有効成分とする CCR3 拮抗作用を有する医薬組成物。

【請求項 15】 請求項 1 ～ 13 のいずれか 1 項に記載の前記式 (I) で表されるピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される  $C_1 \sim C_6$  アルキル付加体を、有効成分とする、CCR3 が関与する疾患の予防及び／又は治療用組成物。

【請求項 16】 前記疾患がアレルギー性疾患である請求項 15 に記載の予

防及び／又は治療用組成物。

【請求項 1 7】 前記アレルギー性疾患が気管支喘息、アレルギー性鼻炎、アトピー性皮膚炎、蕁麻疹、接触皮膚炎、又はアレルギー性結膜炎である請求項 1 6 に記載の予防及び／又は治療用組成物。

【請求項 1 8】 前記疾患が、炎症性腸疾患である請求項 1 5 に記載の予防及び／又は治療用組成物。

【請求項 1 9】 前記疾患が、エイズ（後天性免疫不全症候群）である請求項 1 5 に記載の予防及び／又は治療用組成物。

【発明の詳細な説明】

【0 0 0 1】

【発明の属する技術分野】

本発明は、CCR3拮抗作用を有するペペリジン誘導体に関する。さらに詳しくは、本発明は、気管支喘息、アレルギー性鼻炎、アトピー性皮膚炎、蕁麻疹、接触皮膚炎、又はアレルギー性結膜炎等のアレルギー性疾患、潰瘍性大腸炎又はクローン病等の炎症性腸疾患、好酸球増加症、好酸球性胃腸炎、好酸球増加性腸症、好酸球性筋膜炎、好酸球性肉芽腫、好酸球性膿疱性毛包炎、好酸球性肺炎、又は好酸球性白血病等、好酸球、好塩基球、又は活性化T細胞等の増加又は組織への浸潤が病気の進行、維持に主要な役割を演じている疾患、或いはHIV（ヒト免疫不全ウイルス）の感染に起因するエイズ（AIDS：後天性免疫不全症候群）に対する治療薬及び／又は予防薬として効果が期待できるCCR3拮抗剤に関する。

【0 0 0 2】

【従来の技術】

近年、気管支喘息等のアレルギー性疾患の本質的な病態は慢性炎症であるという概念が確立され、なかでも好酸球の炎症局所への集積がその大きな特徴の一つとしてとらえられている（例えば、Busse, W. W. J. Allergy Clin. Immunol. 1998, 102, S17-S22；藤澤隆夫 現代医療 1999, 31, 1297等参照）。例えば、サル喘息モデルにおいて抗接着分子（ICAM-1）抗体を投与することにより、好酸球の集積が抑え

られ、遅発型の喘息症状発現が抑制されることから、アレルギー性疾患における好酸球の重要性が強く示唆されている (Wegner, C. D. et al. Science, 1990, 247, 456)。

## 【0003】

この好酸球の集積及び／又は遊走を引き起こす特異的走化因子としてエオタキシンが同定された (例えば、Jose, P. J., et al. J. Exp. Med. 1994, 179, 881; Garcia-Zepeda, E. A. et al. Nature Med. 1996, 2, 449; Ponath, P. D. et al. J. Clin. Invest. 1996, 97, 604; Kitaura, M. et al. J. Biol. Chem. 1996, 271, 7725等参照)。また、エオタキシンは好酸球上に発現しているCCR3 (C-C Chemokine Receptor 3) レセプターに結合し、作用を発現することが解明され、さらに、エオタキシン-2、RANTES (regulated upon activation normal T-cell expressed and secretedの略称)、MCP-2 (monocyte chemoattractant protein-2の略称)、MCP-3 (monocyte chemoattractant protein-3の略称)、MCP-4 (monocyte chemoattractant protein-4の略称) 等の走化性因子もエオタキシンよりも作用強度は弱いものの、CCR3を介してエオタキシンと同様の作用を示し得ることが知られている (例えば、Kitaura, M. et al. J. Biol. Chem. 1996, 271, 7725; Daugherty, B. L. et al. J. Exp. Med. 1996, 183, 2349; Ponath, P. D. et al. J. Exp. Med. 1996, 183, 2437; Hath, H. et al. J. Clin. Invest. 1997, 99, 178; Patel, V. P. et al. J. Exp. Med. 1997, 185, 1163; Forssmann, U. et al. J. Exp. Med. 185, 2171, 1997等参照)。

## 【0004】

エオタキシンの好酸球への作用は、遊走惹起のみだけでなく、接着分子受容体 (CD11b) の発現増強 (例えば、Tenscher, K. et al. Blood, 1996, 88, 3195等参照)、活性酸素の産生促進 (例えば、Elsner, J. et al. Eur. J. Immunol. 1996, 26, 1919等参照)、EDN (eosinophil-derived neurotoxineの略称) の放出促進 (El-Shazly, et al. Int. Arch. Allergy Immunol. 1998, 117 (suppl. 1), 55参照) 等、好酸球の活性化に関する作用も報告されている。また、エオタキシンは骨髄からの好酸球及びその前駆細胞の血中への遊離を促進させる作用を有することも報告されている (例えば、Palframan, R. T. et al. Blood 1998, 91, 2240等参照)。

## 【0005】

エオタキシン及びCCR3が、気管支喘息等のアレルギー性疾患において重要な役割を演じていることが、多くの報告により示唆されている。例えば、マウス喘息モデルにおいて抗エオタキシン抗体により好酸球浸潤が抑制されること (Gonzalo, J.-A. et al. J. Clin. Invest. 1996, 98, 2332参照)、マウス皮膚アレルギーモデルにおいて抗エオタキシン抗血清により好酸球浸潤が抑制されること (Teixeira, M. M. et al. J. Clin. Invest. 1997, 100, 1657)、マウスモデルにおいて抗エオタキシン抗体が肺肉芽腫の形成を抑制すること (Ruth, J. H. et al. J. Immunol. 1998, 161, 4276参照)、エオタキシン遺伝子欠損マウスを用いた喘息モデル及び間質性角膜炎モデルにおいて好酸球の浸潤が抑制されること (Rothenberg, M. E. et al. J. Exp. Med. 1997, 185, 785参照)、喘息患者の気管支では健常者に比べエオタキシン及びCCR3の発現が、遺伝子レベル、蛋白レベルともに亢進していること (Ying, S. et al. Eur. J. Immunol. 1997, 27, 3507参照)、慢性副鼻腔炎患者の鼻上皮下組織ではエオタキシンの発現が亢進していること (Am. J. Respir. Cell Mol. Biol. 1997, 17, 683参照) 等が報告され

ている。

【0006】

また、炎症性大腸疾患である潰瘍性大腸炎及びクローン病の炎症部位において、エオタキシンが多く発現していることが報告されていることから (Garcia-Zepeda, E. A. et al. Nature Med. 1996, 2, 449 参照)、これらの疾患においてもエオタキシンが重要な役割を担っていることが考えられる。

【0007】

これらのデータから、エオタキシンは、CCR3を介して好酸球を病変部位に集積、活性化することにより、好酸球が病変の進展に深く関わっていると想定され得る疾患、例えば、気管支喘息、アレルギー性鼻炎、アトピー性皮膚炎、蕁麻疹、接触皮膚炎、又はアレルギー性結膜炎等のアレルギー性疾患、潰瘍性大腸炎又はクローン病等の炎症性腸疾患、好酸球増加症、好酸球性胃腸炎、好酸球増加性腸症、好酸球性筋膜炎、好酸球性肉芽腫、好酸球性膿疱性毛包炎、好酸球性肺炎、或いは好酸球性白血病等の発症、進展、維持に深く関与していることが強く示唆されている。さらに、CCR3レセプターは好酸球のみならず好塩基球、Th2リンパ球上にも発現しており、エオタキシンによりこれらの細胞の細胞内カルシウムイオン濃度上昇及び細胞遊走が惹起されることが報告されていることから、エオタキシン及びCCR3はこれらの細胞を集積させ、活性化する事によってもアレルギー性疾患等、これらの細胞が関与する疾患の発症、進展、維持に関わっていると考えられる (例えば、Sallusto, F. et al. Science 1997, 277, 2005; Gerber, B. O. et al. Current Biol. 1997, 7, 836; Sallusto, F. et al. J. Exp. Med. 1998, 187, 875; Ugucioni, M. et al. J. Clin. Invest. 1997, 100, 1137; Yamada, H. et al. Biochem Biophys Res. Commun. 1997, 231, 365 等参照)。

【0008】

従って、エオタキシンのCCR3に対する結合を阻害する化合物、すなわち、



CCR3拮抗剤は、エオタキシンに代表されるCCR3のリガンドの標的細胞への作用を阻害することにより、アレルギー性疾患、炎症性腸疾患等の疾患の治療薬及び／又は予防薬として有用であることが期待できるが、そのような作用を有する薬剤は知られてない。

## 【0009】

また、HIV-1（ヒト免疫不全ウイルス-1）が宿主細胞に感染する際にCCR3を利用する可能性のあることが報告されていることから、CCR3拮抗剤はHIVウイルス感染に起因するエイズ（AIDS：後天性免疫不全症候群）の治療薬若しくは予防薬としても有用であることが期待できる（例えば、Choe, H. et al. Cell 1996, 85, 1135; Doranz, B. J. et al. Cell 1996, 85, 1149参照）。

## 【0010】

最近、ピペリジン誘導体（WO9802151号明細書、WO9804554号明細書、WO0029377号明細書、WO0031033号明細書、WO0035449号明細書、WO0035451号明細書、WO0035452号明細書、WO0035453号明細書、WO0035454号明細書、WO0035876号明細書、WO0035877号明細書、WO0051607号明細書、WO0051608号明細書、WO0051609号明細書、WO0051610号明細書、WO0053600号明細書、WO0058305号明細書、WO0059497号明細書、WO0059498号明細書、WO0059502号明細書、WO0059503号明細書、WO0076511号明細書、WO0076512号明細書、WO0076513号明細書、WO0076514号明細書、WO0076972号明細書、WO0076973号明細書、WO0105782号明細書、WO0114333号明細書、WO0164216号明細書、WO0177101号明細書、WO0192227号明細書、WO0198268号明細書、WO0198269号明細書、WO0198270号明細書、WO0202525号明細書、WO0204420号明細書参照）、ピペラジン誘導体（EP0903349号明細書、WO0034278号明細書、WO0102381号明細書参照）、及びその他の低分子化合物（WO9955324号明

細書、WO9955330号明細書、WO0004003号明細書、WO0027800号明細書、WO0027835号明細書、WO0027843号明細書、WO0031032号明細書、WO0041685号明細書、WO0053172号明細書、WO0109088号明細書、WO0128987号明細書、WO0129000号明細書参照)が、CCR3レセプターに対する拮抗活性を有することが報告されている。しかしながら、これらの化合物は、本発明の化合物とは異なる。WO0107436号明細書及び号、WO0132615号明細書には、オキソピペラジン誘導体がファクターXa阻害活性を有することが記載されているが、本発明によるピペリジン誘導体に関する具体的記載はなく、これらの化合物がCCR3拮抗阻害活性を有することは知られていない。

【0011】

【発明が解決しようとする課題】

本発明の目的は、エオタキシン等のCCR3のリガンドが標的細胞上のCCR3に結合することを阻害する活性を有する低分子化合物、すなわちCCR3拮抗剤を提供することである。

【0012】

本発明の他の目的は、エオタキシン等のCCR3のリガンドが標的細胞上のCCR3に結合すること、及びエオタキシン等のCCR3のリガンドが標的細胞に作用することを阻害する方法を確立することである。

【0013】

本発明の更なる目的は、エオタキシン等のCCR3のリガンドが標的細胞上のCCR3に結合することが病因の一つであるような疾患の治療剤及び／又は予防剤を提供することである。

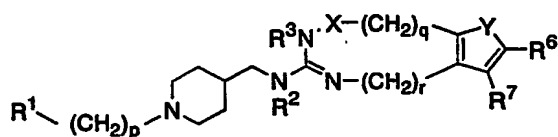
【0014】

【課題を解決するための手段】

本発明は、式(I)

【0015】

【化2】



(I)

【0016】

【式中、 $R^1$ はフェニル基、 $C_3 \sim C_8$ シクロアルキル基、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基を表わし、

$R^1$ におけるフェニル基又は芳香族複素環基は、ベンゼン環、又はヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基と縮合して縮合環を形成してもよく、

$R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環は、無置換或いはハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、 $C_1 \sim C_6$ アルキル基、 $C_3 \sim C_8$ シクロアルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、 $C_3 \sim C_5$ アルキレン基、 $C_2 \sim C_4$ アルキレンオキシ基、 $C_1 \sim C_3$ アルキレンジオキシ基、フェニル基、フェノキシ基、フェニルチオ基、ベンジル基、ベンジルオキシ基、ベンゾイルアミノ基、ホルミル基、 $C_2 \sim C_7$ アルカノイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、 $C_2 \sim C_7$ アルカノイルオキシ基、 $C_2 \sim C_7$ アルカノイルアミノ基、 $C_1 \sim C_6$ アルキルスルホニル基、 $C_3 \sim C_8$ （アルコキシカルボニル）メチル基、アミノ基、モノ（ $C_1 \sim C_6$ アルキル）アミノ基、又は、ジ（ $C_1 \sim C_6$ アルキル）アミノ基、カルバモイル基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_4 \sim C_9$ N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、ピペリジルカルボニル基、モルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボニル基、N-メトキシカルバモイル基、（ホルミル）アミノ基、又はウレイド基で置換されてもよく、

$R^1$ のフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の

置換基は、無置換或いは $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_2 \sim C_6$ アルキニル基、フェニル基、 $C_3 \sim C_5$ アルキレン基、 $C_3 \sim C_8$ シクロアルキル基、 $C_3 \sim C_8$ シクロアルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、アミノ基、モノ( $C_1 \sim C_6$ アルキル)アミノ基、ジ( $C_1 \sim C_6$ アルキル)アミノ基、ピロリジニル基、ピペリジル基、 $C_3 \sim C_7$ ラクタム基、カルバモイル基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、カルボキシル基、ヒドロキシ基、ベンゾイル基、シアノ基、トリフルオロメチル基、ハロゲン原子、又はtert-ブトキシカルボニルアミノ基によって置換されていてもよい。

## 【0017】

ただし、 $R^1$ が $C_3 \sim C_8$ シクロアルキル基の場合、その置換基にはアミノ基、モノ( $C_1 \sim C_6$ アルキル)アミノ基、又はジ( $C_1 \sim C_6$ アルキル)アミノ基を含まない。

## 【0018】

p は、1～6の整数を表す。

$R^2$ 及び $R^3$ は、同一又は異なって、水素原子、 $C_1 \sim C_6$ アルキル基、又はフェニル基を表わし、 $R^2$ 及び $R^3$ における $C_1 \sim C_6$ アルキル基又はフェニル基は、無置換或いはハロゲン原子、ヒドロキシ基、 $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_7$ アルコキシカルボニル基、アミノ基、カルバモイル基、カルボキシル基、シアノ基又は $C_1 \sim C_6$ アルコキシ基によって置換されてもよい。

Xは、 $-\text{CO}-$ 、 $-\text{SO}_2-$ 、 $-\text{CH}_2-$ 、 $-\text{CS}-$ 、又は単結合を表す。

q は、0又は1を表す。

r は、0又は1を表す。

Yは、 $-(R^4)C=C(R^5)-$ 、 $-\text{S}-$ 、又は $-\text{NR}^8-$ を表す。

## 【0019】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ は、同一又は異なって、水素原子、ハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシル基、 $C_1 \sim C_6$ アルキル基、 $C_3 \sim C_8$ シクロアルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、 $C_3 \sim C_5$ アルキレン基、 $C_2 \sim C_4$ アルキレンオキシ基、 $C_1 \sim$

C<sub>3</sub>アルキレンジオキシ基、フェニル基、フェノキシ基、フェニルチオ基、ベンジル基、ベンジロキシ基、ベンゾイルアミノ基、ホルミル基、C<sub>2</sub>~C<sub>7</sub>アルカノイル基、C<sub>2</sub>~C<sub>7</sub>アルコキシカルボニル基、C<sub>2</sub>~C<sub>7</sub>アルカノイルオキシ基、C<sub>2</sub>~C<sub>7</sub>アルカノイルアミノ基、C<sub>4</sub>~C<sub>10</sub>シクロアルカノイルアミノ基、C<sub>3</sub>~C<sub>7</sub>アルケノイルアミノ基、C<sub>1</sub>~C<sub>6</sub>アルキルスルホニル基、C<sub>1</sub>~C<sub>6</sub>アルキルスルホニルアミノ基、C<sub>3</sub>~C<sub>8</sub>（アルコキシカルボニル）メチル基、アミノ基、モノ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、ジ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、カルバモイル基、C<sub>2</sub>~C<sub>7</sub>N-アルキルカルバモイル基、C<sub>4</sub>~C<sub>9</sub>N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、N-（C<sub>7</sub>~C<sub>12</sub>フェニルアルキル）カルバモイル基、ピペリジルカルボニル基、モルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボニル基、N-メトキシカルバモイル基、（ホルミル）アミノ基、（チオホルミル）アミノ基、ウレイド基、又はチオウレイド基を表し、

R<sup>4</sup>、R<sup>5</sup>、R<sup>6</sup>及びR<sup>7</sup>における前記基は、無置換或いはC<sub>1</sub>~C<sub>6</sub>アルキル基、C<sub>2</sub>~C<sub>6</sub>アルケニル基、C<sub>2</sub>~C<sub>6</sub>アルキニル基、フェニル基、C<sub>3</sub>~C<sub>5</sub>アルキレン基、C<sub>3</sub>~C<sub>8</sub>シクロアルキル基、C<sub>3</sub>~C<sub>8</sub>シクロアルケニル基、C<sub>1</sub>~C<sub>6</sub>アルコキシ基、（C<sub>1</sub>~C<sub>6</sub>アルコキシ）（C<sub>1</sub>~C<sub>6</sub>アルコキシ）基、フェニル（C<sub>1</sub>~C<sub>6</sub>アルコキシ）基、C<sub>1</sub>~C<sub>6</sub>アルキルチオ基、アミノ基、モノ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、ジ（C<sub>1</sub>~C<sub>6</sub>アルキル）アミノ基、ピロリジニル基、ピペリジル基、（C<sub>2</sub>~C<sub>7</sub>アルカノイル）ピペリジル基、C<sub>3</sub>~C<sub>7</sub>ラクタム基、カルバモイル基、C<sub>2</sub>~C<sub>7</sub>N-アルキルカルバモイル基、C<sub>4</sub>~C<sub>9</sub>N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、N-（C<sub>7</sub>~C<sub>12</sub>フェニルアルキル）カルバモイル基、C<sub>2</sub>~C<sub>7</sub>アルカノイルアミノ基、C<sub>2</sub>~C<sub>7</sub>アルコキシカルボニル基、カルボキシ基、ヒドロキシ基、ベンゾイル基、シアノ基、トリフルオロメチル基、ハロゲン原子、tert-ブトキシカルボニルアミノ基、C<sub>1</sub>~C<sub>6</sub>アルキルスルホニル基、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1~3個有し、C<sub>1</sub>~C<sub>6</sub>アルキル基で置換されてもよい複素環又は芳香族複素環によって置換されていてもよい。

【0020】

$R^8$ は、水素原子又は $C_1\sim C_6$ アルキル基を表わし、 $R^8$ における $C_1\sim C_6$ アルキル基は、無置換或いはハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、カルバモイル基、メルカプト基、グアニジノ基、 $C_3\sim C_8$ シクロアルキル基、 $C_1\sim C_6$ アルコキシ基、 $C_1\sim C_6$ アルキルチオ基、フェニル基（フェニル基は、無置換或いはハロゲン原子、ヒドロキシ基、 $C_1\sim C_6$ アルキル基、 $C_1\sim C_6$ アルコキシ基、又はベンジルオキシ基によって置換されていてもよい。）、フェノキシ基、ベンジルオキシ基、ベンジルオキシカルボニル基、 $C_2\sim C_7$ アルカノイル基、 $C_2\sim C_7$ アルコキシカルボニル基、 $C_2\sim C_7$ アルカノイルオキシ基、 $C_2\sim C_7$ アルカノイルアミノ基、 $C_2\sim C_7N$ -アルキルカルバモイル基、 $C_2\sim C_6$ アルキルスルホニル基、アミノ基、モノ（ $C_1\sim C_6$ アルキル）アミノ基、ジ（ $C_1\sim C_6$ アルキル）アミノ基、又はウレイド基によって置換されていてもよい。]

で表されるピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1\sim C_6$ アルキル付加体である。

#### 【0021】

また本発明は、前記式（I）で表されるピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容される $C_1\sim C_6$ アルキル付加体を有効成分とするCCR3拮抗作用を有する医薬組成物、又はCCR3が関与する疾患の予防及び／又は治療用組成物であって、前記疾患はアレルギー性疾患、気管支喘息、アレルギー性鼻炎、アトピー性皮膚炎、蕁麻疹、接触皮膚炎、又はアレルギー性結膜炎、炎症性腸疾患、エイズ（後天性免疫不全症候群）である。

#### 【0022】

##### 【発明の実施の形態】

式（I）において、 $R^1$ はフェニル基、 $C_3\sim C_8$ シクロアルキル基、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基を表わし、

$R^1$ におけるフェニル基又は芳香族複素環基は、ベンゼン環、或いはヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基と縮合して縮合環を形成してもよく、

さらに $R^1$ におけるフェニル基、 $C_3\sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環は、無置換或いはハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、 $C_1\sim C_6$ アルキル基、 $C_3\sim C_8$ シクロアルキル基、 $C_2\sim C_6$ アルケニル基、 $C_1\sim C_6$ アルコキシ基、 $C_1\sim C_6$ アルキルチオ基、 $C_3\sim C_5$ アルキレン基、 $C_2\sim C_4$ アルキレンオキシ基、 $C_1\sim C_3$ アルキレンジオキシ基、フェニル基、フェノキシ基、フェニルチオ基、ベンジル基、ベンジルオキシ基、ベンゾイルアミノ基、ホルミル基、 $C_2\sim C_7$ アルカノイル基、 $C_2\sim C_7$ アルコキシカルボニル基、 $C_2\sim C_7$ アルカノイルオキシ基、 $C_2\sim C_7$ アルカノイルアミノ基、 $C_1\sim C_6$ アルキルスルホニル基、 $C_3\sim C_8$ （アルコキシカルボニル）メチル基、アミノ基、モノ（ $C_1\sim C_6$ アルキル）アミノ基、又は、ジ（ $C_1\sim C_6$ アルキル）アミノ基、カルバモイル基、 $C_2\sim C_7$ N-アルキルカルバモイル基、 $C_4\sim C_9$ N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、ピペリジルカルボニル基、モルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボニル基、N-メトキシカルバモイル基、（ホルミル）アミノ基、又はウレイド基で置換されてもよく、

これらのフェニル基、 $C_3\sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基は、無置換或いは $C_1\sim C_6$ アルキル基、 $C_2\sim C_6$ アルケニル基、 $C_2\sim C_6$ アルキニル基、フェニル基、 $C_3\sim C_5$ アルキレン基、 $C_3\sim C_8$ シクロアルキル基、 $C_3\sim C_8$ シクロアルケニル基、 $C_1\sim C_6$ アルコキシ基、 $C_1\sim C_6$ アルキルチオ基、アミノ基、モノ（ $C_1\sim C_6$ アルキル）アミノ基、ジ（ $C_1\sim C_6$ アルキル）アミノ基、ピロリジニル基、ピペリジル基、 $C_3\sim C_7$ ラクタム基、カルバモイル基、 $C_2\sim C_7$ N-アルキルカルバモイル基、 $C_2\sim C_7$ アルコキシカルボニル基、カルボキシ基、ヒドロキシ基、ベンゾイル基、シアノ基、トリフルオロメチル基、ハロゲン原子、又はtert-ブトキシカルボニルアミノ基によって置換されていてもよい。

#### 【0023】

なお、 $R^1$ におけるフェニル基、 $C_3\sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基の数、及び $R^1$ のフェニル基、 $C_3\sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基のさらなる置換基の数は、化学的に可能

と考え得る数であり、好ましくは0～15個、より好ましくは0～10個、さらにより好ましくは0～7個である。

## 【0024】

$R^1$ における「 $C_3 \sim C_8$ シクロアルキル基」とは、例えばシクロプロピル、シクロブチル、シクロペンチル、シクロヘキシル、シクロヘプチル、及び、シクロオクチル基等の環状のアルキル基を意味し、その好適な具体例としては、シクロプロピル基、シクロペンチル基、及び、シクロヘキシル基等が挙げられる。

## 【0025】

$R^1$ における、「ヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基」とは、例えば、チエニル、フリル、ピロリル、イミダゾリル、ピラゾリル、オキサゾリル、イソオキサゾリル、チアゾリル、イソチアゾリル、ピリジル、ピリミジニル、トリアジニル、トリアゾリル、オキサジアゾリル（フラザニル）、チアジアゾリル基等の芳香族複素環基を意味し、その好適な具体例としては、チエニル、フリル、ピロリル、及びピリジル基等が挙げられる。

## 【0026】

$R^1$ における「縮合環」とは、上記フェニル基又は芳香族複素環基が、ベンゼン環、又はヘテロ原子として酸素原子、硫黄原子、及び／又は窒素原子を1～3個有する芳香族複素環基と可能な任意の位置で縮合して形成される2環式芳香族複素環基を意味し、その好適な具体例としては、ナフチル、インドリル、ベンゾフラニル、ベンゾチエニル、キノリル及びベンゾイミダゾリル基等が挙げられる。

## 【0027】

式(I)の $R^1$ は、フェニル基、チエニル基、フラニル基、ピロリル基、ナフチル基、ベンゾチエニル基、ベンゾフラニル基、又はインドリル基である場合が特に好ましい。

## 【0028】

$R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は、縮合環の置換基としての「ハロゲン原子」とは、フッ素原子、塩素原子、臭素



原子、ヨウ素原子等を意味し、その好適な具体例としてはフッ素原子、塩素原子、臭素原子、ヨウ素原子が挙げられる。

## 【0029】

$R^1$ の置換基としての「 $C_1 \sim C_6$ アルキル基」とは、例えば、メチル、エチル、*n*-プロピル、*n*-ブチル、*n*-ペンチル、*n*-ヘキシル、*n*-ヘプチル、*n*-オクチル、イソプロピル、イソブチル、*sec*-ブチル、*tert*-ブチル、イソペンチル、ネオペンチル、*tert*-ペンチル、イソヘキシル、2-メチルペンチル、1-エチルブチル基等の $C_1 \sim C_6$ の直鎖又は分枝状のアルキル基を意味し、その好適な具体例としては、メチル、エチル、プロピル及びイソプロピル基等が挙げられる。

## 【0030】

$R^1$ の置換基としての「 $C_3 \sim C_8$ シクロアルキル基」は、前記 $R^1$ における「 $C_3 \sim C_8$ シクロアルキル基」の定義と同様であり、その好適な具体例も同じ基を挙げることができる。

## 【0031】

$R^1$ の置換基としての「 $C_2 \sim C_6$ アルケニル基」とは、例えば、ビニル、アリル、1-プロペニル、2-ブテニル、3-ブテニル、2-メチル-1-プロペニル、4-ペンテニル、5-ヘキセニル、4-メチル-3-ペンテニル基等の $C_2 \sim C_6$ の直鎖又は分枝状のアルケニル基を意味し、その好適な具体例としては、ビニル基及び2-メチル-1-プロペニル基等が挙げられる。

## 【0032】

$R^1$ の置換基としての「 $C_1 \sim C_6$ アルコキシ基」とは、前記 $C_1 \sim C_6$ アルキル基とオキシ基とからなる基を意味し、その好適な具体例としては、メトキシ基、エトキシ基等が挙げられる。

## 【0033】

$R^1$ の置換基としての「 $C_1 \sim C_6$ アルキルチオ基」とは、前記 $C_1 \sim C_6$ アルキル基とチオ基とからなる基を意味し、その好適な具体例としては、メチルチオ基、エチルチオ基等が挙げられる。

## 【0034】

$R^1$ の置換基としての「 $C_3 \sim C_5$ アルキレン基」とは、例えば、トリメチレン、テトラメチレン、ペンタメチレン、及び、1-メチルトリメチレン基等の $C_3 \sim C_5$ の2価のアルキレン基を意味し、その好適な具体例としては、トリメチレン基、テトラメチレン基等が挙げられる。

## 【0035】

$R^1$ の置換基としての「 $C_2 \sim C_4$ アルキレンオキシ基」とは、例えば、エチレンオキシ ( $-\text{CH}_2\text{CH}_2\text{O}-$ )、トリメチレンオキシ ( $-\text{CH}_2\text{CH}_2\text{CH}_2\text{O}-$ )、テトラメチレンオキシ ( $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{O}-$ )、1, 1-ジメチルエチレンオキシ ( $-\text{CH}_2\text{C}(\text{CH}_3)_2\text{O}-$ ) 基等の、 $C_2 \sim C_4$ の2価アルキレン基とオキシ基とからなる基を意味し、その好適な具体例としては、エチレンオキシ基、トリメチレンオキシ基等が挙げられる。

## 【0036】

$R^1$ の置換基としての「 $C_1 \sim C_3$ アルキレンジオキシ基」とは、例えば、メチレンジオキシ ( $-\text{OCH}_2\text{O}-$ )、エチレンジオキシ ( $-\text{OCH}_2\text{CH}_2\text{O}-$ )、トリメチレンジオキシ ( $-\text{OCH}_2\text{CH}_2\text{CH}_2\text{O}-$ )、プロピレンジオキシ ( $-\text{OCH}_2\text{CH}(\text{CH}_3)\text{O}-$ ) 基等の $C_1 \sim C_3$ の2価アルキレン基と2個のオキシ基とからなる基を意味し、その好適な具体例としては、メチレンジオキシ基、エチレンジオキシ基等が挙げられる。

## 【0037】

$R^1$ の置換基としての「 $C_2 \sim C_7$ アルカノイル基」とは、例えば、アセチル、プロパノイル、ブタノイル、ペンタノイル、ヘキサノイル、ヘプタノイル、イソブチリル、3-メチルブタノイル、2-メチルブタノイル、ピパロイル、4-メチルペンタノイル、3, 3-ジメチルブタノイル、5-メチルヘキサノイル基等の $C_2 \sim C_7$ の直鎖又は分枝状のアルカノイル基を意味し、その好適な具体例としては、アセチル基等が挙げられる。

## 【0038】

$R^1$ の置換基としての「 $C_2 \sim C_7$ アルコキシカルボニル基」とは、前記 $C_1 \sim C_6$ アルコキシ基とカルボニル基とからなる基を意味し、その好適な具体例としては、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。

## 【0039】

$R^1$ の置換基としての「 $C_2 \sim C_7$ アルカノイルオキシ基」とは、前記 $C_2 \sim C_7$ アルカノイル基とオキシ基とからなる基を意味し、その好適な具体例としてはアセチルオキシ基等が挙げられる。

## 【0040】

$R^1$ の置換基としての「 $C_2 \sim C_7$ アルカノイルアミノ基」とは、前記 $C_2 \sim C_7$ アルカノイル基とアミノ基とから成る基を意味し、その好適な具体例としては、アセチルアミノ基等が挙げられる。

## 【0041】

$R^1$ の置換基としての「 $C_1 \sim C_6$ アルキルスルホニル基」とは、前記 $C_1 \sim C_6$ アルキル基とスルホニル基とからなる基を意味し、その好適な具体例としては、メチルスルホニル基等が挙げられる。

## 【0042】

$R^1$ の置換基としての「 $C_3 \sim C_8$ （アルコキシカルボニル）メチル基」とは、前記 $C_2 \sim C_7$ アルコキシカルボニル基とメチル基とからなる基を意味し、その好適な具体例としては、（メトキシカルボニル）メチル基、（エトキシカルボニル）メチル基等が挙げられる。

## 【0043】

$R^1$ の置換基としての「モノ（ $C_1 \sim C_6$ アルキル）アミノ基」とは、前記 $C_1 \sim C_6$ アルキル基によって置換されたアミノ基を意味し、その好適な具体例としては、メチルアミノ基、エチルアミノ基等が挙げられる。

## 【0044】

$R^1$ の置換基としての「ジ（ $C_1 \sim C_6$ アルキル）アミノ基」とは、同一又は異なった2つの前記 $C_1 \sim C_6$ アルキル基によって置換されたアミノ基を意味し、その好適な具体例としては、ジメチルアミノ基、ジエチルアミノ基、N-エチル-N-メチルアミノ基等が挙げられる。

## 【0045】

$R^1$ の置換基としての「 $C_2 \sim C_7$ N-アルキルカルバモイル基」とは、前記 $C_1 \sim C_6$ アルキル基とカルバモイル基とからなる基を意味し、その好適な具体例と

しては、N-メチルカルバモイル基、N-エチルカルバモイル基等が挙げられる。

## 【 0 0 4 6 】

$R^1$ の置換基としての「 $C_4 \sim C_9$ N-シクロアルキルカルバモイル基」とは、前記 $C_3 \sim C_8$ シクロアルキル基とカルバモイル基とからなる基を意味し、その好適な具体例としては、N-シクロペンチルカルバモイル基、N-シクロヘキシルカルバモイル基等が挙げられる。

## 【 0 0 4 7 】

$R^1$ の置換基としての「ピペリジルカルボニル基」とは、ピペリジンとカルボニルが結合した基を意味し、好適な具体例としては（1-ピペリジル）カルボニル基等が挙げられる。

## 【 0 0 4 8 】

$R^1$ の置換基としての「モルホリニルカルボニル基」とは、モルホリンとカルボニルが結合した基を意味し、好適な具体例としては（1-モルホリニル）カルボニル基等が挙げられる。

## 【 0 0 4 9 】

$R^1$ の置換基としての「ピロリジニルカルボニル基」とは、ピロリジンとカルボニルが結合した基を意味し、好適な具体例としては（1-ピロリジニル）カルボニル基等が挙げられる。

## 【 0 0 5 0 】

$R^1$ の置換基としての「ピペラジニルカルボニル基」とは、ピペラジンとカルボニルが結合した基を意味し、好適な具体例としては（1-ピペラジニル）カルボニル基等が挙げられる。

## 【 0 0 5 1 】

$R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基のさらなる置換基としての「 $C_2 \sim C_6$ アルキニル基」とは、例えば、エチニル、メチルエチニル、エチルエチニル基等の $C_2 \sim C_6$ アルキニル基を意味し、その好適な具体例としては、エチニル基等が挙げられる。

## 【 0 0 5 2 】

$R^1$ の置換基のさらなる置換基としての「 $C_3 \sim C_8$ シクロアルケニル基」とは、例えば、シクロペンテニル、シクロヘキセニル、1, 3-シクロヘキサジエニル基等の $C_3 \sim C_8$ の環状のアルケニル基を意味し、その好適な具体例としては、シクロヘキセニル基等が挙げられる。

## 【0053】

$R^1$ の置換基のさらなる置換基としての「 $C_3 \sim C_7$ ラクタム基」とは、例えば、3-プロパンラクタム、4-ブタンラクタム、5-ペンタンラクタム、6-ヘキサンラクタム等の環状アミドから水素原子を1個除いた基を意味し、その好適な具体例としては「4-ブタンラクタムから水素原子を1個除いた基」等が挙げられる。

## 【0054】

式(I)において、 $p$ は、1～6の整数を表し、好ましくは1又は3である。

式(I)において、 $R^2$ 及び $R^3$ は、同一又は異なって、水素原子、 $C_1 \sim C_6$ アルキル基、又はフェニル基を表わし、 $R^2$ 及び $R^3$ における $C_1 \sim C_6$ アルキル基又はフェニル基は、無置換或いはハロゲン原子、ヒドロキシ基、 $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_7$ アルコキシカルボニル基、アミノ基、カルバモイル基、カルボキシ基、シアノ基又は $C_1 \sim C_6$ アルコキシ基によって置換されてもよい。

## 【0055】

なお、 $R^2$ 及び $R^3$ における $C_1 \sim C_6$ アルキル基又はフェニル基の置換基の数は、化学的に可能と考え得る数であり、好ましくは0～13個、より好ましくは0～10個、さらにより好ましくは0～7個である。

## 【0056】

$R^2$ 及び $R^3$ における $C_1 \sim C_6$ アルキル基は、 $R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基として定義されたものと同様であり、同じ例を好適な具体例として挙げることができる。

## 【0057】

$R^2$ 及び $R^3$ における $C_1 \sim C_6$ アルキル基又はフェニル基の置換基としてのハロゲン原子、 $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_7$ アルコキシカルボニル基及び $C_1 \sim C_6$ アルコキシ基は、前記 $R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳

香族複素環基又は縮合環の置換基について定義されたものと同様であり、それぞれ同じ例を好適な具体例として挙げる事ができる。

## 【0058】

式(I)の $R^2$ 及び $R^3$ は、水素原子を表わす場合が特に好ましい。

式(I)において、Xは、 $-CO-$ 、 $-SO_2-$ 、 $-CH_2-$ 、 $-CS-$ 又は単結合を表す。いずれも好適な具体例として挙げる事ができる。ここで、 $-CO-$ はカルボニル基を、 $-SO_2-$ はスルホニル基を、 $-CS-$ はチオカルボニル基をそれぞれ意味する。

## 【0059】

式(I)において、qは0又は1を表し、rは0又は1を表す。好適な具体例として、 $q=0$ かつ $r=0$ 、 $q=1$ かつ $r=0$ 、及び $q=0$ かつ $r=1$ の場合を挙げる事ができる。

式(I)において、Yは、 $-(R^4)C=C(R^5)-$ 、 $-S-$ 、又は $-NR^8$ を表す。いずれも好適な具体例として挙げる事ができる。

## 【0060】

式(I)において、 $R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ は、同一又は異なって、水素原子、ハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、 $C_1\sim C_6$ アルキル基、 $C_3\sim C_8$ シクロアルキル基、 $C_2\sim C_6$ アルケニル基、 $C_1\sim C_6$ アルコキシ基、 $C_1\sim C_6$ アルキルチオ基、 $C_3\sim C_5$ アルキレン基、 $C_2\sim C_4$ アルキレンオキシ基、 $C_1\sim C_3$ アルキレンジオキシ基、フェニル基、フェノキシ基、フェニルチオ基、ベンジル基、ベンジルオキシ基、ベンゾイルアミノ基、ホルミル基、 $C_2\sim C_7$ アルカノイル基、 $C_2\sim C_7$ アルコキシカルボニル基、 $C_2\sim C_7$ アルカノイルオキシ基、 $C_2\sim C_7$ アルカノイルアミノ基、 $C_4\sim C_{10}$ シクロアルカノイルアミノ基、 $C_3\sim C_7$ アルケノイルアミノ基、 $C_1\sim C_6$ アルキルスルホニル基、 $C_1\sim C_6$ アルキルスルホニルアミノ基、 $C_3\sim C_8$ (アルコキシカルボニル)メチル基、アミノ基、モノ( $C_1\sim C_6$ アルキル)アミノ基、又は、ジ( $C_1\sim C_6$ アルキル)アミノ基、カルバモイル基、 $C_2\sim C_7N$ -アルキルカルバモイル基、 $C_4\sim C_9N$ -シクロアルキルカルバモイル基、N-フェニルカルバモイル基、N-( $C_7\sim C_{12}$ フェニルアルキル)カルバモイル基、ピペリジルカルボニル基、モ

ルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボニル基、N-メトキシカルバモイル基、(ホルミル)アミノ基、(チオホルミル)アミノ基、ウレイド基、又はチオウレイド基を表し、

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における上記の基は、無置換或いは $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_2 \sim C_6$ アルキニル基、フェニル基、 $C_3 \sim C_5$ アルキレン基、 $C_3 \sim C_8$ シクロアルキル基、 $C_3 \sim C_8$ シクロアルケニル基、 $C_1 \sim C_6$ アルコキシ基、( $C_1 \sim C_6$ アルコキシ)( $C_1 \sim C_6$ アルコキシ)基、フェニル( $C_1 \sim C_6$ アルコキシ)基、 $C_1 \sim C_6$ アルキルチオ基、アミノ基、モノ( $C_1 \sim C_6$ アルキル)アミノ基、ジ( $C_1 \sim C_6$ アルキル)アミノ基、ピロリジニル基、ピペリジル基、( $C_2 \sim C_7$ アルカノイル)ピペリジル基、 $C_3 \sim C_7$ ラクタム基、カルバモイル基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_4 \sim C_9$ N-シクロアルキルカルバモイル基、N-フェニルカルバモイル基、N-( $C_7 \sim C_{12}$ フェニルアルキル)カルバモイル基、 $C_2 \sim C_7$ アルカノイルアミノ基、 $C_2 \sim C_7$ アルコキシカルボニル基、カルボキシル基、ヒドロキシ基、ベンゾイル基、シアノ基、トリフルオロメチル基、ハロゲン原子、tert-ブトキシカルボニルアミノ基、 $C_1 \sim C_6$ アルキルスルホニル基、或いはヘテロ原子として酸素原子、硫黄原子、及び/又は窒素原子を1~3個有し、 $C_1 \sim C_6$ アルキル基で置換されてもよい複素環又は芳香族複素環によって置換されていてもよい。

#### 【0061】

なお、 $R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における上記の基の置換基の数は、化学的に可能と考え得る数であり、好ましくは0~15個、より好ましくは0~10個、さらにより好ましくは0~7個である。

#### 【0062】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における $C_1 \sim C_6$ アルキル基、 $C_3 \sim C_8$ シクロアルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、 $C_3 \sim C_5$ アルキレン基、 $C_2 \sim C_4$ アルキレンオキシ基、 $C_1 \sim C_3$ アルキレンジオキシ基、 $C_2 \sim C_7$ アルカノイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、ピペリジニルカルボニル基、モルホリニルカルボニル基、ピロリジニルカルボニル基、ピペラジニルカルボニル基、 $C_2 \sim C_7$ アルカノイルオキシ基、 $C_2 \sim C_7$ アルカノイル

アミノ基、 $C_1 \sim C_6$ アルキルスルホニル基、 $C_3 \sim C_8$  (アルコキシカルボニル) メチル基、モノ ( $C_1 \sim C_6$ アルキル) アミノ基、又は、ジ ( $C_1 \sim C_6$ アルキル) アミノ基、カルバモイル基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_4 \sim C_9$ N-シクロアルキルカルバモイル基は、 $R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基或いはそれら置換基のさらなる置換基についてそれぞれ定義されたものと同様であり、それぞれ同じ例を好適な具体例として挙げることができる。

## 【0063】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における「 $C_4 \sim C_{10}$ シクロアルカノイルアミノ基」とは、 $C_4 \sim C_{10}$ シクロアルカノイル基とアミノ基からなる基を意味し、その好適な具体例は、シクロプロパノイルアミノ、シクロブタノイルアミノ、シクロペンタノイルアミノ、シクロヘキサノイルアミノ等が挙げられる。

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における「 $C_3 \sim C_7$ アルケノイルアミノ基」とは、 $C_3 \sim C_7$ アルケノイル基とアミノ基からなる基を意味し、その好適な具体例はアクリロイル等が挙げられる。

## 【0064】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における「 $C_1 \sim C_6$ アルキルスルホニルアミノ基」とは、 $C_1 \sim C_6$ アルキルスルホニル基とアミノ基からなる基を意味し、その好適な具体例はメチルスルホニルアミノ、エチルスルホニルアミノ、プロピルスルホニルアミノ、ブチルスルホニルアミノ等が挙げられる。

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ における「N- ( $C_7 \sim C_{12}$ フェニルアルキル) カルバモイル基」とは、カルバモイル基と $C_7 \sim C_{12}$ フェニルアルキル基からなる基を意味し、その好適な具体例はフェニルメチルカルバモイル、フェニルエチルカルバモイル等が挙げられる。

## 【0065】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ の置換基としての $C_1 \sim C_6$ アルキル基、 $C_2 \sim C_6$ アルケニル基、 $C_2 \sim C_6$ アルキニル基、 $C_3 \sim C_5$ アルキレン基、 $C_3 \sim C_8$ シクロアルキル基、 $C_3 \sim C_8$ シクロアルケニル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、モノ ( $C_1 \sim C_6$ アルキル) アミノ基、ジ ( $C_1 \sim C_6$ アルキル) アミノ基



、 $C_3 \sim C_7$ ラクタム基、 $C_2 \sim C_7N$ -アルキルカルバモイル基、 $C_4 \sim C_9N$ -シクロアルキルカルバモイル基は、 $R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は縮合環の置換基、或いはそれら置換基のさらなる置換基についてそれぞれ定義されたものと同様であり、それぞれ同じ例を好適な具体例として挙げることができる。

## 【 0 0 6 6 】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ の置換基としての「( $C_1 \sim C_6$ アルコキシ) ( $C_1 \sim C_6$ アルコキシ) 基」とは、 $C_1 \sim C_6$ アルコキシ基と $C_1 \sim C_6$ アルコキシ基からなる基を意味し、その好適な具体例はメトキシメトキシ、メトキシエトキシ、エトキシエトキシ基等が挙げられる。

## 【 0 0 6 7 】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ の置換基としての「フェニル ( $C_1 \sim C_6$ アルコキシ) 基」とは、フェニル基と $C_1 \sim C_6$ アルコキシ基からなる基を意味し、その好適な具体例は、ベンジルオキシ、フェニルエトキシ、フェニルプロポキシ基等が挙げられる。

## 【 0 0 6 8 】

$R^4$ 、 $R^5$ 、 $R^6$ 及び $R^7$ の置換基としての「( $C_2 \sim C_7$ アルカノイル) ピペリジル基」とは、 $C_2 \sim C_7$ アルカノイル基とピペリジル基からなる基を意味し、その好適な具体例は1-(アセチル)-4-ピペリジル基等を挙げられる。

## 【 0 0 6 9 】

式(I)において、 $R^8$ は、水素原子又は $C_1 \sim C_6$ アルキル基を表わし、 $R^8$ における $C_1 \sim C_6$ アルキル基は、無置換或いはハロゲン原子、ヒドロキシ基、シアノ基、ニトロ基、カルボキシ基、カルバモイル基、メルカプト基、グアニジノ基、 $C_3 \sim C_8$ シクロアルキル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、フェニル基(フェニル基は、無置換或いはハロゲン原子、ヒドロキシ基、 $C_1 \sim C_6$ アルキル基、 $C_1 \sim C_6$ アルコキシ基、又はベンジルオキシ基によって置換されていてもよい。)、フェノキシ基、ベンジルオキシ基、ベンジルオキシカルボニル基、 $C_2 \sim C_7$ アルカノイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、 $C_2 \sim C_7$ アルカノイルオキシ基、 $C_2 \sim C_7$ アルカノイルアミノ基、 $C_2 \sim C_7N$ -アル

キルカルバモイル基、 $C_2 \sim C_6$ アルキルスルホニル基、アミノ基、モノ ( $C_1 \sim C_6$ アルキル) アミノ基、ジ ( $C_1 \sim C_6$ アルキル) アミノ基、又はウレイド基によって置換されていてもよい。

## 【0070】

なお、 $R^8$ における $C_1 \sim C_6$ アルキル基の置換基の数、及び $R^8$ における $C_1 \sim C_6$ アルキル基の置換基であるフェニル基の置換基の数は、化学的に可能と考え得る数であり、好ましくは0～15個、より好ましくは0～10個、さらにより好ましくは0～7個である。

## 【0071】

$R^8$ としての $C_1 \sim C_6$ アルキル基は、 $R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基、又は、縮合環の置換基について定義されたものと同様であり、同じ例を好適な具体例として挙げることができる。

## 【0072】

$R^8$ における $C_1 \sim C_6$ アルキル基の置換基としてのハロゲン原子、 $C_3 \sim C_8$ シクロアルキル基、 $C_1 \sim C_6$ アルコキシ基、 $C_1 \sim C_6$ アルキルチオ基、 $C_2 \sim C_7$ アルカノイル基、 $C_2 \sim C_7$ アルコキシカルボニル基、 $C_2 \sim C_7$ アルカノイルオキシ基、 $C_2 \sim C_7$ アルカノイルアミノ基、 $C_2 \sim C_7$ N-アルキルカルバモイル基、 $C_2 \sim C_6$ アルキルスルホニル基、モノ ( $C_1 \sim C_6$ アルキル) アミノ基及びジ ( $C_1 \sim C_6$ アルキル) アミノ基は、前記 $R^1$ におけるフェニル基、 $C_3 \sim C_8$ シクロアルキル基、芳香族複素環基又は縮合環の置換基について定義されたものと同様であり、それぞれ同じ例を好適な具体例として挙げるすることができる。

## 【0073】

式(I)のピペリジン誘導体の好適な具体例として、下記の表1～表8に示される各置換基を含有する化合物を挙げるることができる。なお、表1～表8において、「compnd. No.」は「化合物番号」を意味する。

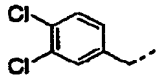
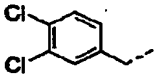
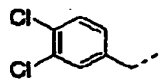
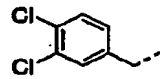
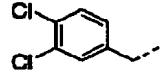
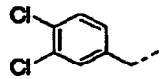
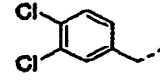
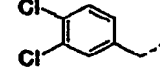
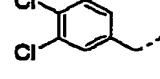
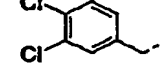
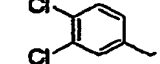
## 【0074】

表1-1から表1-6は、 $X = \text{単結合}$ 、 $q = 0$ 、 $r = 0$ 、かつ $Y = - (R^4)$   
 $C = C (R^5) -$ で表される化合物の好適な具体例である。

《表1-1》

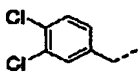
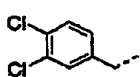
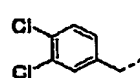
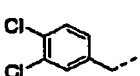
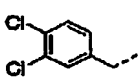
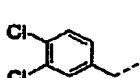
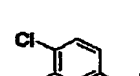
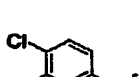
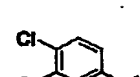
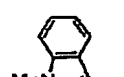
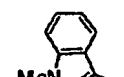
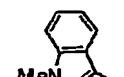
【0075】

【表1】

《表1-1》 X = 単結合, q = 0, r = 0, Y = -(R4)C=C(R5)-							
compnd NO.	R1	R2	R3	R4	R5	R6	R7
1-1-1		H	H	H	H	H	H
1-1-2		H	H	H	H	NO2	H
1-1-3		H	H	H	H	Me	H
1-1-4		H	H	H	H	Cl	H
1-1-5		H	H	H	H	F	H
1-1-6		H	H	H	H	CF3	H
1-1-7		H	H	H	H	COOH	H
1-1-8		H	H	H	Cl	Cl	H
1-1-9		H	H	H	H	H	Me
1-1-10		H	H	H	H	MeO	H
1-1-11		H	H	H	H	H	NO2

【表 2】

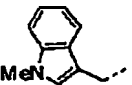
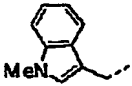
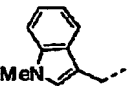
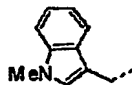
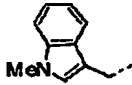
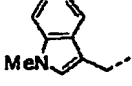
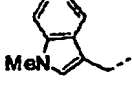
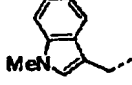
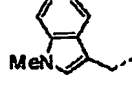
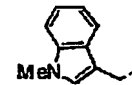
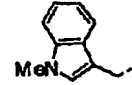
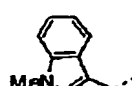
表1-1のつづき1

1-1-12		H	H	H	H	H	MeO
1-1-13		H	H	H	H	H	F
1-1-14		H	H	H	H	H	Cl
1-1-15		H	H	H	H	OCF <sub>3</sub>	H
1-1-16		H	H	H	H	CN	H
1-1-17		H	H	H	H	H	CN
1-1-18		H	H	H	H	H	COOH
1-1-19		H	H	H	H	OH	H
1-1-20		H	H	H	H	H	OH
1-1-21		H	H	H	H	NO <sub>2</sub>	H
1-1-22		H	H	H	H	Me	H
1-1-23		H	H	H	H	Cl	H

【0076】

【表 3】

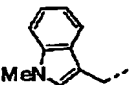
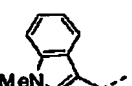
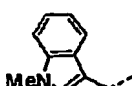
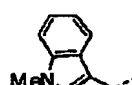
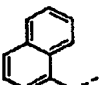
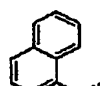
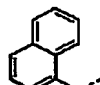
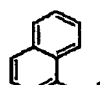
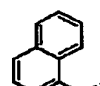
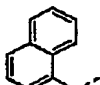
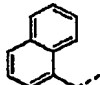
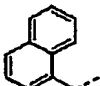
表 1-1 の つづき 2

1-1-24		H	H	H	H	F	H
1-1-25		H	H	H	H	CF <sub>3</sub>	H
1-1-26		H	H	H	H	COOH	H
1-1-27		H	H	H	Cl	Cl	H
1-1-28		H	H	H	H	H	Me
1-1-29		H	H	H	H	MeO	H
1-1-30		H	H	H	H	H	NO <sub>2</sub>
1-1-31		H	H	H	H	H	MeO
1-1-32		H	H	H	H	H	F
1-1-33		H	H	H	H	H	Cl
1-1-34		H	H	H	H	OCF <sub>3</sub>	H
1-1-35		H	H	H	H	CN	H

【 0 0 7 7 】

【表 4】

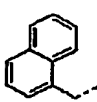
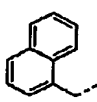
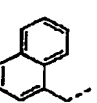
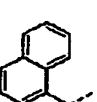
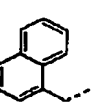
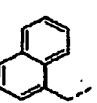
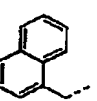
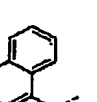
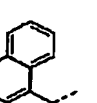
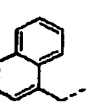
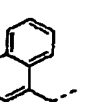

表1-1のつづき3

1-1-36		H	H	H	H	H	CN
1-1-37		H	H	H	H	H	COOH
1-1-38		H	H	H	H	OH	H
1-1-39		H	H	H	H	H	OH
1-1-40		H	H	H	H	NO2	H
1-1-41		H	H	H	H	Me	H
1-1-42		H	H	H	H	Cl	H
1-1-43		H	H	H	H	F	H
1-1-44		H	H	H	H	CF3	H
1-1-45		H	H	H	H	COOH	H
1-1-46		H	H	H	Cl	Cl	H
1-1-47		H	H	H	H	H	Me

【0078】

【表 5】

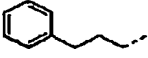
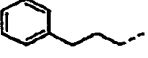
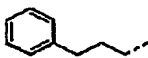
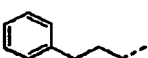
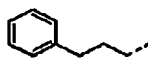
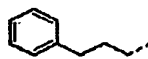
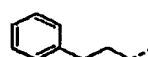
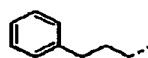
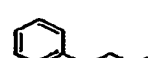


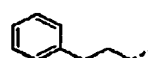
表1-1のつづき4

1-1-48		H	H	H	H	MeO	H
1-1-49		H	H	H	H	H	NO2
1-1-50		H	H	H	H	H	MeO
1-1-51		H	H	H	H	H	F
1-1-52		H	H	H	H	H	Cl
1-1-53		H	H	H	H	OCF3	H
1-1-54		H	H	H	H	CN	H
1-1-55		H	H	H	H	H	CN
1-1-56		H	H	H	H	H	COOH
1-1-57		H	H	H	H	OH	H
1-1-58		H	H	H	H	H	OH
1-1-59		H	H	H	H	NO2	H

【0079】

【表 6】

表1-1のつづき5

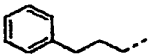
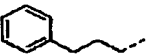
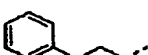


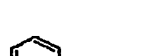
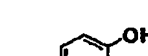





1-1-60		H	H	H	H	Me	H
1-1-61		H	H	H	H	Cl	H
1-1-62		H	H	H	H	F	H
1-1-63		H	H	H	H	CF3	H
1-1-64		H	H	H	H	COOH	H
1-1-65		H	H	H	Cl	Cl	H
1-1-66		H	H	H	H	H	Me
1-1-67		H	H	H	H	MeO	H
1-1-68		H	H	H	H	H	NO2
1-1-69		H	H	H	H	H	MeO
1-1-70		H	H	H	H	H	F
1-1-71		H	H	H	H	H	Cl

【0 0 8 0】



【表 7】

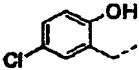
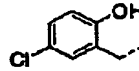
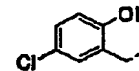
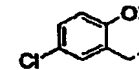
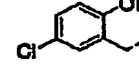
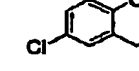
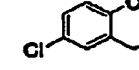
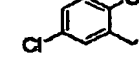
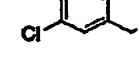
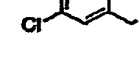
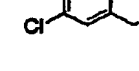
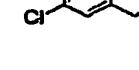
表1-1のつづき6

1-1-72		H	H	H	H	OCF3	H
1-1-73		H	H	H	H	CN	H
1-1-74		H	H	H	H	H	CN
1-1-75		H	H	H	H	H	COOH
1-1-76		H	H	H	H	OH	H
1-1-77		H	H	H	H	H	OH
1-1-78		H	H	H	H	NO2	H
1-1-79		H	H	H	H	Me	H
1-1-80		H	H	H	H	Cl	H
1-1-81		H	H	H	H	F	H
1-1-82		H	H	H	H	CF3	H
1-1-83		H	H	H	H	COOH	H

【0081】

【表 8】

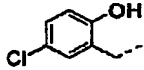
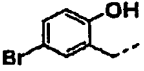
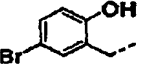
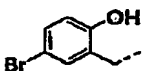
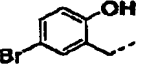
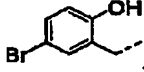
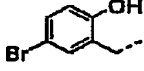
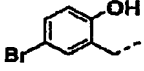
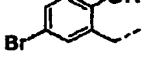
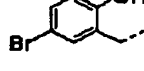
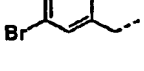

表1-1のつづき7

1-1-84		H	H	H	Cl	Cl	H
1-1-85		H	H	H	H	H	Me
1-1-86		H	H	H	H	MeO	H
1-1-87		H	H	H	H	H	NO2
1-1-88		H	H	H	H	H	MeO
1-1-89		H	H	H	H	H	F
1-1-90		H	H	H	H	H	Cl
1-1-91		H	H	H	H	OCF3	H
1-1-92		H	H	H	H	CN	H
1-1-93		H	H	H	H	H	CN
1-1-94		H	H	H	H	H	COOH
1-1-95		H	H	H	H	OH	H

【0082】

【表 9】

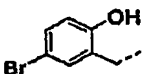
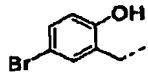
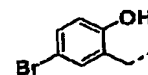
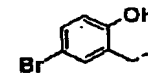
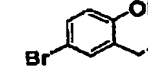
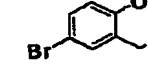
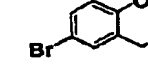
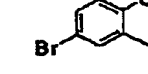
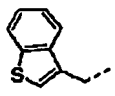
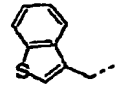
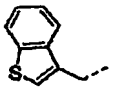
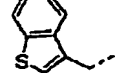
表1-1のつづき8

1-1-96		H	H	H	H	H	OH
1-1-97		H	H	H	H	NO2	H
1-1-98		H	H	H	H	Me	H
1-1-99		H	H	H	H	Cl	H
1-1-100		H	H	H	H	F	H
1-1-101		H	H	H	H	CF3	H
1-1-102		H	H	H	H	COOH	H
1-1-103		H	H	H	Cl	Cl	H
1-1-104		H	H	H	H	H	Me
1-1-105		H	H	H	H	MeO	H
1-1-106		H	H	H	H	H	NO2
1-1-107		H	H	H	H	H	MeO

【0083】

【表10】

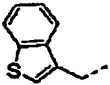
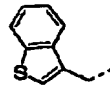
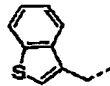
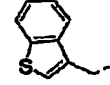
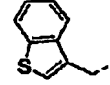
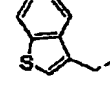
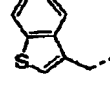
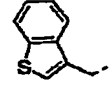
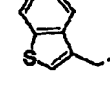
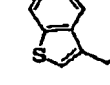
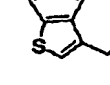

表1-1のつづき9

1-1-108		H	H	H	H	H	F
1-1-109		H	H	H	H	H	Cl
1-1-110		H	H	H	H	OCF3	H
1-1-111		H	H	H	H	CN	H
1-1-112		H	H	H	H	H	CN
1-1-113		H	H	H	H	H	COOH
1-1-114		H	H	H	H	OH	H
1-1-115		H	H	H	H	H	OH
1-1-116		H	H	H	H	NO2	H
1-1-117		H	H	H	H	Me	H
1-1-118		H	H	H	H	Cl	H
1-1-119		H	H	H	H	F	H

【0084】

【表11】

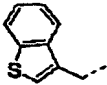
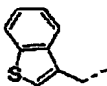
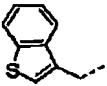
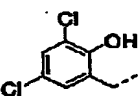
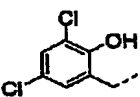
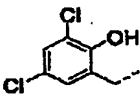
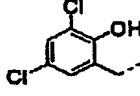
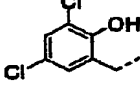
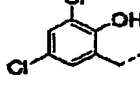
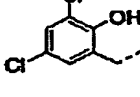
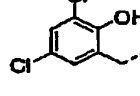
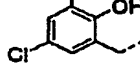
表1-1のつづき10

1-1-120		H	H	H	H	CF3	H
1-1-121		H	H	H	H	COOH	H
1-1-122		H	H	H	Cl	Cl	H
1-1-123		H	H	H	H	H	Me
1-1-124		H	H	H	H	MeO	H
1-1-125		H	H	H	H	H	NO2
1-1-126		H	H	H	H	H	MeO
1-1-127		H	H	H	H	H	F
1-1-128		H	H	H	H	H	Cl
1-1-129		H	H	H	H	OCF3	H
1-1-130		H	H	H	H	CN	H
1-1-131		H	H	H	H	H	CN

【0085】

【表 12】

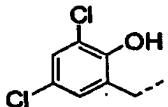
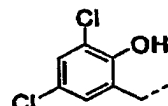
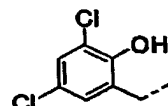
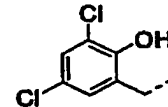
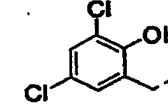
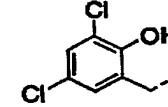
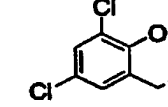
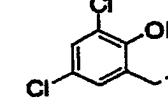
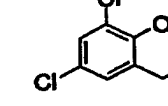
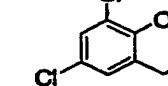
表1-1のつづき11

1-1-132		H	H	H	H	H	COOH
1-1-133		H	H	H	H	OH	H
1-1-134		H	H	H	H	H	OH
1-1-135		H	H	H	H	NO2	H
1-1-136		H	H	H	H	Me	H
1-1-137		H	H	H	H	Cl	H
1-1-138		H	H	H	H	F	H
1-1-139		H	H	H	H	CF3	H
1-1-140		H	H	H	H	COOH	H
1-1-141		H	H	H	Cl	Cl	H
1-1-142		H	H	H	H	H	Me
1-1-143		H	H	H	H	MeO	H

【0086】

【表 13】

表1-1のつづき12

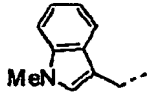
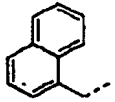
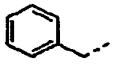
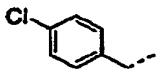
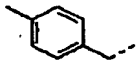
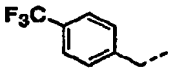
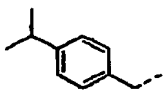
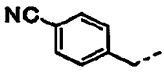
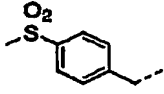
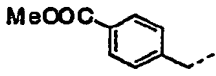
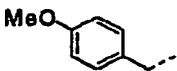
1-1-144		H	H	H	H	H	NO2
1-1-145		H	H	H	H	H	MeO
1-1-146		H	H	H	H	H	F
1-1-147		H	H	H	H	H	Cl
1-1-148		H	H	H	H	OCF3	H
1-1-149		H	H	H	H	CN	H
1-1-150		H	H	H	H	H	CN
1-1-151		H	H	H	H	H	COOH
1-1-152		H	H	H	H	OH	H
1-1-153		H	H	H	H	H	OH

【0087】

《表 1-2》

【表 14】

《表 1-2》 X = 単結合, q = 0, r = 0, Y = -(R4)C=C(R5)-

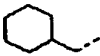
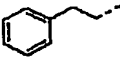
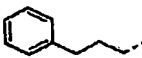
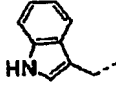
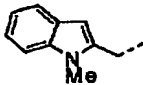


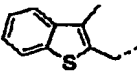


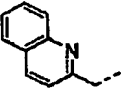

cmpnd NO.	R1	R2	R3	R4	R5	R6	R7
1-2-1		H	H	H	H	H	H
1-2-2		H	H	H	H	H	H
1-2-3		H	H	H	H	H	H
1-2-4		H	H	H	H	H	H
1-2-5		H	H	H	H	H	H
1-2-6		H	H	H	H	H	H
1-2-7		H	H	H	H	H	H
1-2-8		H	H	H	H	H	H
1-2-9		H	H	H	H	H	H
1-2-10		H	H	H	H	H	H
1-2-11		H	H	H	H	H	H



【0088】

【表15】

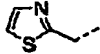
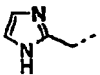
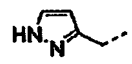
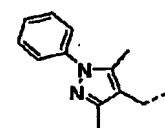
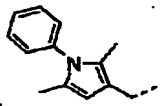
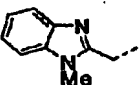
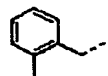
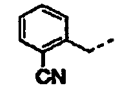
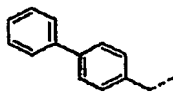
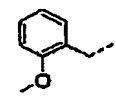
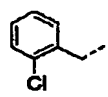
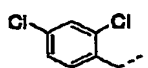
表1-2のつづき1

1-2-12		H	H	H	H	H	H
1-2-13		H	H	H	H	H	H
1-2-14		H	H	H	H	H	H
1-2-15		H	H	H	H	H	H
1-2-16		H	H	H	H	H	H
1-2-17		H	H	H	H	H	H
1-2-18		H	H	H	H	H	H
1-2-19		H	H	H	H	H	H
1-2-20		H	H	H	H	H	H
1-2-21		H	H	H	H	H	H
1-2-22		H	H	H	H	H	H
1-2-23		H	H	H	H	H	H

【0089】

【表 16】

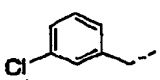
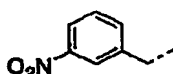
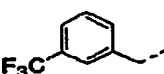
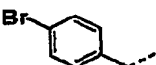
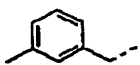
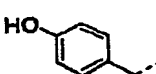
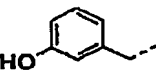
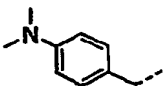
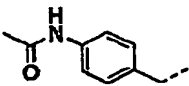
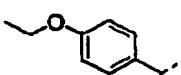
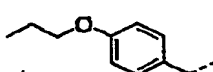
表1-2のつづき2

1-2-24		H	H	H	H	H	H
1-2-25		H	H	H	H	H	H
1-2-26		H	H	H	H	H	H
1-2-27		H	H	H	H	H	H
1-2-28		H	H	H	H	H	H
1-2-29		H	H	H	H	H	H
1-2-30		H	H	H	H	H	H
1-2-31		H	H	H	H	H	H
1-2-32		H	H	H	H	H	H
1-2-33		H	H	H	H	H	H
1-2-34		H	H	H	H	H	H
1-2-35		H	H	H	H	H	H

【0090】

【表17】

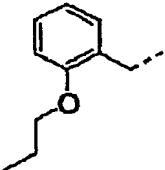
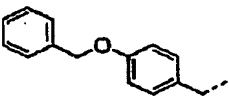
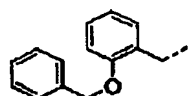
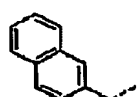
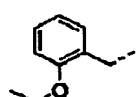
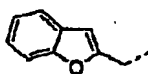
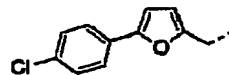
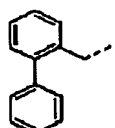
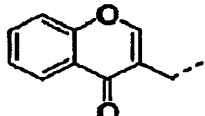
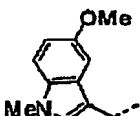
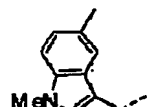
表1-2のつづき3

1-2-36		H	H	H	H	H	H
1-2-37		H	H	H	H	H	H
1-2-38		H	H	H	H	H	H
1-2-39		H	H	H	H	H	H
1-2-40		H	H	H	H	H	H
1-2-41		H	H	H	H	H	H
1-2-42		H	H	H	H	H	H
1-2-43		H	H	H	H	H	H
1-2-44		H	H	H	H	H	H
1-2-45		H	H	H	H	H	H
1-2-46		H	H	H	H	H	H

【0091】

【表18】

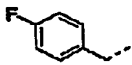

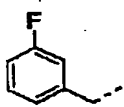
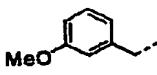
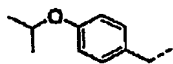
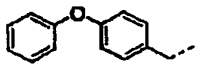
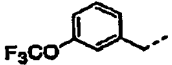
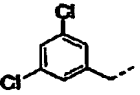
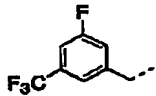
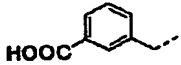
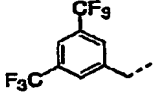
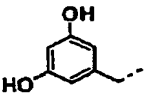
表1-2のつづき4

1-2-47		H	H	H	H	H	H
1-2-48		H	H	H	H	H	H
1-2-49		H	H	H	H	H	H
1-2-50		H	H	H	H	H	H
1-2-51		H	H	H	H	H	H
1-2-52		H	H	H	H	H	H
1-2-53		H	H	H	H	H	H
1-2-54		H	H	H	H	H	H
1-2-55		H	H	H	H	H	H
1-2-56		H	H	H	H	H	H
1-2-57		H	H	H	H	H	H

【0092】

【表19】

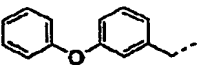
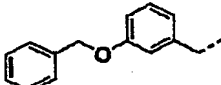
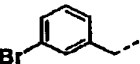
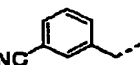
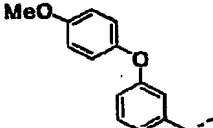
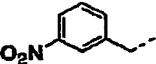
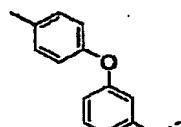
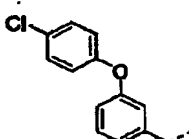
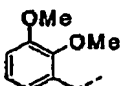
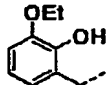
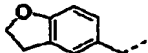
表1-2のつづき5

1-2-58		H	H	H	H	H	H
1-2-59		H	H	H	H	H	H
1-2-60		H	H	H	H	H	H
1-2-61		H	H	H	H	H	H
1-2-62		H	H	H	H	H	H
1-2-63		H	H	H	H	H	H
1-2-64		H	H	H	H	H	H
1-2-65		H	H	H	H	H	H
1-2-66		H	H	H	H	H	H
1-2-67		H	H	H	H	H	H
1-2-68		H	H	H	H	H	H
1-2-69		H	H	H	H	H	H

【0093】

【表20】

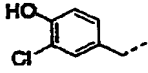
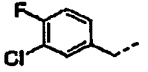
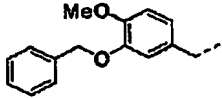
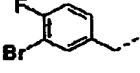
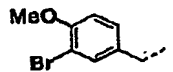
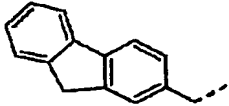
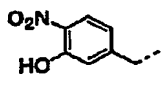
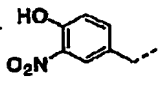
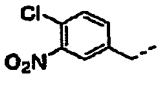
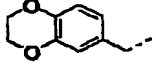
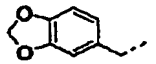
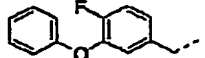
表1-2のつづき6

1-2-70		H	H	H	H	H	H
1-2-71		H	H	H	H	H	H
1-2-72		H	H	H	H	H	H
1-2-73		H	H	H	H	H	H
1-2-74		H	H	H	H	H	H
1-2-75		H	H	H	H	H	H
1-2-76		H	H	H	H	H	H
1-2-77		H	H	H	H	H	H
1-2-78		H	H	H	H	H	H
1-2-79		H	H	H	H	H	H
1-2-80		H	H	H	H	H	H

【0094】

【表 21】

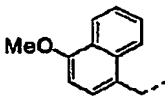
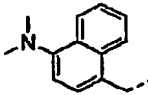
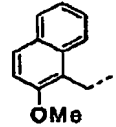
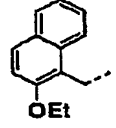
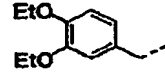
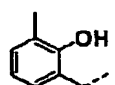
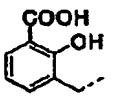
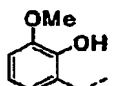
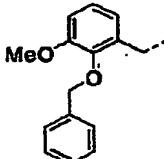
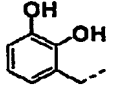
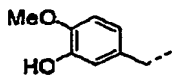
表1-2のつづき7

1-2-81		H	H	H	H	H	H
1-2-82		H	H	H	H	H	H
1-2-83		H	H	H	H	H	H
1-2-84		H	H	H	H	H	H
1-2-85		H	H	H	H	H	H
1-2-86		H	H	H	H	H	H
1-2-87		H	H	H	H	H	H
1-2-88		H	H	H	H	H	H
1-2-89		H	H	H	H	H	H
1-2-90		H	H	H	H	H	H
1-2-91		H	H	H	H	H	H
1-2-92		H	H	H	H	H	H

【0095】

【表 22】

表1-2のつづき8

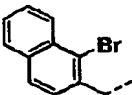
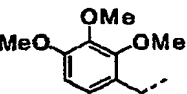
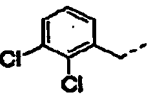
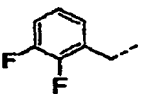
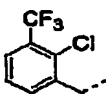
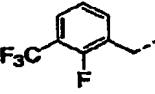
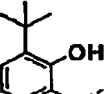
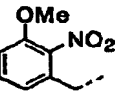
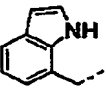
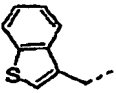
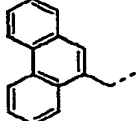
1-2-93		H	H	H	H	H	H
1-2-94		H	H	H	H	H	H
1-2-95		H	H	H	H	H	H
1-2-96		H	H	H	H	H	H
1-2-97		H	H	H	H	H	H
1-2-98		H	H	H	H	H	H
1-2-99		H	H	H	H	H	H
1-2-100		H	H	H	H	H	H
1-2-101		H	H	H	H	H	H
1-2-102		H	H	H	H	H	H
1-2-103		H	H	H	H	H	H



【0096】

【表23】

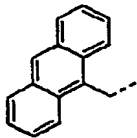
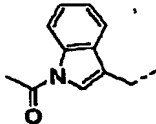
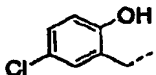
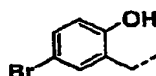
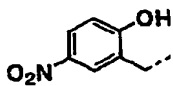
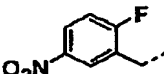
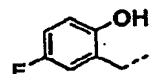
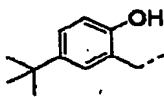
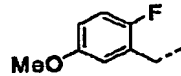
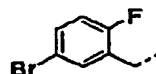
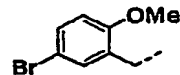
表1-2のつづき9

1-2-104		H	H	H	H	H	H
1-2-105		H	H	H	H	H	H
1-2-108		H	H	H	H	H	H
1-2-107		H	H	H	H	H	H
1-2-108		H	H	H	H	H	H
1-2-109		H	H	H	H	H	H
1-2-110		H	H	H	H	H	H
1-2-111		H	H	H	H	H	H
1-2-112		H	H	H	H	H	H
1-2-113		H	H	H	H	H	H
1-2-114		H	H	H	H	H	H

【0097】

【表24】

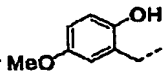
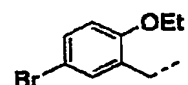
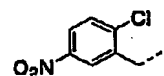
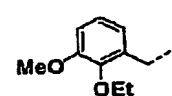
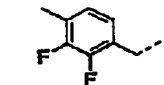
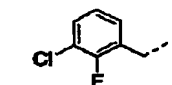
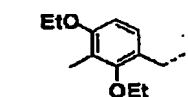
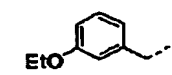
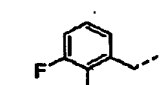
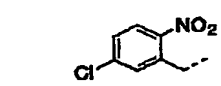
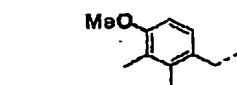
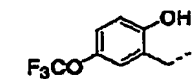
表1-2のつづき10

1-2-115		H	H	H	H	H	H
1-2-116		H	H	H	H	H	H
1-2-117		H	H	H	H	H	H
1-2-118		H	H	H	H	H	H
1-2-119		H	H	H	H	H	H
1-2-120		H	H	H	H	H	H
1-2-121		H	H	H	H	H	H
1-2-122		H	H	H	H	H	H
1-2-123		H	H	H	H	H	H
1-2-124		H	H	H	H	H	H
1-2-125		H	H	H	H	H	H

【0098】

【表25】

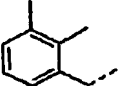
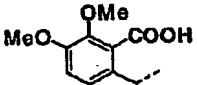
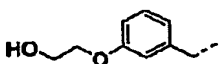
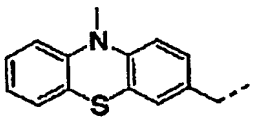
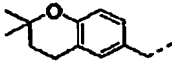
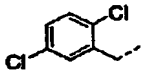
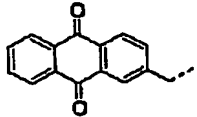
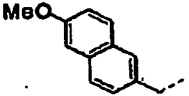
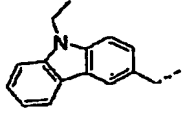
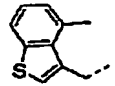
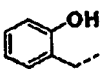
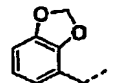
表1-2のつづき11

1-2-126		H	H	H	H	H	H
1-2-127		H	H	H	H	H	H
1-2-128		H	H	H	H	H	H
1-2-129		H	H	H	H	H	H
1-2-130		H	H	H	H	H	H
1-2-131		H	H	H	H	H	H
1-2-132		H	H	H	H	H	H
1-2-133		H	H	H	H	H	H
1-2-134		H	H	H	H	H	H
1-2-135		H	H	H	H	H	H
1-2-136		H	H	H	H	H	H
1-2-137		H	H	H	H	H	H

【0099】

【表 26】

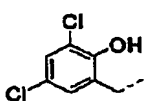
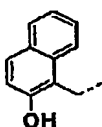
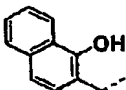
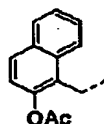
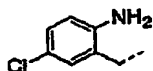
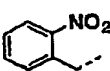
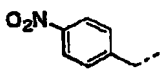
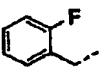
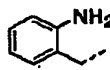
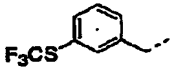
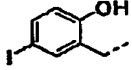
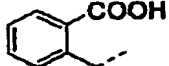
表1-2のつづき12

1-2-138		H	H	H	H	H	H
1-2-139		H	H	H	H	H	H
1-2-140		H	H	H	H	H	H
1-2-141		H	H	H	H	H	H
1-2-142		H	H	H	H	H	H
1-2-143		H	H	H	H	H	H
1-2-144		H	H	H	H	H	H
1-2-145		H	H	H	H	H	H
1-2-146		H	H	H	H	H	H
1-2-147		H	H	H	H	H	H
1-2-148		H	H	H	H	H	H
1-2-149		H	H	H	H	H	H

【0100】

【表 27】

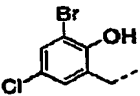
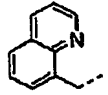
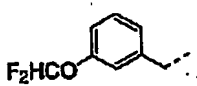
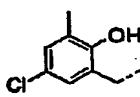
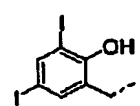
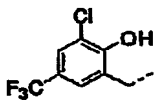
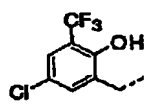
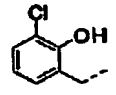
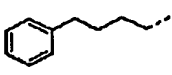
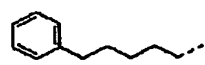
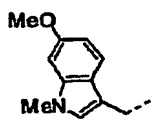
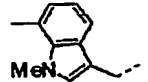
表1-2のつづき13

1-2-150		H	H	H	H	H	H
1-2-151		H	H	H	H	H	H
1-2-152		H	H	H	H	H	H
1-2-153		H	H	H	H	H	H
1-2-154		H	H	H	H	H	H
1-2-155		H	H	H	H	H	H
1-2-156		H	H	H	H	H	H
1-2-157		H	H	H	H	H	H
1-2-158		H	H	H	H	H	H
1-2-159		H	H	H	H	H	H
1-2-160		H	H	H	H	H	H
1-2-161		H	H	H	H	H	H

【0101】

【表 28】

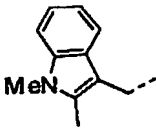
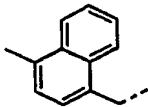
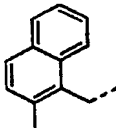
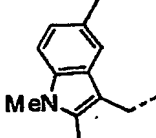
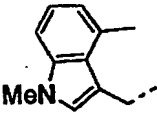
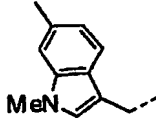
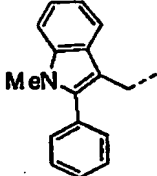
表1-2のつづき14

1-2-162		H	H	H	H	H	H
1-2-163		H	H	H	H	H	H
1-2-164		H	H	H	H	H	H
1-2-165		H	H	H	H	H	H
1-2-166		H	H	H	H	H	H
1-2-167		H	H	H	H	H	H
1-2-168		H	H	H	H	H	H
1-2-169		H	H	H	H	H	H
1-2-170		H	H	H	H	H	H
1-2-171		H	H	H	H	H	H
1-2-172		H	H	H	H	H	H
1-2-173		H	H	H	H	H	H

【0102】

【表29】


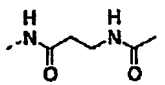
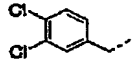
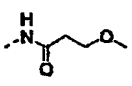
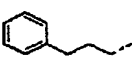
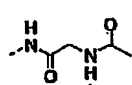
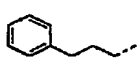
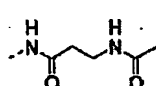
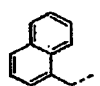
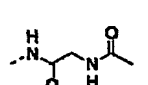
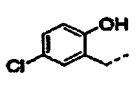
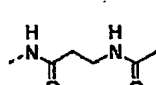
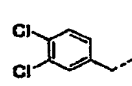
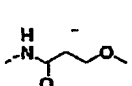
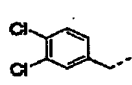
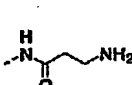
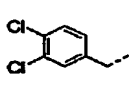
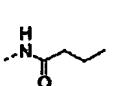
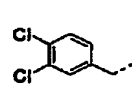
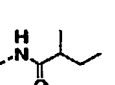
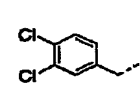
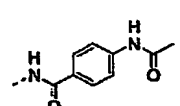
表1-2のつづき15

1-2-174		H	H	H	H	H	H
1-2-175		H	H	H	H	H	H
1-2-176		H	H	H	H	H	H
1-2-177		H	H	H	H	H	H
1-2-178		H	H	H	H	H	H
1-2-179		H	H	H	H	H	H
1-2-180		H	H	H	H	H	H

【0103】

《表1-3》

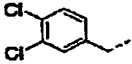
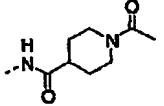
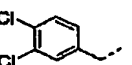
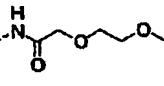
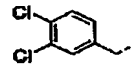
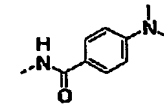
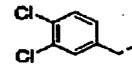
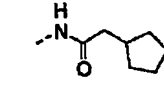
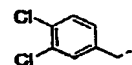
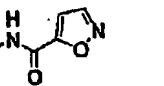
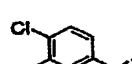
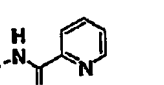
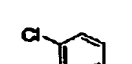
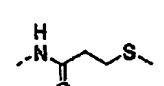
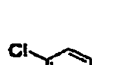
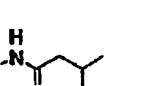
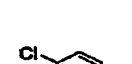
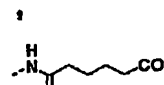

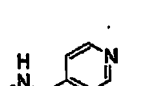



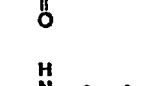
【表 30】

《表 1-3》 X=単結合, q=0, r=0, Y=- (R4)C=C(R5)-							
compnd NO.	R1	R2	R3	R4	R5	R6	R7
1-3-1		H	H	H	H	H	
1-3-2		H	H	H	H	H	
1-3-3		H	H	H	H	H	
1-3-4		H	H	H	H	H	
1-3-5		H	H	H	H	H	
1-3-6		H	H	H	H	H	
1-3-7		H	H	H	H		H
1-3-8		H	H	H	H		H
1-3-9		H	H	H	H	H	
1-3-10		H	H	H	H	H	
1-3-11		H	H	H	H	H	

【0104】



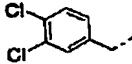
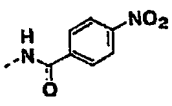
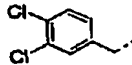
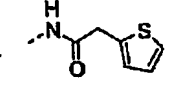
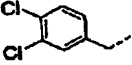
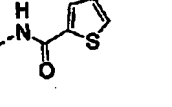
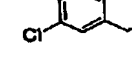
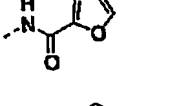
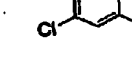
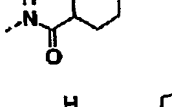
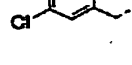
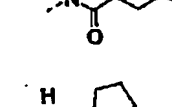

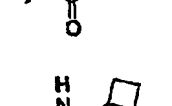
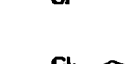
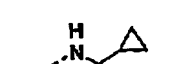
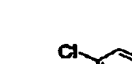
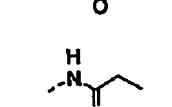
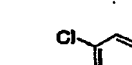
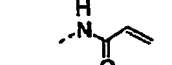
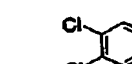
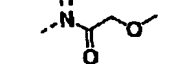


【表 3 1】

						表1-3のつづき1
1-3-12		H	H	H	H	
1-3-13		H	H	H	H	
1-3-14		H	H	H	H	
1-3-15		H	H	H	H	
1-3-16		H	H	H	H	
1-3-17		H	H	H	H	
1-3-18		H	H	H	H	
1-3-19		H	H	H	H	
1-3-20		H	H	H	H	
1-3-21		H	H	H	H	
1-3-22		H	H	H	H	
1-3-23		H	H	H	H	

【0105】

【表 3 2】

表1-3のつづき2

1-3-24		H	H	H	H	H	
1-3-25		H	H	H	H	H	
1-3-26		H	H	H	H	H	
1-3-27		H	H	H	H	H	
1-3-28		H	H	H	H	H	
1-3-29		H	H	H	H	H	
1-3-30		H	H	H	H	H	
1-3-31		H	H	H	H	H	
1-3-32		H	H	H	H	H	
1-3-33		H	H	H	H	H	
1-3-34		H	H	H	H	H	
1-3-35		H	H	H	H	H	

【0106】

【表 3 3】

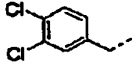
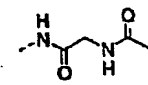
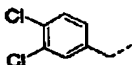
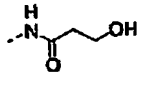
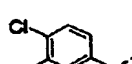
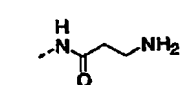
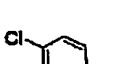
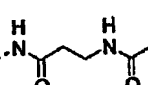
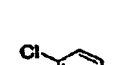


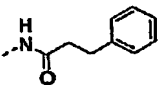



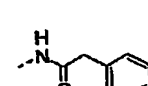
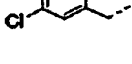
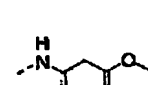
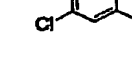
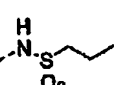
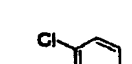
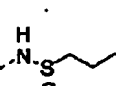
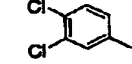
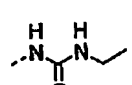
1-3-36		H	H	H	H	H	
1-3-37		H	H	H	H	H	
1-3-38		H	H	H	H	H	
1-3-39		H	H	H	H	H	
1-3-40		H	H	H	H	H	
1-3-41		H	H	H	H	H	
1-3-42		H	H	H	H	H	
1-3-43		H	H	H	H	H	
1-3-44		H	H	H	H	H	
1-3-45		H	H	H	H	H	
1-3-46		H	H	H	H	H	
1-3-47		H	H	H	H	H	

【0107】

表1-3のつづき

【表 3 4】

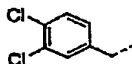
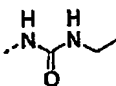
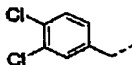
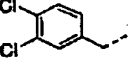
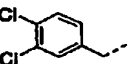
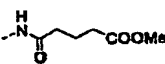
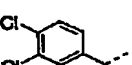
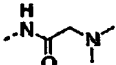
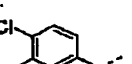
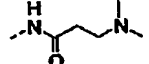
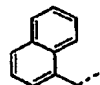
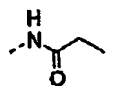
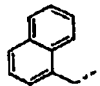
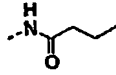
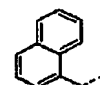
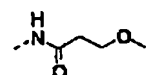
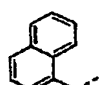
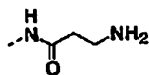
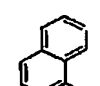
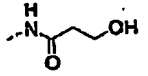
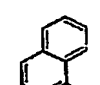
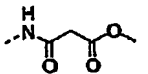
表1-3のつづき4

1-3-48		H	H	H	H	H	
1-3-49		H	H	H	H	H	
1-3-50		H	H	H	H	H	
1-3-51		H	H	H	H	H	
1-3-52		H	H	H	H		H
1-3-53		H	H	H	H		H
1-3-54		H	H	H	H		H
1-3-55		H	H	H	H		H
1-3-56		H	H	H	H		H
1-3-57		H	H	H	H	H	
1-3-58		H	H	H	H		H
1-3-59		H	H	H	H	H	

【0108】

【表 35】

表1-3のつづき5

1-3-60		H	H	H	H		H
1-3-61		H	H	H	H	H	NH2
1-3-62		H	H	H	H	NH2	H
1-3-63		H	H	H	H	H	
1-3-64		H	H	H	H	H	
1-3-65		H	H	H	H	H	
1-3-66		H	H	H	H	H	
1-3-67		H	H	H	H	H	
1-3-68		H	H	H	H	H	
1-3-69		H	H	H	H	H	
1-3-70		H	H	H	H	H	
1-3-71		H	H	H	H	H	

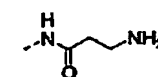
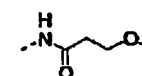
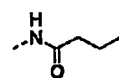
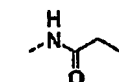
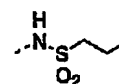
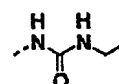
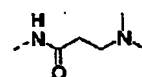
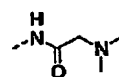
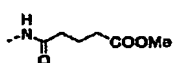
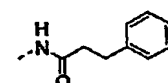
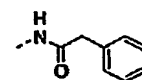
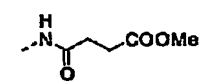
【0109】

【表 3 6】

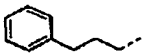
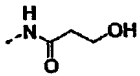
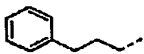
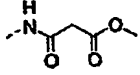
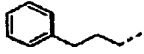
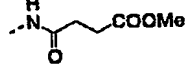
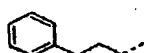
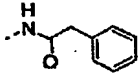
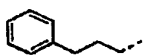
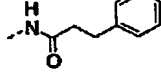
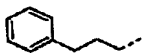
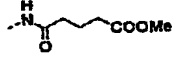
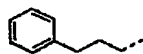
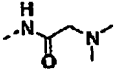
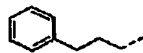
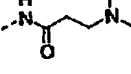
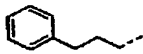
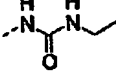
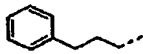
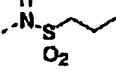
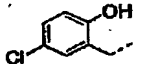
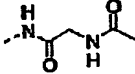
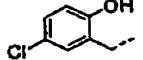
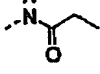
1-3-72		H	H	H	H	H
1-3-73		H	H	H	H	H
1-3-74		H	H	H	H	H
1-3-75		H	H	H	H	H
1-3-76		H	H	H	H	H
1-3-77		H	H	H	H	H
1-3-78		H	H	H	H	H
1-3-79		H	H	H	H	H
1-3-80		H	H	H	H	H
1-3-81		H	H	H	H	H
1-3-82		H	H	H	H	H
1-3-83		H	H	H	H	H

【0 1 1 0】

表1-3のつづき6



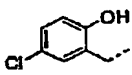
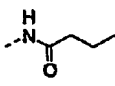
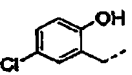
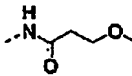
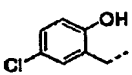
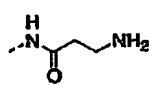
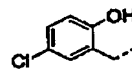
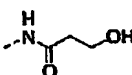
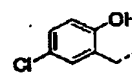
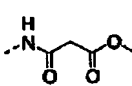
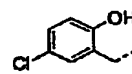
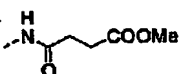
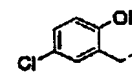
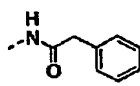
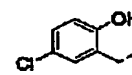
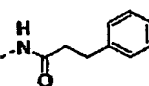
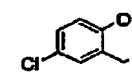
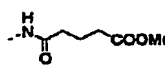
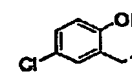
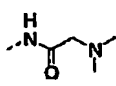
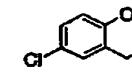
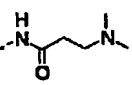
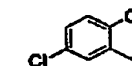
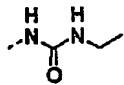
【表 37】

1-3-84		H	H	H	H	H	
1-3-85		H	H	H	H	H	
1-3-86		H	H	H	H	H	
1-3-87		H	H	H	H	H	
1-3-88		H	H	H	H	H	
1-3-89		H	H	H	H	H	
1-3-90		H	H	H	H	H	
1-3-91		H	H	H	H	H	
1-3-92		H	H	H	H	H	
1-3-93		H	H	H	H	H	
1-3-94		H	H	H	H	H	
1-3-95		H	H	H	H	H	

【0111】

表1-3のつづき7

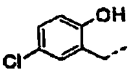
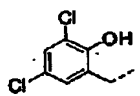
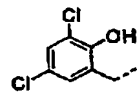
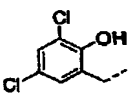
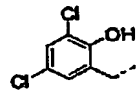
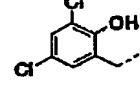
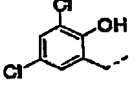
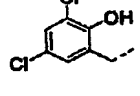
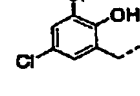
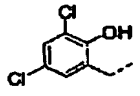
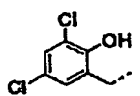
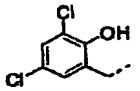
【表 3 8】

						表1-3のつづき8
1-3-96		H	H	H	H	
1-3-97		H	H	H	H	
1-3-98		H	H	H	H	
1-3-99		H	H	H	H	
1-3-100		H	H	H	H	
1-3-101		H	H	H	H	
1-3-102		H	H	H	H	
1-3-103		H	H	H	H	
1-3-104		H	H	H	H	
1-3-105		H	H	H	H	
1-3-106		H	H	H	H	
1-3-107		H	H	H	H	

【0112】

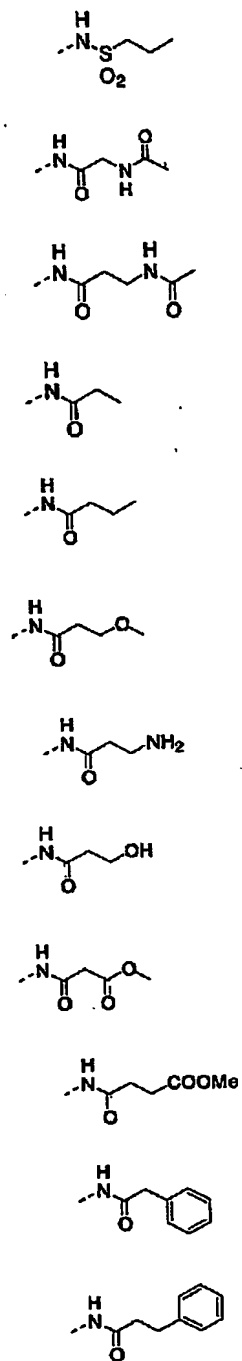


【表 39】

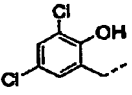
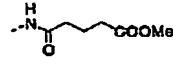
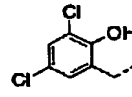
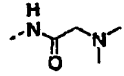
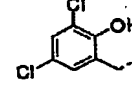
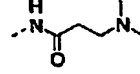
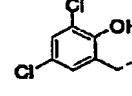
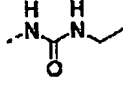
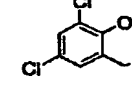
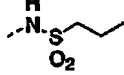
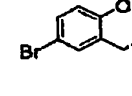
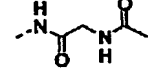
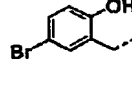
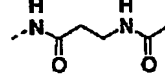
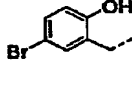

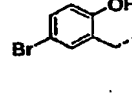
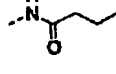
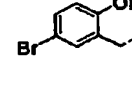
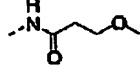
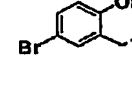
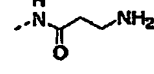
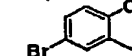
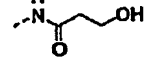
1-3-108		H	H	H	H	H
1-3-109		H	H	H	H	H
1-3-110		H	H	H	H	H
1-3-111		H	H	H	H	H
1-3-112		H	H	H	H	H
1-3-113		H	H	H	H	H
1-3-114		H	H	H	H	H
1-3-115		H	H	H	H	H
1-3-116		H	H	H	H	H
1-3-117		H	H	H	H	H
1-3-118		H	H	H	H	H
1-3-119		H	H	H	H	H

【0113】

表1-3のつぎ9



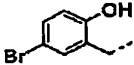
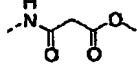
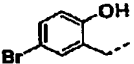
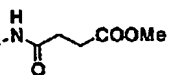
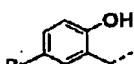
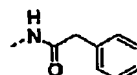
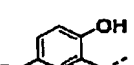
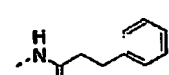

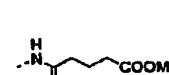
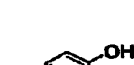


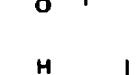

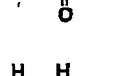
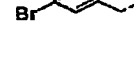
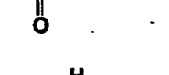
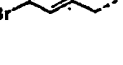
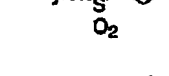
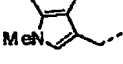
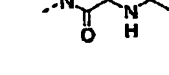
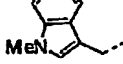
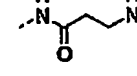
【表 40】

						表1-3のつづき10
1-3-120		H	H	H	H	
1-3-121		H	H	H	H	
1-3-122		H	H	H	H	
1-3-123		H	H	H	H	
1-3-124		H	H	H	H	
1-3-125		H	H	H	H	
1-3-126		H	H	H	H	
1-3-127		H	H	H	H	
1-3-128		H	H	H	H	
1-3-129		H	H	H	H	
1-3-130		H	H	H	H	
1-3-131		H	H	H	H	

【0114】

【表41】

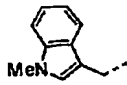
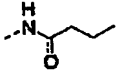
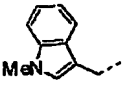
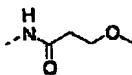
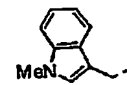
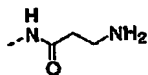
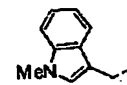
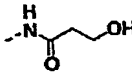
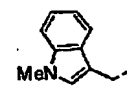
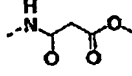
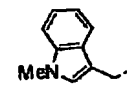
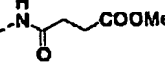
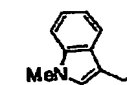
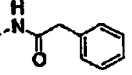
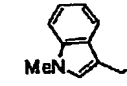
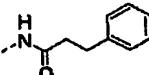
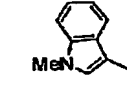
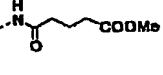
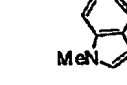
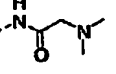
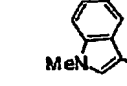
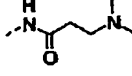
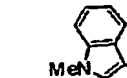
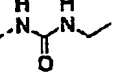
表1-3のつづき11

1-3-132		H	H	H	H	H	
1-3-133		H	H	H	H	H	
1-3-134		H	H	H	H	H	
1-3-135		H	H	H	H	H	
1-3-136		H	H	H	H	H	
1-3-137		H	H	H	H	H	
1-3-138		H	H	H	H	H	
1-3-139		H	H	H	H	H	
1-3-140		H	H	H	H	H	
1-3-141		H	H	H	H	H	
1-3-142		H	H	H	H	H	
1-3-143		H	H	H	H	H	

【0115】

【表 4 2】

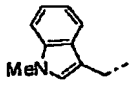
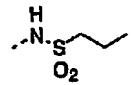
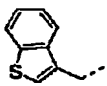
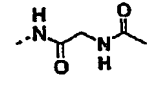
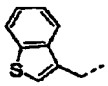
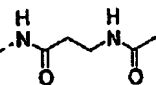
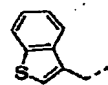
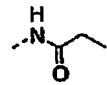
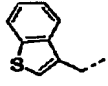
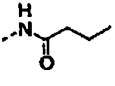
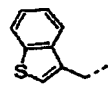
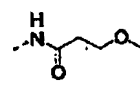
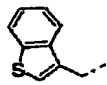
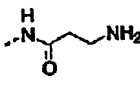
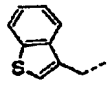
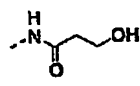
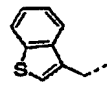
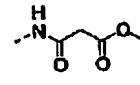
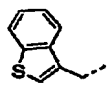
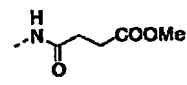
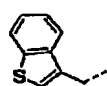
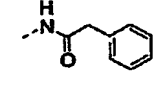
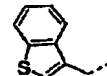
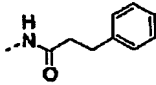
表1-3のつづき12

1-3-144		H	H	H	H	H	
1-3-145		H	H	H	H	H	
1-3-146		H	H	H	H	H	
1-3-147		H	H	H	H	H	
1-3-148		H	H	H	H	H	
1-3-149		H	H	H	H	H	
1-3-150		H	H	H	H	H	
1-3-151		H	H	H	H	H	
1-3-152		H	H	H	H	H	
1-3-153		H	H	H	H	H	
1-3-154		H	H	H	H	H	
1-3-155		H	H	H	H	H	

【0116】

【表 4 3】

表 1-3 の つづ き 13

1-3-156		H	H	H	H	H	
1-3-157		H	H	H	H	H	
1-3-158		H	H	H	H	H	
1-3-159		H	H	H	H	H	
1-3-160		H	H	H	H	H	
1-3-161		H	H	H	H	H	
1-3-162		H	H	H	H	H	
1-3-163		H	H	H	H	H	
1-3-164		H	H	H	H	H	
1-3-165		H	H	H	H	H	
1-3-166		H	H	H	H	H	
1-3-167		H	H	H	H	H	

【 0 1 1 7 】

【表 4 4】

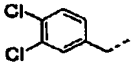
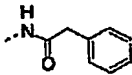
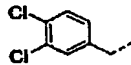
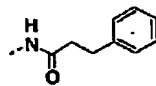
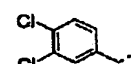
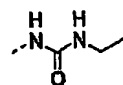
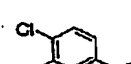
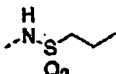

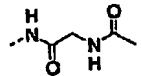

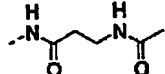



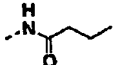

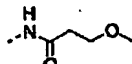

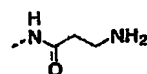

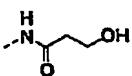

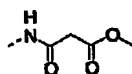
表1-3のつづき14

1-3-168		H	H	H	H	H	
1-3-169		H	H	H	H	H	
1-3-170		H	H	H	H	H	
1-3-171		H	H	H	H	H	
1-3-172		H	H	H	H	H	
1-3-173		H	H	H	H		H
1-3-174		H	H	H	H		H
1-3-175		H	H	H	H		H
1-3-176		H	H	H	H		H
1-3-177		H	H	H	H		H
1-3-178		H	H	H	H		H
1-3-179		H	H	H	H		H

【0118】

【表 4 5】


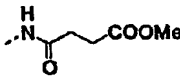

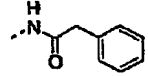

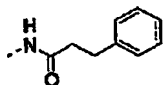

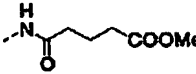

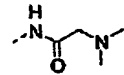

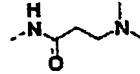

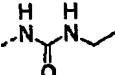

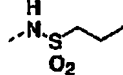
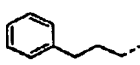
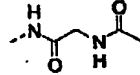
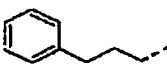
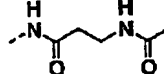
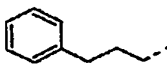

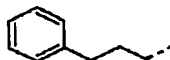
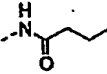
表1-3のつづき15

1-3-180		H	H	H	H		H
1-3-181		H	H	H	H		H
1-3-182		H	H	H	H		H
1-3-183		H	H	H	H		H
1-3-184		H	H	H	H		H
1-3-185		H	H	H	H		H
1-3-186		H	H	H	H		H
1-3-187		H	H	H	H		H
1-3-188		H	H	H	H		H
1-3-189		H	H	H	H		H
1-3-190		H	H	H	H		H
1-3-191		H	H	H	H		H

【 0 1.1 9】

【表 46】

表1-3のつづき16

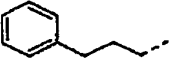
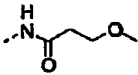
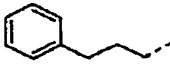
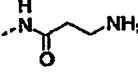
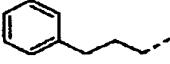
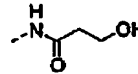
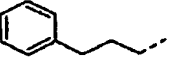
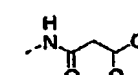
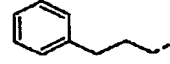
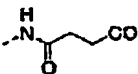
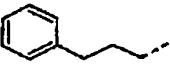
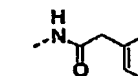
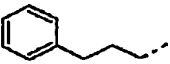
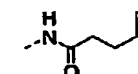
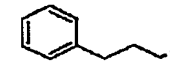
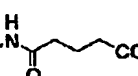
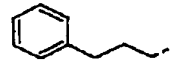
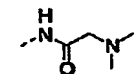
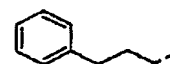
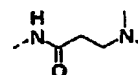
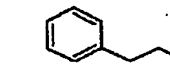
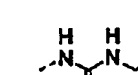
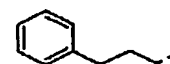
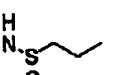
1-3-192		H	H	H	H		H
1-3-193		H	H	H	H		H
1-3-194		H	H	H	H		H
1-3-195		H	H	H	H		H
1-3-196		H	H	H	H		H
1-3-197		H	H	H	H		H
1-3-198		H	H	H	H		H
1-3-199		H	H	H	H		H
1-3-200		H	H	H	H		H
1-3-201		H	H	H	H		H
1-3-202		H	H	H	H		H
1-3-203		H	H	H	H		H

【0120】



【表 4 7】

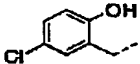
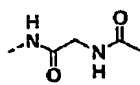
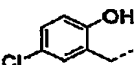
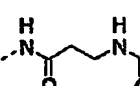
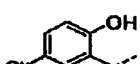
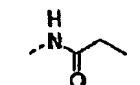
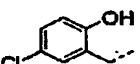
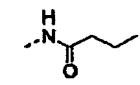
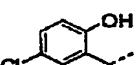
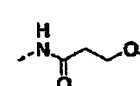
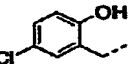
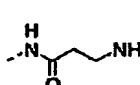
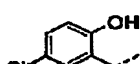
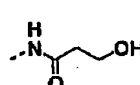
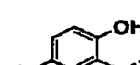
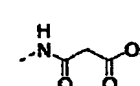
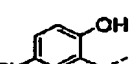
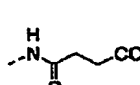
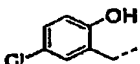
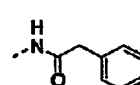
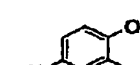
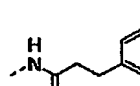
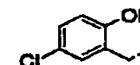
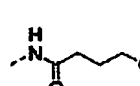
表1-3のつづき17

1-3-204		H	H	H	H		H
1-3-205		H	H	H	H		H
1-3-206		H	H	H	H		H
1-3-207		H	H	H	H		H
1-3-208		H	H	H	H		H
1-3-209		H	H	H	H		H
1-3-210		H	H	H	H		H
1-3-211		H	H	H	H		H
1-3-212		H	H	H	H		H
1-3-213		H	H	H	H		H
1-3-214		H	H	H	H		H
1-3-215		H	H	H	H		H

【 0 1 2 1 】

【表 4 8】

表1-3のつづき18

1-3-216		H	H	H	H		H
1-3-217		H	H	H	H		H
1-3-218		H	H	H	H		H
1-3-219		H	H	H	H		H
1-3-220		H	H	H	H		H
1-3-221		H	H	H	H		H
1-3-222		H	H	H	H		H
1-3-223		H	H	H	H		H
1-3-224		H	H	H	H		H
1-3-225		H	H	H	H		H
1-3-226		H	H	H	H		H
1-3-227		H	H	H	H		H

【0122】

【表 49】

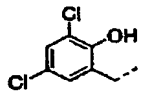
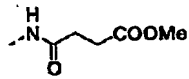
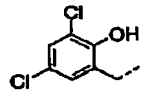
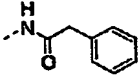
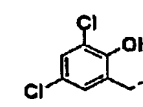
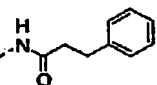
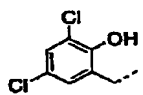
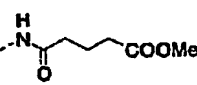
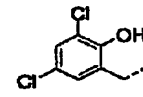
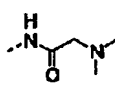
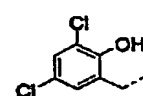
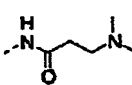
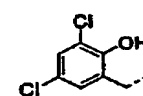
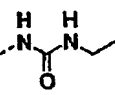
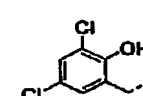
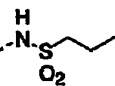
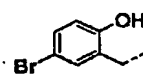
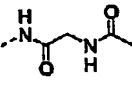
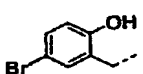
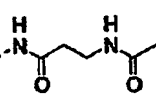
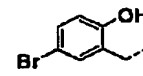

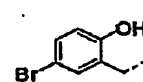

表1-3のつづき19

1-3-228		H	H	H	H		H
1-3-229		H	H	H	H		H
1-3-230		H	H	H	H		H
1-3-231		H	H	H	H		H
1-3-232		H	H	H	H		H
1-3-233		H	H	H	H		H
1-3-234		H	H	H	H		H
1-3-235		H	H	H	H		H
1-3-236		H	H	H	H		H
1-3-237		H	H	H	H		H
1-3-238		H	H	H	H		H
1-3-239		H	H	H	H		H

【0123】

【表 50】

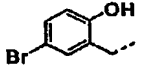
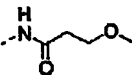
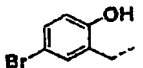
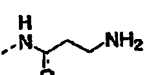
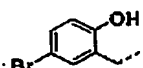
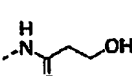
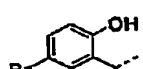
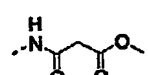
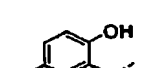
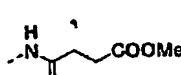
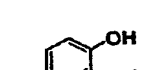
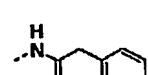
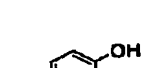
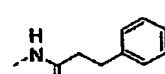

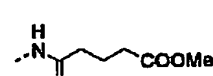

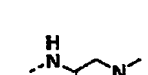


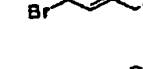
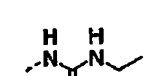
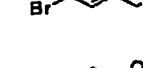
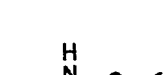
表1-3のつづき20

1-3-240		H	H	H	H		H
1-3-241		H	H	H	H		H
1-3-242		H	H	H	H		H
1-3-243		H	H	H	H		H
1-3-244		H	H	H	H		H
1-3-245		H	H	H	H		H
1-3-246		H	H	H	H		H
1-3-247		H	H	H	H		H
1-3-248		H	H	H	H		H
1-3-249		H	H	H	H		H
1-3-250		H	H	H	H		H
1-3-251		H	H	H	H		H

【0124】

【表 5 1】

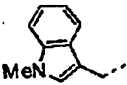
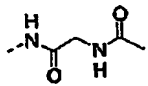
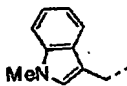
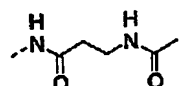
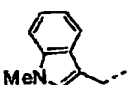
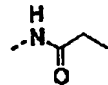
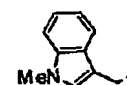
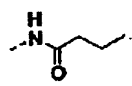
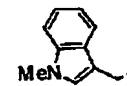
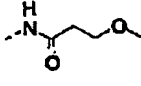
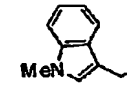
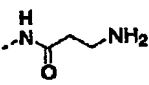
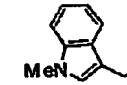
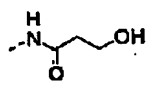
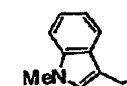
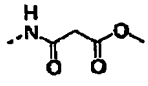
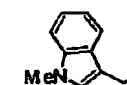
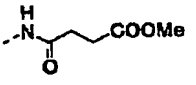
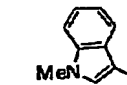
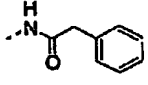
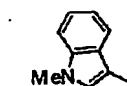
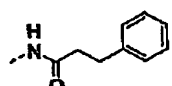
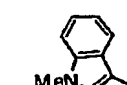
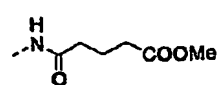
表 1-3 の つづ き 21

1-3-252		H	H	H	H		H
1-3-253		H	H	H	H		H
1-3-254		H	H	H	H		H
1-3-255		H	H	H	H		H
1-3-256		H	H	H	H		H
1-3-257		H	H	H	H		H
1-3-258		H	H	H	H		H
1-3-259		H	H	H	H		H
1-3-260		H	H	H	H		H
1-3-261		H	H	H	H		H
1-3-262		H	H	H	H		H
1-3-263		H	H	H	H		H

【 0 1 2 5 】

【表 5 2】

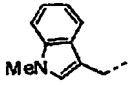
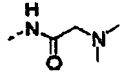
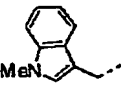
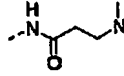
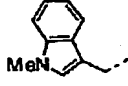
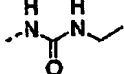
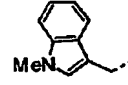
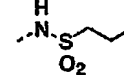
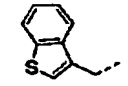
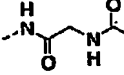
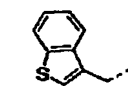
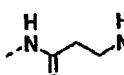
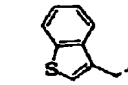
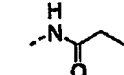
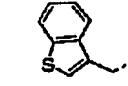
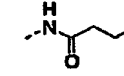
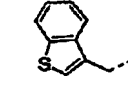
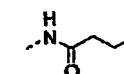
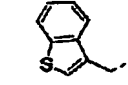
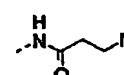
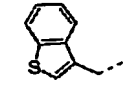
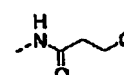
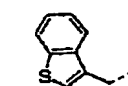
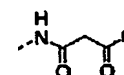
表1-3のつづき22

1-3-264		H	H	H	H		H
1-3-266		H	H	H	H		H
1-3-267		H	H	H	H		H
1-3-268		H	H	H	H		H
1-3-269		H	H	H	H		H
1-3-270		H	H	H	H		H
1-3-271		H	H	H	H		H
1-3-272		H	H	H	H		H
1-3-273		H	H	H	H		H
1-3-274		H	H	H	H		H
1-3-275		H	H	H	H		H
1-3-276		H	H	H	H		H

【0126】

【表 53】

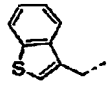
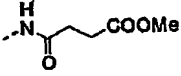
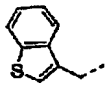
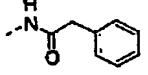
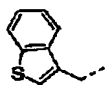
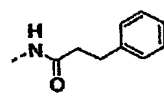
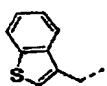
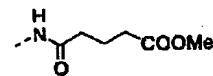
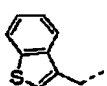
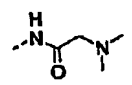
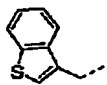
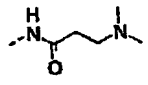
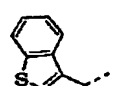
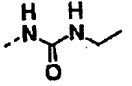
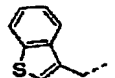
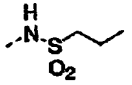
表1-3のつづき23

1-3-277		H	H	H	H		H
1-3-278		H	H	H	H		H
1-3-279		H	H	H	H		H
1-3-280		H	H	H	H		H
1-3-281		H	H	H	H		H
1-3-282		H	H	H	H		H
1-3-283		H	H	H	H		H
1-3-284		H	H	H	H		H
1-3-285		H	H	H	H		H
1-3-286		H	H	H	H		H
1-3-287		H	H	H	H		H
1-3-288		H	H	H	H		H

【0127】

【表 54】

表1-3のつづき24

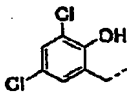
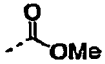
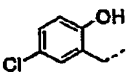
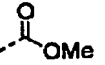
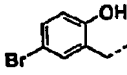
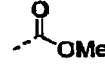
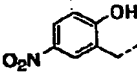
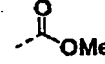

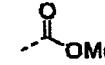
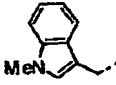
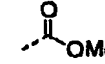

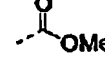
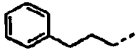
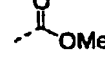
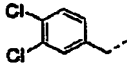
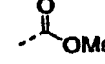
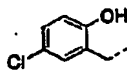
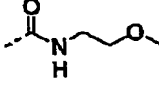
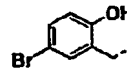
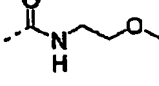
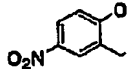
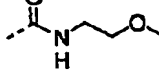
1-3-289		H	H	H	H		H
1-3-290		H	H	H	H		H
1-3-291		H	H	H	H		H
1-3-292		H	H	H	H		H
1-3-293		H	H	H	H		H
1-3-294		H	H	H	H		H
1-3-295		H	H	H	H		H
1-3-296		H	H	H	H		H

【0128】

《表 1-4》



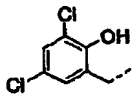
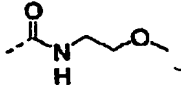
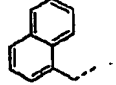
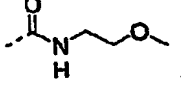
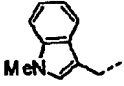
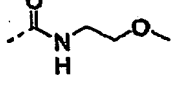
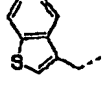
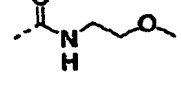
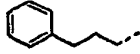
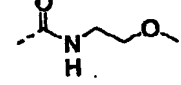
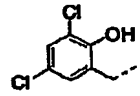
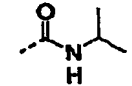
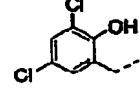

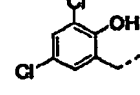
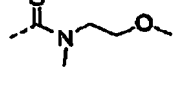
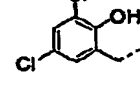
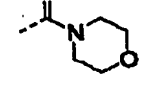
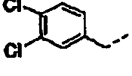
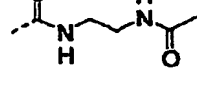
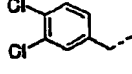
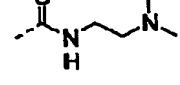
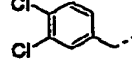
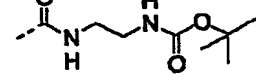
【表 55】

《表 1-4》 X = 単結合, q = 0, r = 0, Y = -(R4)C-C(R5)-							
compd NO.	R1	R2	R3	R4	R5	R6	R7
1-4-1		H	H	H	H	H	
1-4-2		H	H	H	H	H	
1-4-3		H	H	H	H	H	
1-4-4		H	H	H	H	H	
1-4-5		H	H	H	H	H	
1-4-6		H	H	H	H	H	
1-4-7		H	H	H	H	H	
1-4-8		H	H	H	H	H	
1-4-9		H	H	H	H	H	
1-4-10		H	H	H	H	H	
1-4-11		H	H	H	H	H	
1-4-12		H	H	H	H	H	

【0129】

【表 56】

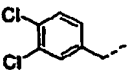
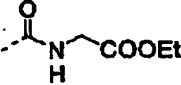
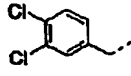
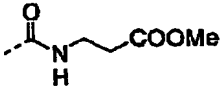
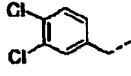
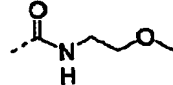
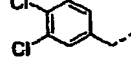
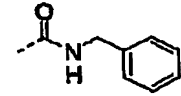
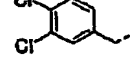
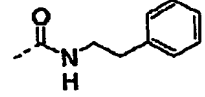
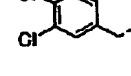
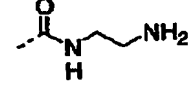

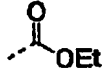

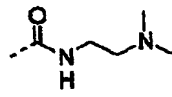

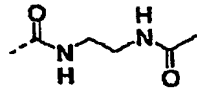

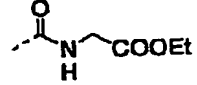

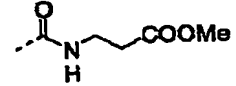

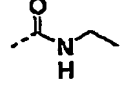
表1-4のつづき1

1-4-13		H	H	H	H	H	
1-4-14		H	H	H	H	H	
1-4-15		H	H	H	H	H	
1-4-16		H	H	H	H	H	
1-4-17		H	H	H	H	H	
1-4-18		H	H	H	H	H	
1-4-19		H	H	H	H	H	
1-4-20		H	H	H	H	H	
1-4-21		H	H	H	H	H	
1-4-22		H	H	H	H	H	
1-4-23		H	H	H	H	H	
1-4-24		H	H	H	H	H	

【0130】

【表 57】

表1-4のつづき

1-4-25		H	H	H	H	H	
1-4-26		H	H	H	H	H	
1-4-27		H	H	H	H	H	
1-4-28		H	H	H	H	H	
1-4-29		H	H	H	H	H	
1-4-30		H	H	H	H	H	
1-4-31		H	H	H	H	H	
1-4-32		H	H	H	H	H	
1-4-33		H	H	H	H	H	
1-4-34		H	H	H	H	H	
1-4-35		H	H	H	H	H	
1-4-36		H	H	H	H	H	

【0131】

【表 58】

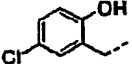
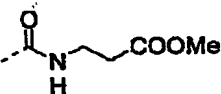
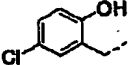
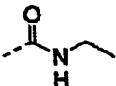
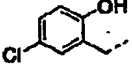
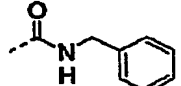
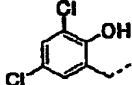

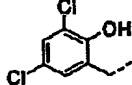
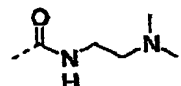
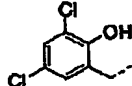
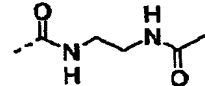
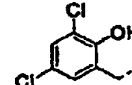
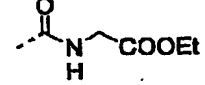
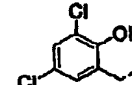
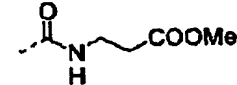
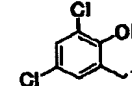
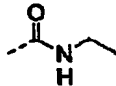
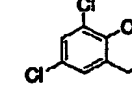
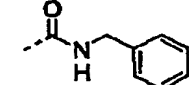
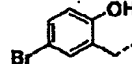

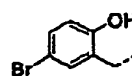
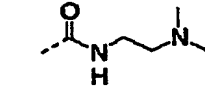
表1-4のつづき3

1-4-37		H	H	H	H	H	
1-4-38		H	H	H	H	H	
1-4-39		H	H	H	H	H	
1-4-40		H	H	H	H	H	
1-4-41		H	H	H	H	H	
1-4-42		H	H	H	H	H	
1-4-43		H	H	H	H	H	
1-4-44		H	H	H	H	H	
1-4-45		H	H	H	H	H	
1-4-46		H	H	H	H	H	
1-4-47		H	H	H	H	H	
1-4-48		H	H	H	H	H	

【0132】

【表 59】

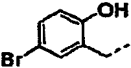
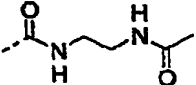
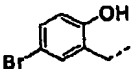
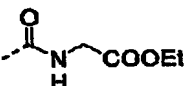
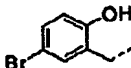
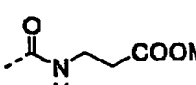
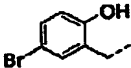
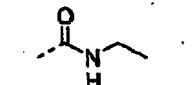
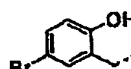
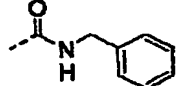
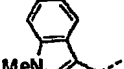
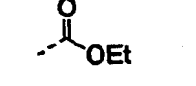
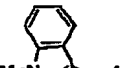
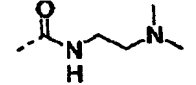
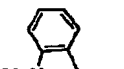
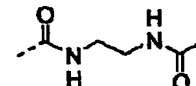
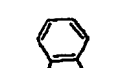
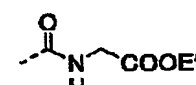

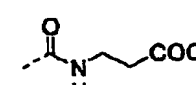
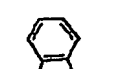
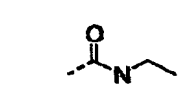
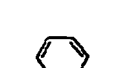
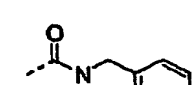
表1-4のつづき4

1-4-49		H	H	H	H	H	
1-4-50		H	H	H	H	H	
1-4-51		H	H	H	H	H	
1-4-52		H	H	H	H	H	
1-4-53		H	H	H	H	H	
1-4-54		H	H	H	H	H	
1-4-55		H	H	H	H	H	
1-4-56		H	H	H	H	H	
1-4-57		H	H	H	H	H	
1-4-58		H	H	H	H	H	
1-4-59		H	H	H	H	H	
1-4-60		H	H	H	H	H	

【0133】

【表 60】

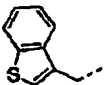
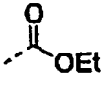
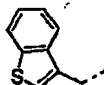
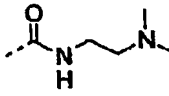
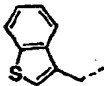
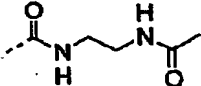
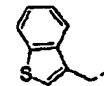
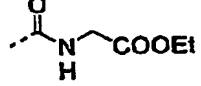
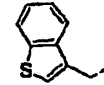
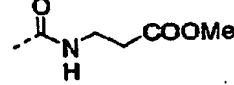
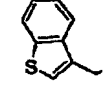
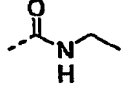
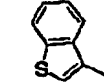
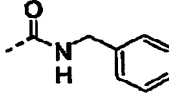
表1-4のつづき5

1-4-61		H	H	H	H	H	
1-4-62		H	H	H	H	H	
1-4-63		H	H	H	H	H	
1-4-64		H	H	H	H	H	
1-4-65		H	H	H	H	H	
1-4-66		H	H	H	H	H	
1-4-67		H	H	H	H	H	
1-4-68		H	H	H	H	H	
1-4-69		H	H	H	H	H	
1-4-70		H	H	H	H	H	
1-4-71		H	H	H	H	H	
1-4-72		H	H	H	H	H	

【0134】

【表 6 1】

表1-4のつづき6

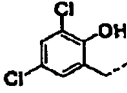
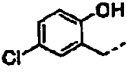

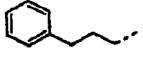
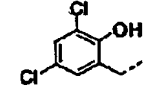
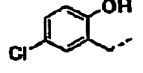

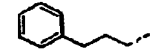

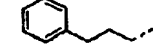
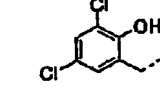
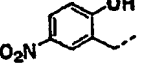
1-4-73		H	H	H	H	H	
1-4-74		H	H	H	H	H	
1-4-75		H	H	H	H	H	
1-4-76		H	H	H	H	H	
1-4-77		H	H	H	H	H	
1-4-78		H	H	H	H	H	
1-4-79		H	H	H	H	H	

【 0 . 1 3 5 】

《表 1 - 5》

【表 6 2】

《表 1-5》 X=単結合, g=0, r=0, Y=-(R4)C=C(R5)-


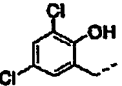

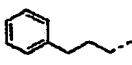
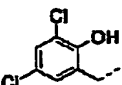

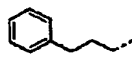
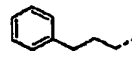
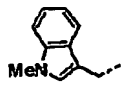
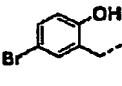

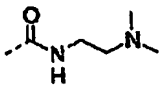

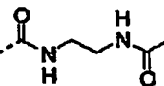

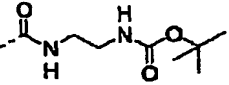
empnd NO.	R1	R2	R3	R4	R5	R6	R7
1-5-1		H	H	H	H	COOEt	H
1-5-2		H	H	H	H	COOEt	H
1-5-3		H	H	H	H	COOEt	H
1-5-4		H	H	H	H	COOEt	H
1-5-5		H	H	H	H	COOCH(Me)2	H
1-5-6		H	H	H	H	COOCH(Me)2	H
1-5-7		H	H	H	H	COOCH(Me)2	H
1-5-8		H	H	H	H	COOCH(Me)2	H
1-5-9		H	H	H	H	COOMe	H
1-5-10		H	H	H	H	COOMe	H
1-5-11		H	H	H	H	COOMe	H
1-5-12		H	H	H	H	COOMe	H

【 0 1 3 6 】



【表 63】


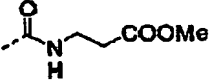

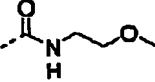

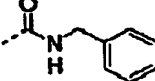

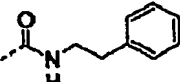

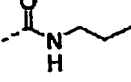

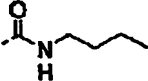

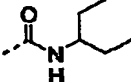

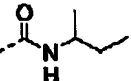

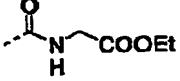

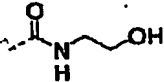

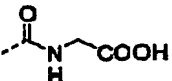

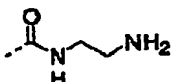
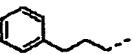
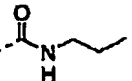
表1-5のつづき1

1-5-13		H	H	H	H	COOMe	H
1-5-14		H	Me	H	H	COOMe	H
1-5-15		H	Me	H	H	COOMe	H
1-5-16		H	Me	H	H	COOMe	H
1-5-17		H	Me	H	COOMe	H	H
1-5-18		H	Me	H	COOMe	H	H
1-5-19		H	Me	H	COOMe	H	H
1-5-20		H	H	H	H	COOH	H
1-5-21		H	H	H	H	COOH	H
1-5-22		H	H	H	H	COOH	H
1-5-23		H	H	H	H		H
1-5-24		H	H	H	H		H
1-5-25		H	H	H	H		H

【0137】

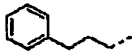
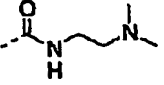
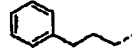
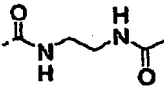
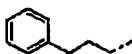
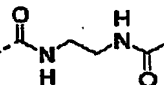
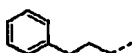
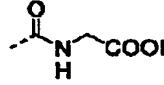
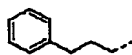
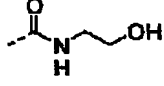
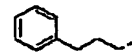
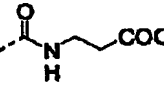
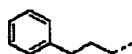
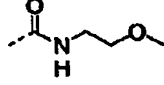
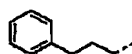
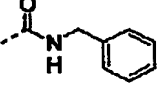
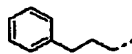
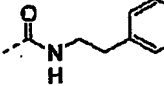
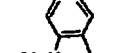
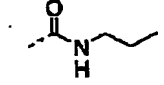

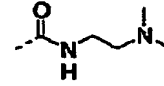

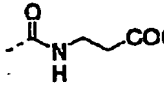

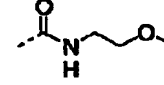
【表64】

表1-5のつづき2

1-5-26		H	H	H	H		H
1-5-27		H	H	H	H		H
1-5-28		H	H	H	H		H
1-5-29		H	H	H	H		H
1-5-30		H	H	H	H		H
1-5-31		H	H	H	H		H
1-5-32		H	H	H	H		H
1-5-33		H	H	H	H		H
1-5-34		H	H	H	H		H
1-5-35		H	H	H	H		H
1-5-36		H	H	H	H		H
1-5-37		H	H	H	H		H
1-5-38		H	H	H	H		H

【0138】

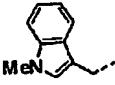
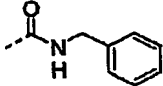
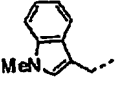
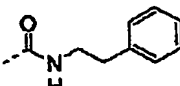
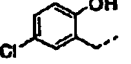
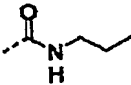
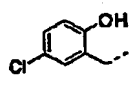
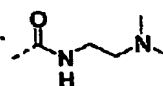
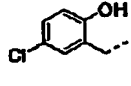
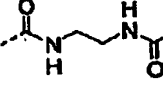
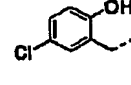
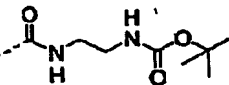
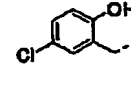
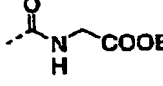
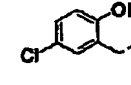
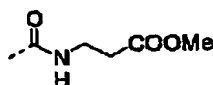
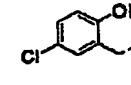
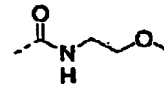
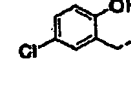
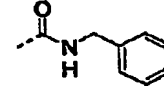
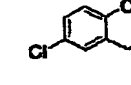
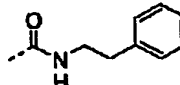
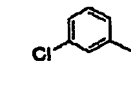
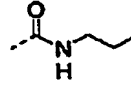
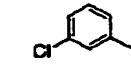
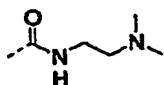
【表 6 5】

						表1-5のつづき3	
1-5-39		H	H	H	H		H
1-5-40		H	H	H	H		H
1-5-41		H	H	H	H		H
1-5-42		H	H	H	H		H
1-5-43		H	H	H	H		H
1-5-44		H	H	H	H		H
1-5-45		H	H	H	H		H
1-5-46		H	H	H	H		H
1-5-47		H	H	H	H		H
1-5-48		H	H	H	H		H
1-5-49		H	H	H	H		H
1-5-50		H	H	H	H		H
1-5-51		H	H	H	H		H

【0139】

【表 66】

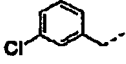
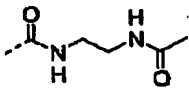
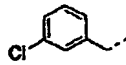
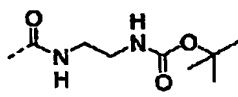
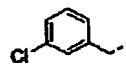
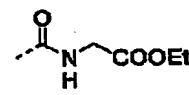
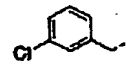
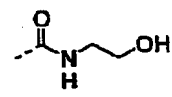
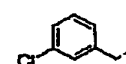
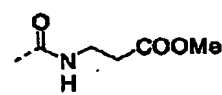
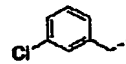
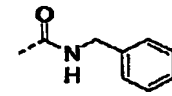
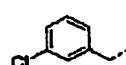
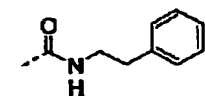
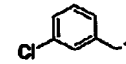
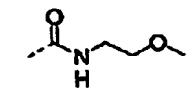

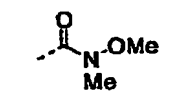
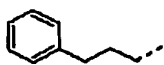
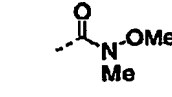
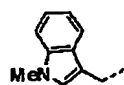
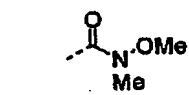
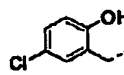
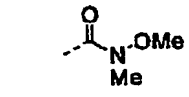
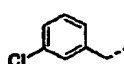

表1-5のつづき4

1-5-52		H	H	H	H		H
1-5-53		H	H	H	H		H
1-5-54		H	H	H	H		H
1-5-55		H	H	H	H		H
1-5-56		H	H	H	H		H
1-5-57		H	H	H	H		H
1-5-58		H	H	H	H		H
1-5-59		H	H	H	H		H
1-5-60		H	H	H	H		H
1-5-61		H	H	H	H		H
1-5-62		H	H	H	H		H
1-5-63		H	H	H	H		H
1-5-64		H	H	H	H		H

【0140】



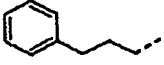

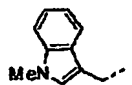

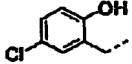

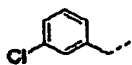

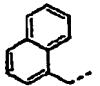

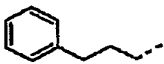

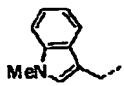

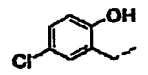

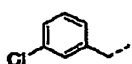

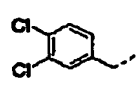
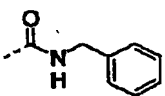
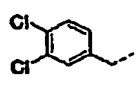
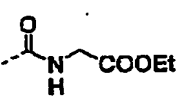
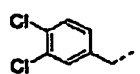
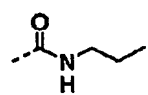
【表67】

表1-5のつづき5

1-5-65		H	H	H	H		H
1-5-66		H	H	H	H		H
1-5-67		H	H	H	H		H
1-5-68		H	H	H	H		H
1-5-69		H	H	H	H		H
1-5-70		H	H	H	H		H
1-5-71		H	H	H	H		H
1-5-72		H	H	H	H		H
1-5-73		H	H	H	H		H
1-5-74		H	H	H	H		H
1-5-75		H	H	H	H		H
1-5-76		H	H	H	H		H
1-5-77		H	H	H	H		H

【0141】

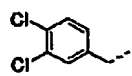
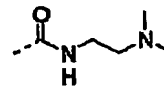
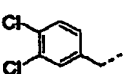
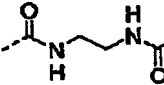
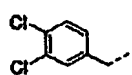
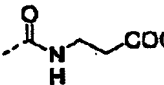
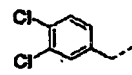
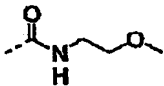
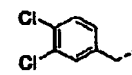
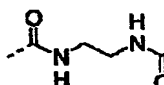
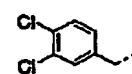
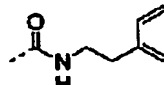
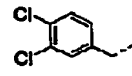
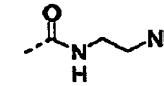
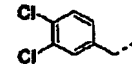
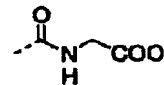
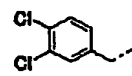
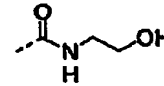
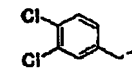
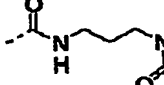
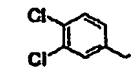
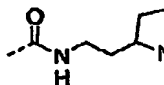
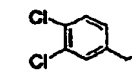
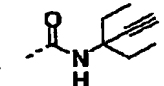
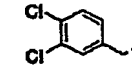
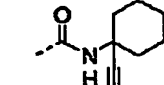
【表 68】

						表1-5のつづき6	
1-5-78		H	H	H	H		H
1-5-79		H	H	H	H		H
1-5-80		H	H	H	H		H
1-5-81		H	H	H	H		H
1-5-82		H	H	H	H		H
1-5-83		H	H	H	H		H
1-5-84		H	H	H	H		H
1-5-85		H	H	H	H		H
1-5-86		H	H	H	H		H
1-5-87		H	H	H	H		H
1-5-88		H	H	H	H		H
1-5-89		H	H	H	H		H
1-5-90		H	H	H	H		H

【0142】

【表 69】

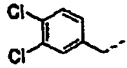
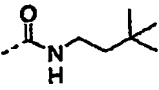
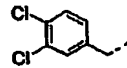
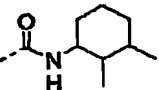
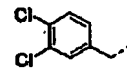
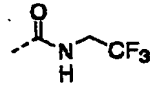
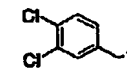
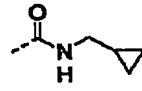
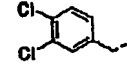
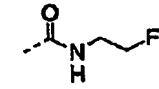
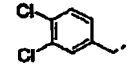
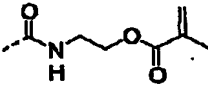
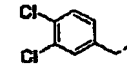
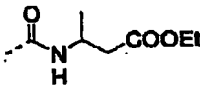
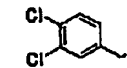
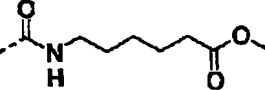
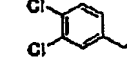
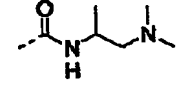
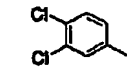
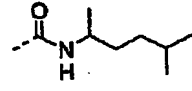
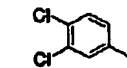
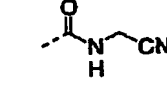
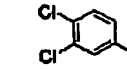
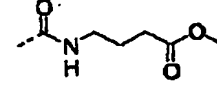
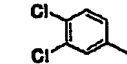
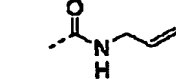
表1-5のつづき7

1-5-91		H	H	H	H		H
1-5-92		H	H	H	H		H
1-5-93		H	H	H	H		H
1-5-94		H	H	H	H		H
1-5-95		H	H	H	H		H
1-5-96		H	H	H	H		H
1-5-97		H	H	H	H		H
1-5-98		H	H	H	H		H
1-5-99		H	H	H	H		H
1-5-100		H	H	H	H		H
1-5-101		H	H	H	H		H
1-5-102		H	H	H	H		H
1-5-103		H	H	H	H		H

【0143】

【表 70】

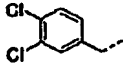
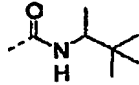
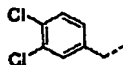
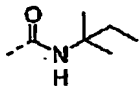
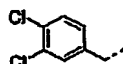
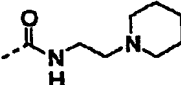
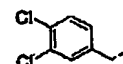
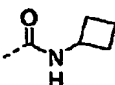
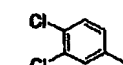
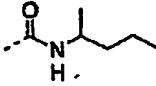
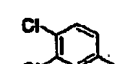
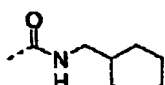
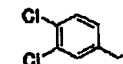
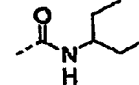
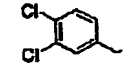
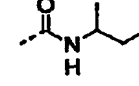
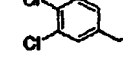
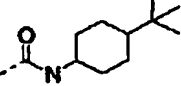
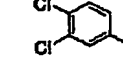
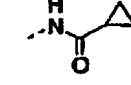
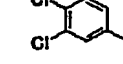
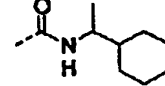
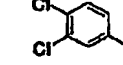
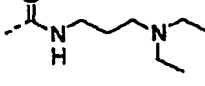
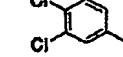
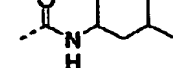
表 1-5 の つ づ き 8

1-5-104		H	H	H	H		H
1-5-105		H	H	H	H		H
1-5-106		H	H	H	H		H
1-5-107		H	H	H	H		H
1-5-108		H	H	H	H		H
1-5-109		H	H	H	H		H
1-5-110		H	H	H	H		H
1-5-111		H	H	H	H		H
1-5-112		H	H	H	H		H
1-5-113		H	H	H	H		H
1-5-114		H	H	H	H		H
1-5-115		H	H	H	H		H
1-5-116		H	H	H	H		H

【 0 1 4 4 】



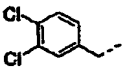

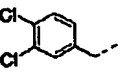
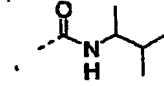
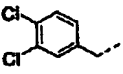
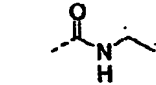
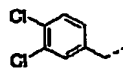
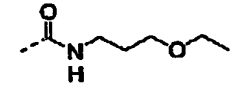
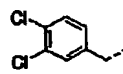
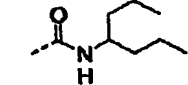
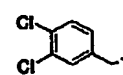
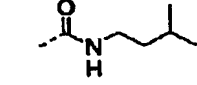
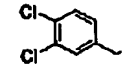
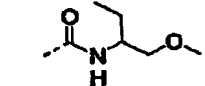
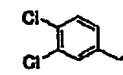
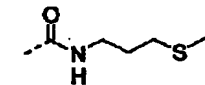
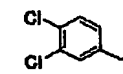
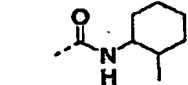
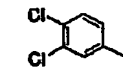
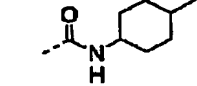
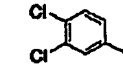
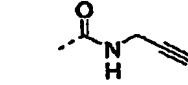
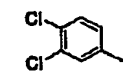
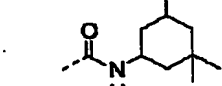
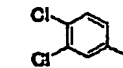
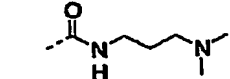
【表71】

						表1-5のつぎ	
1-5-117		H	H	H	H		H
1-5-118		H	H	H	H		H
1-5-119		H	H	H	H		H
1-5-120		H	H	H	H		H
1-5-121		H	H	H	H		H
1-5-122		H	H	H	H		H
1-5-123		H	H	H	H		H
1-5-124		H	H	H	H		H
1-5-125		H	H	H	H		H
1-5-126		H	H	H	H		H
1-5-127		H	H	H	H		H
1-5-128		H	H	H	H		H
1-5-129		H	H	H	H		H

【0145】

【表 72】

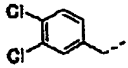
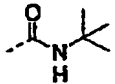
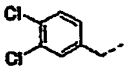
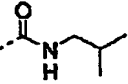
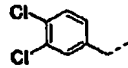
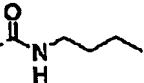
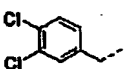
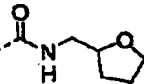
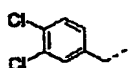
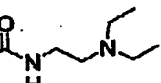
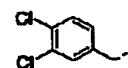

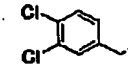
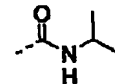
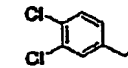
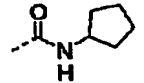
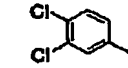
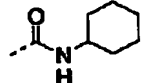
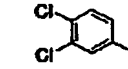
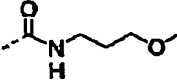
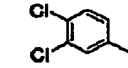
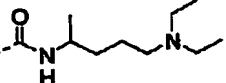
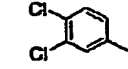
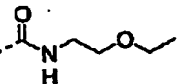
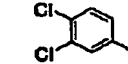
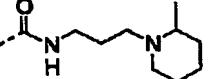
表 1-5 のつづき 10

1-5-130		H	H	H	H		H
1-5-131		H	H	H	H		H
1-5-132		H	H	H	H		H
1-5-133		H	H	H	H		H
1-5-134		H	H	H	H		H
1-5-135		H	H	H	H		H
1-5-136		H	H	H	H		H
1-5-137		H	H	H	H		H
1-5-138		H	H	H	H		H
1-5-139		H	H	H	H		H
1-5-140		H	H	H	H		H
1-5-141		H	H	H	H		H
1-5-142		H	H	H	H		H

【0146】

【表73】

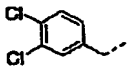
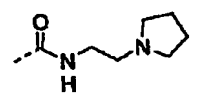
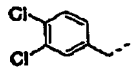
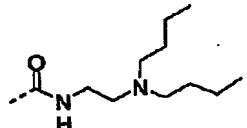
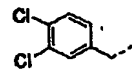
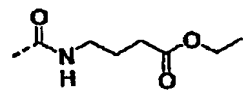
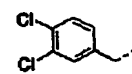
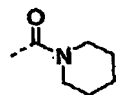
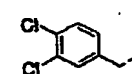
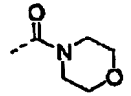
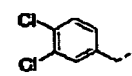
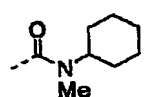
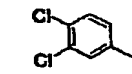
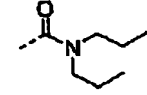
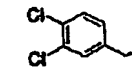
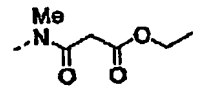
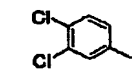
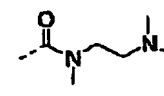
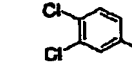
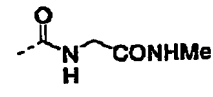
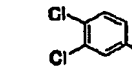
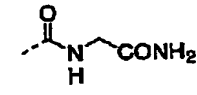
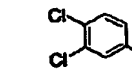
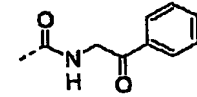
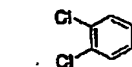
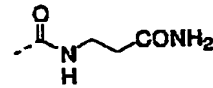
表1-5のつづき11

1-5-143		H	H	H	H		H
1-5-144		H	H	H	H		H
1-5-145		H	H	H	H		H
1-5-146		H	H	H	H		H
1-5-147		H	H	H	H		H
1-5-148		H	H	H	H		H
1-5-149		H	H	H	H		H
1-5-150		H	H	H	H		H
1-5-151		H	H	H	H		H
1-5-152		H	H	H	H		H
1-5-153		H	H	H	H		H
1-5-154		H	H	H	H		H
1-5-155		H	H	H	H		H

【0147】

【表 7 4】

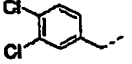
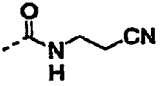
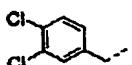
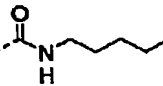
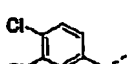
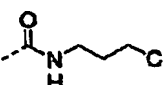

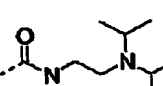

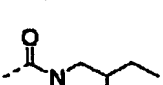



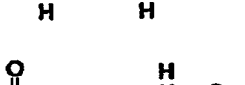

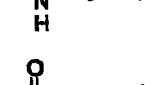
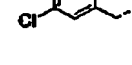
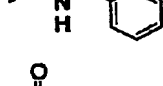
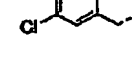
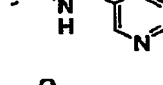
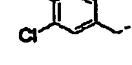
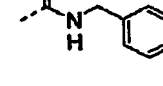
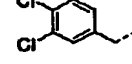
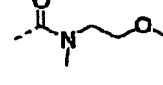
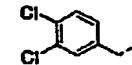
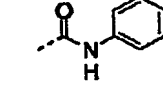
表 1-5 の つ き 12

1-5-156		H	H	H	H		H
1-5-157		H	H	H	H		H
1-5-158		H	H	H	H		H
1-5-159		H	H	H	H		H
1-5-160		H	H	H	H		H
1-5-161		H	H	H	H		H
1-5-162		H	H	H	H		H
1-5-163		H	H	H	H		H
1-5-164		H	H	H	H		H
1-5-165		H	H	H	H		H
1-5-166		H	H	H	H		H
1-5-167		H	H	H	H		H
1-5-168		H	H	H	H		H

【 0 1 4 8 】

【表75】

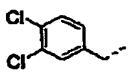
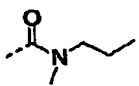
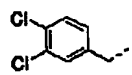
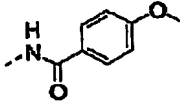
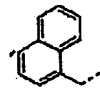

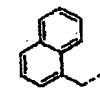

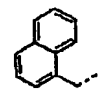
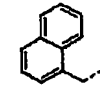

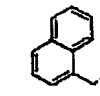

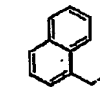

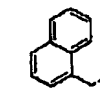
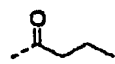
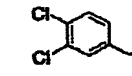
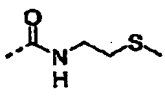
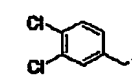
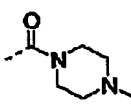
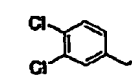
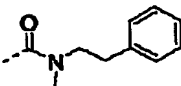
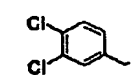
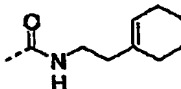
表1-5のつづき13

1-5-169		H	H	H	H		H
1-5-170		H	H	H	H		H
1-5-171		H	H	H	H		H
1-5-172		H	H	H	H		H
1-5-173		H	H	H	H		H
1-5-174		H	H	H	H		H
1-5-175		H	H	H	H		H
1-5-176		H	H	H	H		H
1-5-177		H	H	H	H		H
1-5-178		H	H	H	H		H
1-5-179		H	H	H	H		H
1-5-180		H	H	H	H		H
1-5-181		H	H	H	H		H

【0149】

【表 76】

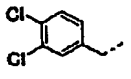
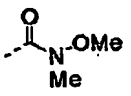

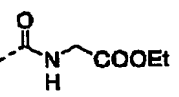
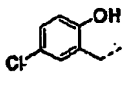

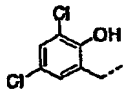
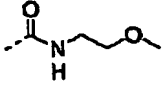
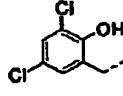
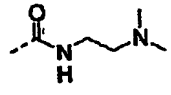
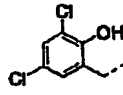
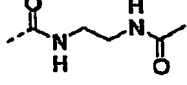
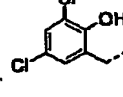
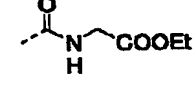
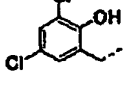
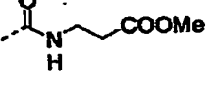
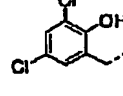
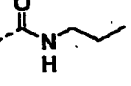
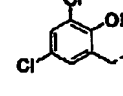
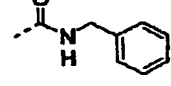
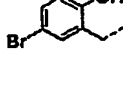

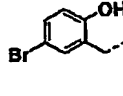

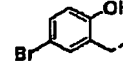
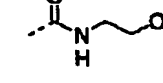
表1-5のつづき14

1-5-182		H	H	H	H		H
1-5-183		H	H	H	H		H
1-5-184		H	H	H	H		H
1-5-185		H	H	H	H		H
1-5-186		H	H	H	H	CN	H
1-5-187		H	H	H	H		H
1-5-188		H	H	H	H		H
1-5-189		H	H	H	H		H
1-5-190		H	H	H	H		H
1-5-191		H	H	H	H		H
1-5-192		H	H	H	H		H
1-5-193		H	H	H	H		H
1-5-194		H	H	H	H		H

【0150】

【表 77】

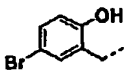
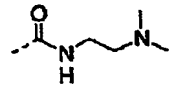
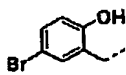
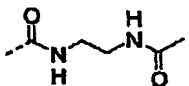
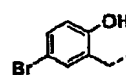
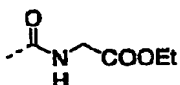
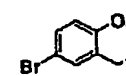
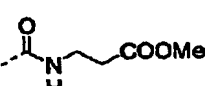
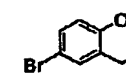
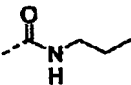
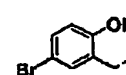
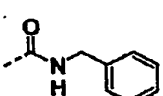
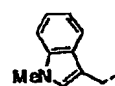
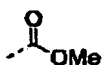
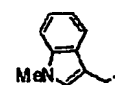

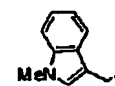
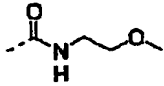
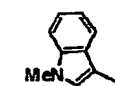
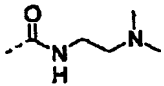
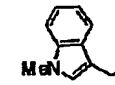
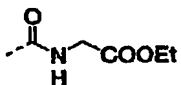
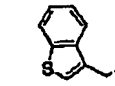

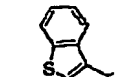
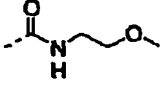
表1-5のつづき15

1-5-195		H	H	H	H		H
1-5-196		H	H	H	H		H
1-5-197		H	H	H	H		H
1-5-198		H	H	H	H		H
1-5-199		H	H	H	H		H
1-5-200		H	H	H	H		H
1-5-201		H	H	H	H		H
1-5-202		H	H	H	H		H
1-5-203		H	H	H	H		H
1-5-204		H	H	H	H		H
1-5-205		H	H	H	H		H
1-5-206		H	H	H	H		H
1-5-207		H	H	H	H		H

【0151】

【表 78】

表 1-5 の つ づ き 16

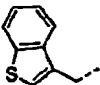
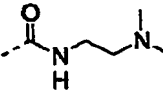
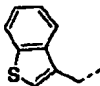
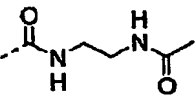
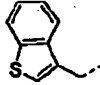
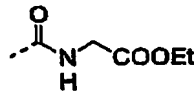
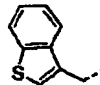
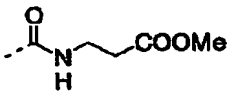
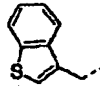
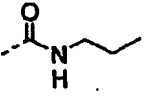
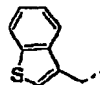
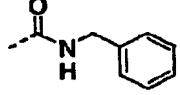
1-5-208		H	H	H	H		H
1-5-209		H	H	H	H		H
1-5-210		H	H	H	H		H
1-5-211		H	H	H	H		H
1-5-212		H	H	H	H		H
1-5-213		H	H	H	H		H
1-5-214		H	H	H	H		H
1-5-215		H	H	H	H		H
1-5-216		H	H	H	H		H
1-5-217		H	H	H	H		H
1-5-218		H	H	H	H		H
1-5-219		H	H	H	H		H
1-5-220		H	H	H	H		H

【 0 1 5 2 】



【表 79】

表1-5のつづき17

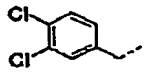
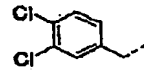
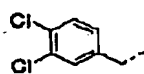
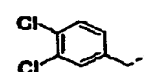
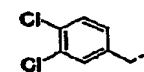
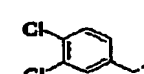
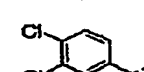
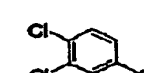
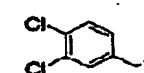
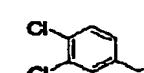
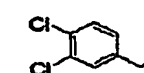
1-5-221		H	H	H	H		H
1-5-222		H	H	H	H		H
1-5-223		H	H	H	H		H
1-5-224		H	H	H	H		H
1-5-225		H	H	H	H		H
1-5-226		H	H	H	H		H

【0153】

《表 1-6》

【表 80】

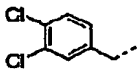
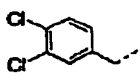
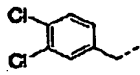
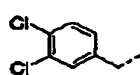








《表1-6》 X=単結合, q=0, r=0, Y=-(R4)C=C(R5)-

compnd NO.	R1	R2	R3	R4	R5	R6	R7
1-6-1		H	Et	H	H	H	H
1-6-2		Et	Et	H	H	H	H
1-6-3		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-4		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-5		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-6		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-7		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-8		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-9		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-10		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-11		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H

【0154】

【表 8 1】








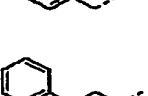



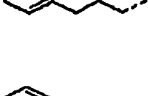
表1-6のつづき1

1-6-12		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-13		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-14		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H
1-6-15		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-16		H	Et	H	H	H	H
1-6-17		Et	Et	H	H	H	H
1-6-18		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-19		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-20		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-21		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-22		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-23		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H

【 0 1 5 5 】

【表 8 2】

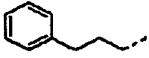
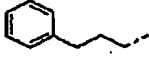
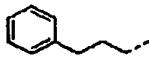
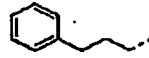
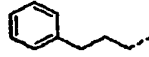
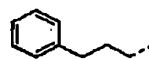
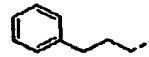
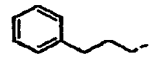
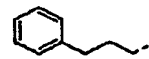
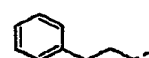
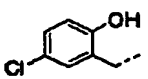
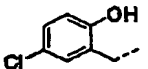
表1-6のつづき2

1-6-24		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-25		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-26		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H
1-6-27		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-28		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-29		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H
1-6-30		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-31		H	Et	H	H	H	H
1-6-32		Et	Et	H	H	H	H
1-6-33		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-34		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-35		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H

【0 1 5 6】

【表 83】

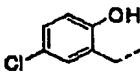
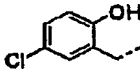
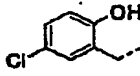
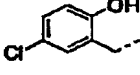
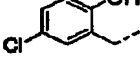
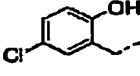
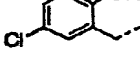
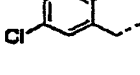
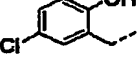
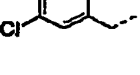
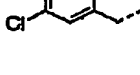
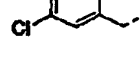
表1-6のつづき

1-6-36		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-37		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-38		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-39		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-40		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-41		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H
1-6-42		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-43		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-44		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H
1-6-45		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-46		H	Et	H	H	H	H
1-6-47		Et	Et	H	H	H	H

【0157】

【表 8 4】

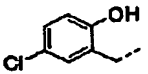
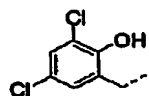
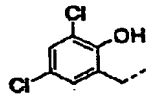
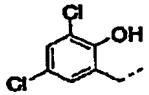
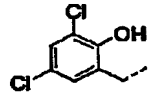
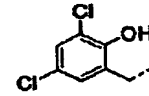
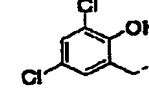
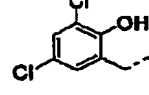
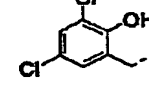
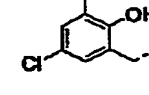
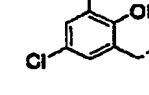
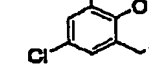
表1-6のつづき4

1-6-48		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-49		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-50		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-51		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-52		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-53		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-54		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-55		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-56		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H
1-6-57		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-58		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-59		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H

【0158】

【表 85】

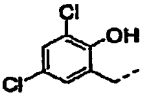
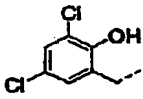
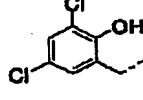
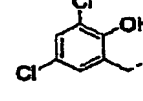
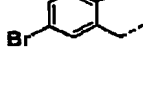
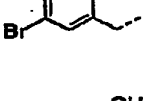
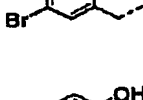
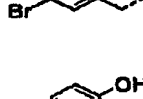
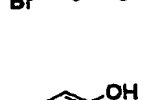
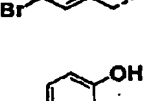
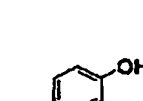
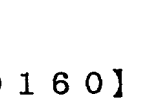
表1-6のつづき5

1-6-60		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-61		H	Et	H	H	H	H
1-6-62		Et	Et	H	H	H	H
1-6-63		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-64		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-65		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-66		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-67		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-68		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-69		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-70		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-71		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H

【 0 1 5 9 】

【表 86】

表1-6のつづき6

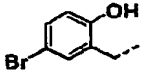
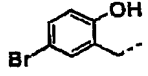
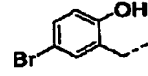
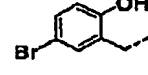
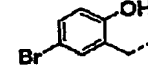
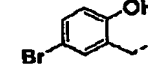
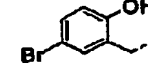
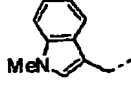
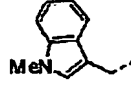
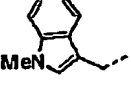
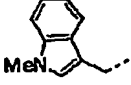
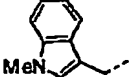
1-6-72		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-73		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-74		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H
1-6-75		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-76		H	Et	H	H	H	H
1-6-77		Et	Et	H	H	H	H
1-6-78		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-79		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-80		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-81		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-82		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-83		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H

【0160】



【表 87】

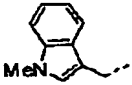
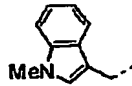
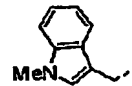
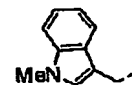
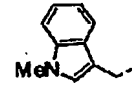
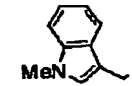
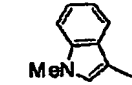
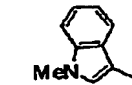
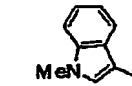
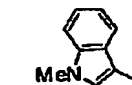
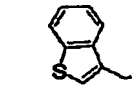
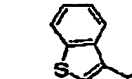
表1-6のつづき7

1-6-84		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-85		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-86		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H
1-6-87		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-88		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-89		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H
1-6-90		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-91		H	Et	H	H	H	H
1-6-92		Et	Et	H	H	H	H
1-6-93		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-94		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-95		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H

【0161】

【表 88】

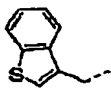
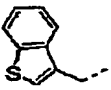
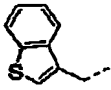
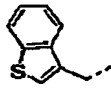
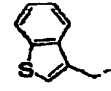
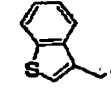
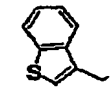
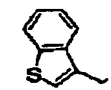
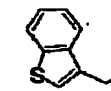
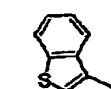
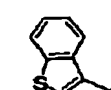
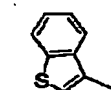
表1-6のつづき8

1-6-96		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-97		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-98		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-99		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-100		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-101		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H
1-6-102		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-103		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-104		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H
1-6-105		H	(CH <sub>2</sub> ) <sub>2</sub> COOEt	H	H	H	H
1-6-106		H	Et	H	H	H	H
1-6-107		Et	Et	H	H	H	H

【0162】

【表 89】

表 1-6 のつづき

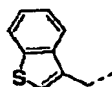
1-6-108		CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-109		H	CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-110		(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-111		H	(CH <sub>2</sub> ) <sub>5</sub> CH <sub>3</sub>	H	H	H	H
1-6-112		(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-113		H	(CH <sub>2</sub> ) <sub>3</sub> C <sub>6</sub> H <sub>5</sub>	H	H	H	H
1-6-114		H	CH <sub>2</sub> COOMe	H	H	H	H
1-6-115		H	(CH <sub>2</sub> ) <sub>4</sub> COOEt	H	H	H	H
1-6-116		H	(CH <sub>2</sub> ) <sub>3</sub> NH <sub>2</sub>	H	H	H	H
1-6-117		H	(CH <sub>2</sub> ) <sub>2</sub> CONH <sub>2</sub>	H	H	H	H
1-6-118		H	(CH <sub>2</sub> ) <sub>2</sub> COOH	H	H	H	H
1-6-119		H	(CH <sub>2</sub> ) <sub>2</sub> CN	H	H	H	H

【 0 1 6 3 】

【表 9 0】

表1-6のつづき10

1-6-120



H

(CH<sub>2</sub>)<sub>2</sub>COOEt

H

H

H

H

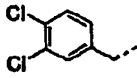
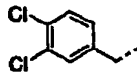


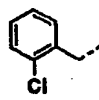
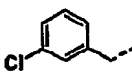
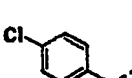
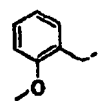
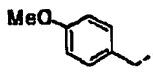
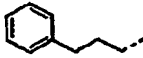
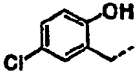
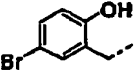
【0 1 6 4】

表 2 は、 $X = -CO-$ 、 $q = 0$ 、 $r = 0$ 、かつ  $Y = -(R^4)C=C(R^5)-$  で表される化合物の好適な具体例である。

【表 9 1】

$$X = -CO-, q = 0, r = 0, Y = -(R_4)C=C(R_5)-$$

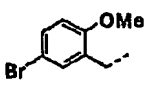
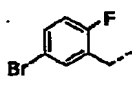
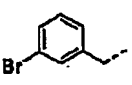
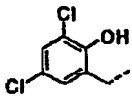
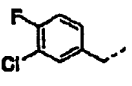
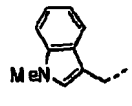
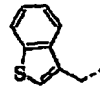
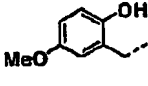
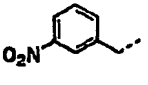
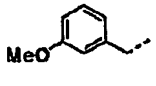
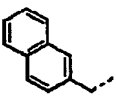
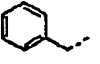
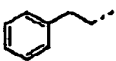
表2

compnd NO.2-	R1-(CH <sub>2</sub> ) <sub>p</sub> -	R2	R3	R4	R5	R6	R7
1		H	H	H	H	H	H
2		H	H	H	Cl	H	H
3		H	H	H	H	H	H
4		H	H	H	Cl	H	H
5		H	H	H	H	H	H
6		H	H	H	H	H	H
7		H	H	H	H	H	H
8		H	H	H	H	H	H
9		H	H	H	H	H	H
10		H	H	H	H	H	H
11		H	H	H	H	H	H
12		H	H	H	H	H	H

【0165】

【表 9 2】


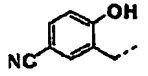
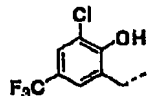
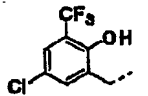
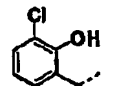
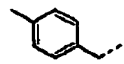
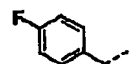
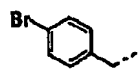
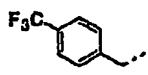
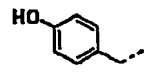
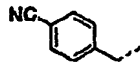
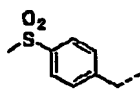
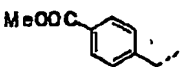
表2のつづき1

13		H	H	H	H	H	H
14		H	H	H	H	H	H
15		H	H	H	H	H	H
16		H	H	H	H	H	H
17		H	H	H	H	H	H
18		H	H	H	H	H	H
19		H	H	H	H	H	H
20		H	H	H	H	H	H
21		H	H	H	H	H	H
22		H	H	H	H	H	H
23		H	H	H	H	H	H
24		H	H	H	H	H	H
25		H	H	H	H	H	H

【0166】

【表 9 3】

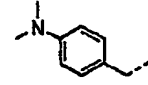
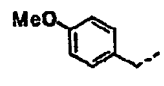
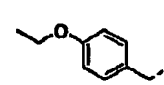
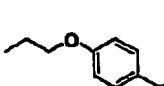
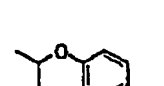
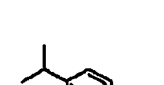
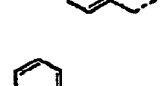

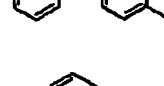
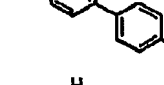
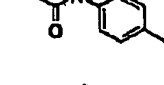
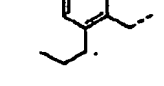
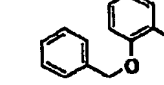
表2のつづき2

26		H	H	H	H	H	H
27		H	H	H	H	H	H
28		H	H	H	H	H	H
29		H	H	H	H	H	H
30		H	H	H	H	H	H
31		H	H	H	H	H	H
32		H	H	H	H	H	H
33		H	H	H	H	H	H
34		H	H	H	H	H	H
35		H	H	H	H	H	H
36		H	H	H	H	H	H
37		H	H	H	H	H	H
38		H	H	H	H	H	H

【0167】

【表 9 4】

表2のつづき3

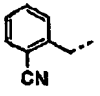
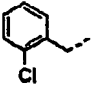
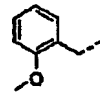
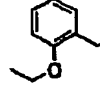
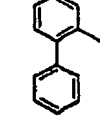
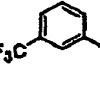
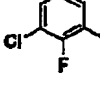
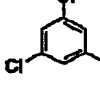
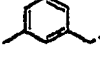
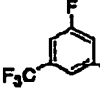
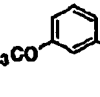
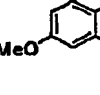
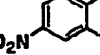
39		H	H	H	H	H	H
40		H	H	H	H	H	H
41		H	H	H	H	H	H
42		H	H	H	H	H	H
43		H	H	H	H	H	H
44		H	H	H	H	H	H
45		H	H	H	H	H	H
46		H	H	H	H	H	H
47		H	H	H	H	H	H
48		H	H	H	H	H	H
49		H	H	H	H	H	H
50		H	H	H	H	H	H
51		H	H	H	H	H	H

【0168】



【表 9 5】

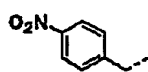
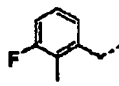
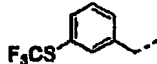
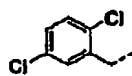
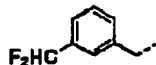
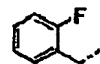
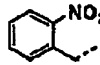
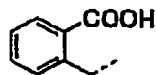
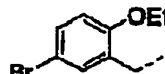
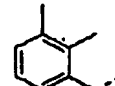
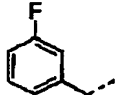
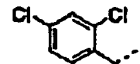
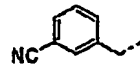
表2のつづき4

52		H	H	H	H	H	H
53		H	H	H	H	H	H
54		H	H	H	H	H	H
55		H	H	H	H	H	H
56		H	H	H	H	H	H
57		H	H	H	H	H	H
58		H	H	H	H	H	H
59		H	H	H	H	H	H
60		H	H	H	H	H	H
61		H	H	H	H	H	H
62		H	H	H	H	H	H
63		H	H	H	H	H	H
64		H	H	H	H	H	H

【0169】

【表 96】

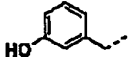
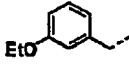
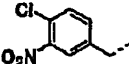
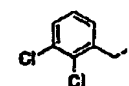
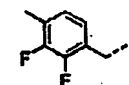
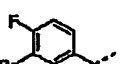
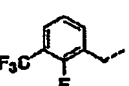
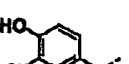
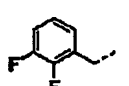
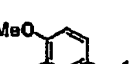
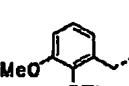
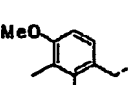
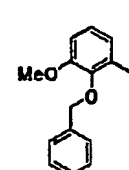
表2のつづき5

65		H	H	H	H	H	H
66		H	H	H	H	H	H
67		H	H	H	H	H	H
68		H	H	H	H	H	H
69		H	H	H	H	H	H
70		H	H	H	H	H	H
71		H	H	H	H	H	H
72		H	H	H	H	H	H
73		H	H	H	H	H	H
74		H	H	H	H	H	H
75		H	H	H	H	H	H
76		H	H	H	H	H	H
77		H	H	H	H	H	H

【0170】

【表 9 7】

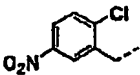
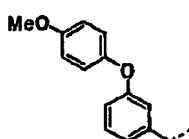
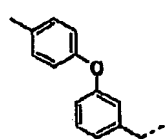
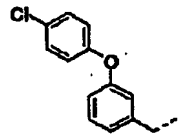
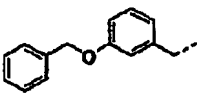
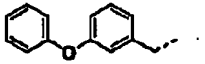
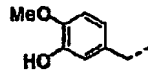
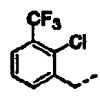
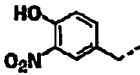
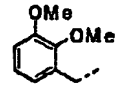
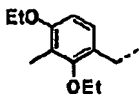
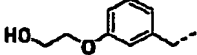
表2のつづき6

78		H	H	H	H	H	H
79		H	H	H	H	H	H
80		H	H	H	H	H	H
81		H	H	H	H	H	H
82		H	H	H	H	H	H
83		H	H	H	H	H	H
84		H	H	H	H	H	H
85		H	H	H	H	H	H
86		H	H	H	H	H	H
87		H	H	H	H	H	H
88		H	H	H	H	H	H
89		H	H	H	H	H	H
90		H	H	H	H	H	H

【0171】

【表 98】

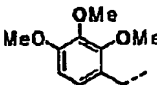
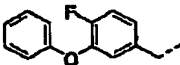
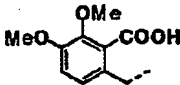
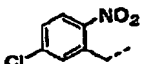
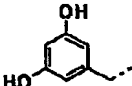
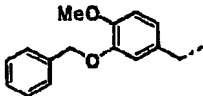
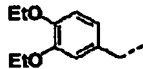
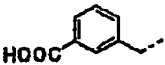
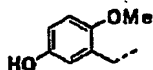
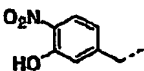
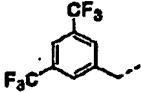
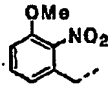
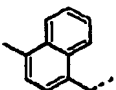
表2のつづき7

91		H	H	H	H	H	H
92		H	H	H	H	H	H
93		H	H	H	H	H	H
94		H	H	H	H	H	H
95		H	H	H	H	H	H
96		H	H	H	H	H	H
97		H	H	H	H	H	H
98		H	H	H	H	H	H
99		H	H	H	H	H	H
100		H	H	H	H	H	H
101		H	H	H	H	H	H
102		H	H	H	H	H	H

【0172】

【表 99】

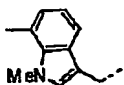
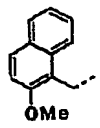
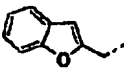
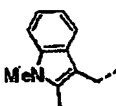

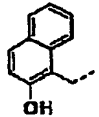
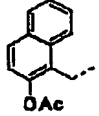
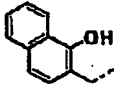


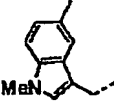
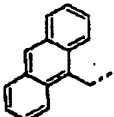
表2のつづき8

103		H	H	H	H	H	H
104		H	H	H	H	H	H
105		H	H	H	H	H	H
106		H	H	H	H	H	H
107		H	H	H	H	H	H
108		H	H	H	H	H	H
109		H	H	H	H	H	H
110		H	H	H	H	H	H
111		H	H	H	H	H	H
112		H	H	H	H	H	H
113		H	H	H	H	H	H
114		H	H	H	H	H	H
115		H	H	H	H	H	H

【0173】

【表 100】

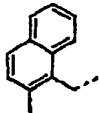
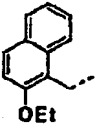
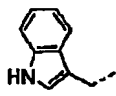
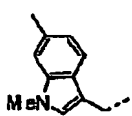
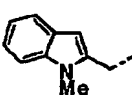
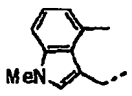
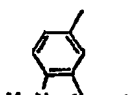
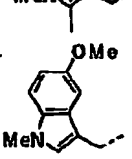
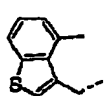
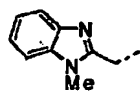
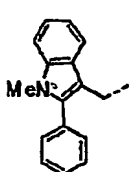
表2のつづき9

116		H	H	H	H	H	H
117		H	H	H	H	H	H
118		H	H	H	H	H	H
119		H	H	H	H	H	H
120		H	H	H	H	H	H
121		H	H	H	H	H	H
122		H	H	H	H	H	H
123		H	H	H	H	H	H
124		H	H	H	H	H	H
125		H	H	H	H	H	H
126		H	H	H	H	H	H
127		H	H	H	H	H	H

【0174】

【表 101】

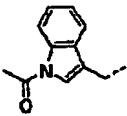
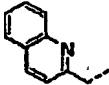
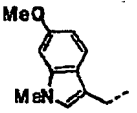
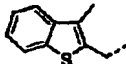
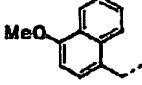
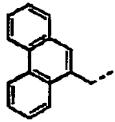
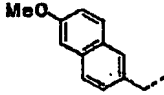
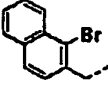
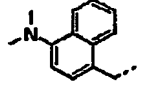
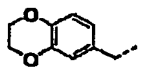
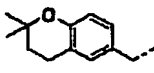
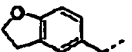
表2のつづき10

128		H	H	H	H	H	H
129		H	H	H	H	H	H
130		H	H	H	H	H	H
131		H	H	H	H	H	H
132		H	H	H	H	H	H
133		H	H	H	H	H	H
134		H	H	H	H	H	H
135		H	H	H	H	H	H
136		H	H	H	H	H	H
137		H	H	H	H	H	H
138		H	H	H	H	H	H

【0175】

【表102】

表2のつづき11

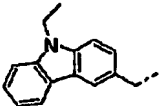

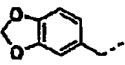
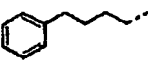


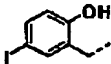
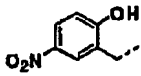
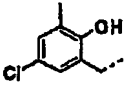

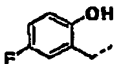
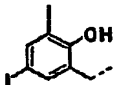
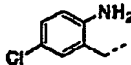
139		H	H	H	H	H	H
140		H	H	H	H	H	H
141		H	H	H	H	H	H
142		H	H	H	H	H	H
143		H	H	H	H	H	H
144		H	H	H	H	H	H
145		H	H	H	H	H	H
146		H	H	H	H	H	H
147		H	H	H	H	H	H
148		H	H	H	H	H	H
149		H	H	H	H	H	H
150		H	H	H	H	H	H

【0176】



【表 1 0 3】

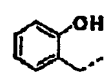

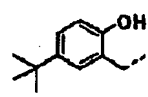
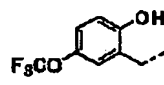
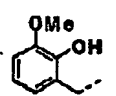
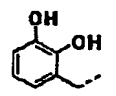
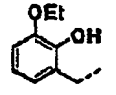
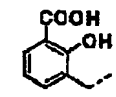
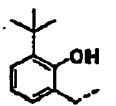
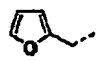
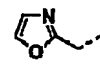
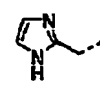
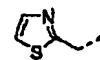
表 2 の つ づ き 1 2

151		H	H	H	H	H	H
152		H	H	H	H	H	H
153		H	H	H	H	H	H
154		H	H	H	H	H	H
155		H	H	H	H	H	H
156		H	H	H	H	H	H
157		H	H	H	H	H	H
158		H	H	H	H	H	H
159		H	H	H	H	H	H
160		H	H	H	H	H	H
161		H	H	H	H	H	H
162		H	H	H	H	H	H
163		H	H	H	H	H	H

【 0 1 7 7 】

【表104】


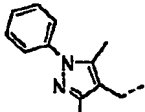
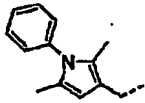
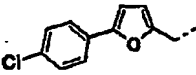





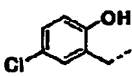
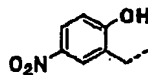
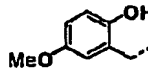
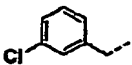
表2のつづき13

164		H	H	H	H	H	H
165		H	H	H	H	H	H
166		H	H	H	H	H	H
167		H	H	H	H	H	H
168		H	H	H	H	H	H
169		H	H	H	H	H	H
170		H	H	H	H	H	H
171		H	H	H	H	H	H
172		H	H	H	H	H	H
173		H	H	H	H	H	H
174		H	H	H	H	H	H
175		H	H	H	H	H	H
176		H	H	H	H	H	H

【0178】

【表 105】

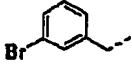
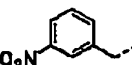
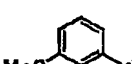
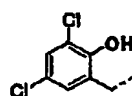
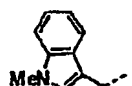
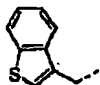

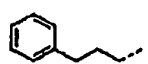
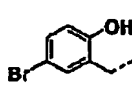
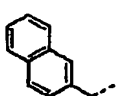
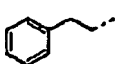
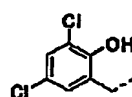
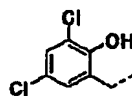
表2のつづき14

177		H	H	H	H	H	H
178		H	H	H	H	H	H
179		H	H	H	H	H	H
180		H	H	H	H	H	H
181		H	H	H	H	H	H
182		H	H	H	H	H	H
183		H	H	H	H	H	H
184		H	H	H	H	H	H
185		H	H	H	H	H	H
186		H	H	H	Cl	H	H
187		H	H	H	Cl	H	H
188		H	H	H	Cl	H	H
189		H	H	H	Cl	H	H

【0179】

【表 106】

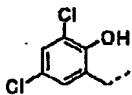
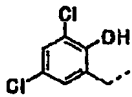
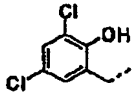
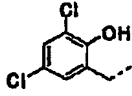
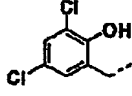
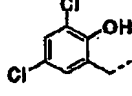
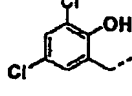
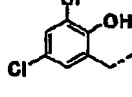
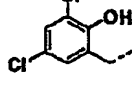
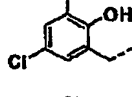
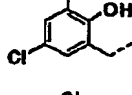
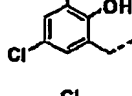
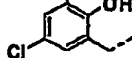
表2のつづき15

190		H	H	H	Cl	H	H
191		H	H	H	Cl	H	H
192		H	H	H	Cl	H	H
193		H	H	H	Cl	H	H
194		H	H	H	Cl	H	H
195		H	H	H	Cl	H	H
196		H	H	H	Cl	H	H
197		H	H	H	Cl	H	H
198		H	H	H	Cl	H	H
199		H	H	H	Cl	H	H
200		H	H	H	Cl	H	H
201		H	H	Cl	H	H	H
202		H	H	H	OMe	H	H

【0180】

【表 107】

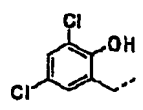
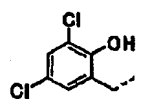
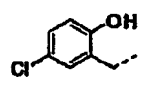
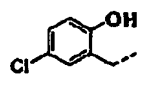
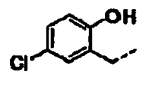
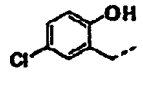
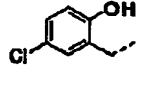
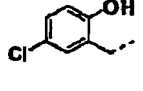
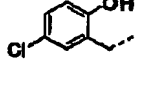
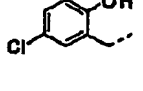
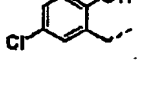
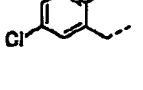
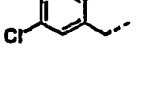
表2のつづき16

203		H	H	H	COOMe	H	H
204		H	H	H	H	Cl	H
205		H	H	H	H	COOMe	H
206		H	H	H	H	H	Cl
207		H	H	H	OCF3	H	H
208		H	H	COOMe	H	H	H
209		H	H	H	CF3	H	H
210		H	H	H	Me	H	H
211		H	H	H	F	H	H
212		H	H	H	OH	H	H
213		H	H	H	NO2	H	H
214		H	H	H	F	F	H
215		H	H	F	H	H	H

【0181】

【表108】

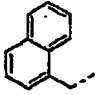
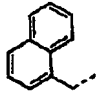
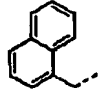
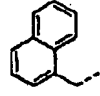
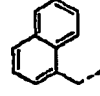
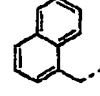
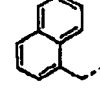
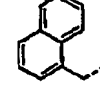
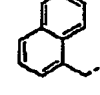
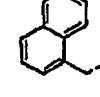
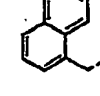
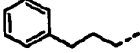
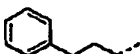
表2のつづき17

216		H	H	Me	H	H	H
217		H	H	H	CN	H	H
218		H	H	Cl	H	H	H
219		H	H	H	OMe	H	H
220		H	H	H	COOMe	H	H
221		H	H	H	H	Cl	H
222		H	H	H	H	COOMe	H
223		H	H	H	H	H	Cl
224		H	H	H	OCF3	H	H
225		H	H	COOMe	H	H	H
226		H	H	H	CF3	H	H
227		H	H	H	Me	H	H
228		H	H	H	F	H	H

【0182】

【表 109】

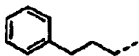

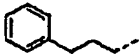
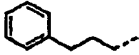
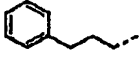
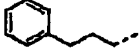
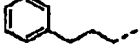
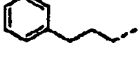
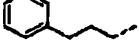
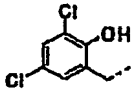
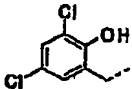
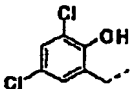
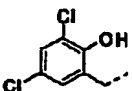
表2のつづき18

229		H	H	Cl	H	H	H
230		H	H	H	OMe	H	H
231		H	H	H	COOMe	H	H
232		H	H	H	H	Cl	H
233		H	H	H	H	COOMe	H
234		H	H	H	H	H	Cl
235		H	H	H	OCF3	H	H
236		H	H	COOMe	H	H	H
237		H	H	H	CF3	H	H
238		H	H	H	Me	H	H
239		H	H	H	F	H	H
240		H	H	Cl	H	H	H
241		H	H	H	OMe	H	H

【0183】

【表 110】

表2のつづき19

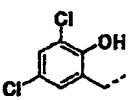
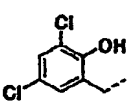
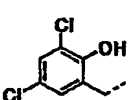
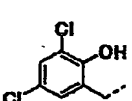
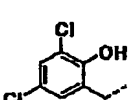
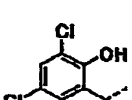
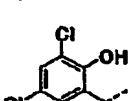
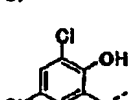
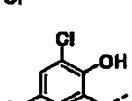
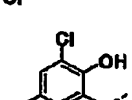
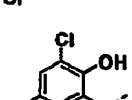
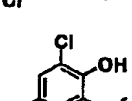
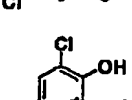
242		H	H	H	COOMe	H	H
243		H	H	H	H	Cl	H
244		H	H	H	H	COOMe	H
245		H	H	H	H	H	Cl
246		H	H	H	OCF3	H	H
247		H	H	COOMe	H	H	H
248		H	H	H	CF3	H	H
249		H	H	H	Me	H	H
250		H	H	H	F	H	H
251		H	H	H	H	H	COOMe
252		H	H	H	H	F	H
253		H	H	H	H	H	F
254		H	H	H	H	Me	H

【0184】



【表 1 1 1】

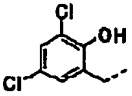
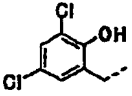
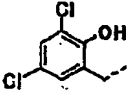
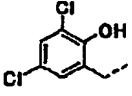
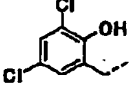
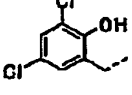
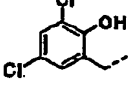
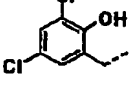
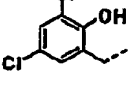
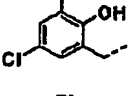
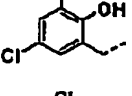
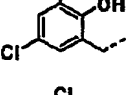
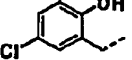
表2のつづき20

255		H	H	H	H	H	Me
256		H	H	OMe	H	H	H
257		H	H	H	H	OMe	H
258		H	H	H	H	H	OMe
259		H	H	CF3	H	H	H
260		H	H	H	H	CF3	H
261		H	H	H	H	H	CF3
262		H	H	OH	H	H	H
263		H	H	H	H	OH	H
264		H	H	H	H	H	OH
265		H	H	OCF3	H	H	H
266		H	H	H	H	OCF3	H
267		H	H	H	H	H	OCF3

【 0 1 8 5 】

【表 1 1 2】

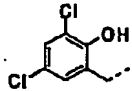
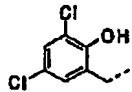
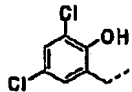
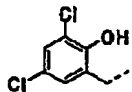
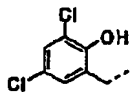
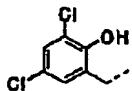
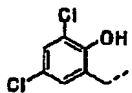
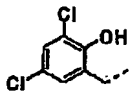
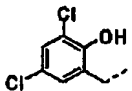
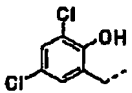
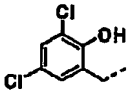
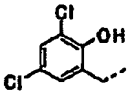
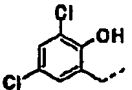
表2のつづき21

268		H	H	NO2	H	H	H
269		H	H	H	H	NO2	H
270		H	H	H	H	H	NO2
271		H	H	CN	H	H	H
272		H	H	H	H	CN	H
273		H	H	H	H	H	CN
274		H	H	Br	H	H	H
275		H	H	H	Br	H	H
276		H	H	H	H	Br	H
277		H	H	H	H	H	Br
278		H	H	COOH	H	H	H
279		H	H	H	COOH	H	H
280		H	H	H	H	COOH	H

【0 1 8 6】

【表113】

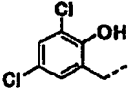
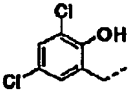
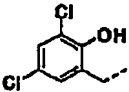
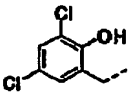
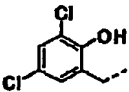
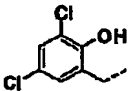
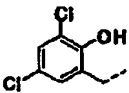
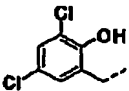
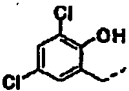
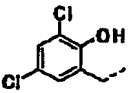
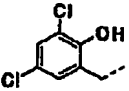
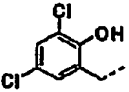
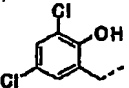
表2のつづき22

281		H	H	H	H	H	COOH
282		H	H	NHCOMe	H	H	H
283		H	H	H	NHCOMe	H	H
284		H	H	H	H	NHCOMe	
285		H	H	H	H	H	NHCOMe
286		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
287		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
288		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
289		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
290		H	H	Me	Me	H	H
291		H	H	Me	H	Me	H
292		H	H	H	Me	Me	H
293		H	H	F	F	H	H

【0187】

【表 114】

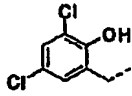
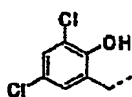
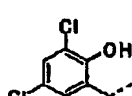
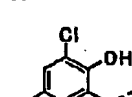
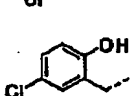
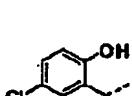
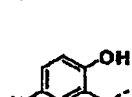
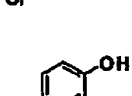
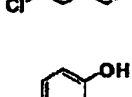
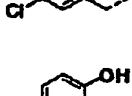
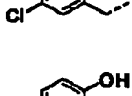
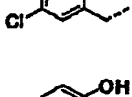
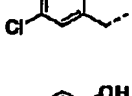
表2のつづき23

294		H	H	F	H	F	H
295		H	H	H	F	F	H
296		H	H	Cl	Cl	H	H
297		H	H	Cl	H	Cl	H
298		H	H	H	Cl	Cl	H
299		H	H	Me	F	H	H
300		H	H	Me	Cl	H	H
301		H	H	Me	OH	H	H
302		H	H	Me	OMe	H	H
303		H	H	F	Me	H	H
304		H	H	F	Cl	H	H
305		H	H	F	OH	H	H
306		H	H	F	OMe	H	H

【0188】

【表 1 1 5】

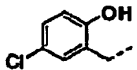
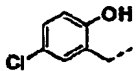
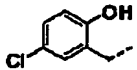
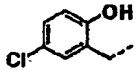
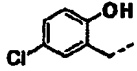
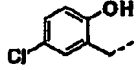
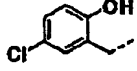
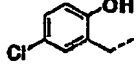
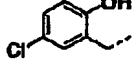
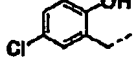
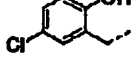
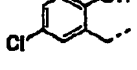
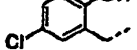
表2のつづき24

307		H	H	Cl	Me	H	H
308		H	H	Cl	F	H	H
309		H	H	Cl	OH	H	H
310		H	H	Cl	OMe	H	H
311		H	H	H	H	H	COOMe
312		H	H	F	H	H	H
313		H	H	H	H	F	H
314		H	H	H	H	H	F
315		H	H	Me	H	H	H
316		H	H	H	H	Me	H
317		H	H	H	H	H	Me
318		H	H	OMe	H	H	H
319		H	H	H	H	OMe	H

【0 1 8 9】

【表 116】

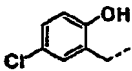
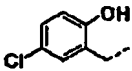
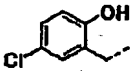
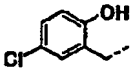
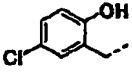
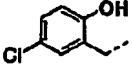
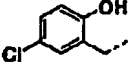
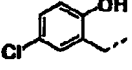
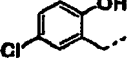
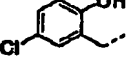
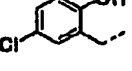
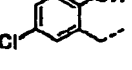
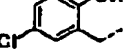
表2のつづき25

320		H	H	H	H	H	OMe
321		H	H	CF3	H	H	H
322		H	H	H	H	CF3	H
323		H	H	H	H	H	CF3
324		H	H	OH	H	H	H
325		H	H	H	OH	H	H
326		H	H	H	H	OH	H
327		H	H	H	H	H	OH
328		H	H	OCF3	H	H	H
329		H	H	H	H	OCF3	H
330		H	H	H	H	H	OCF3
331		H	H	NO2	H	H	H
332		H	H	H	NO2	H	H

【0190】

【表 1 1 7】

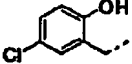
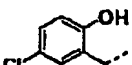
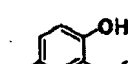
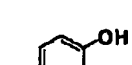
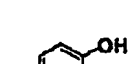



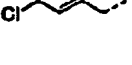
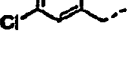
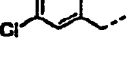
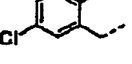
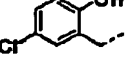
表2のつづき26

333		H	H	H	H	NO2	H
334		H	H	H	H	H	NO2
335		H	H	CN	H	H	H
336		H	H	H	CN	H	H
337		H	H	H	H	CN	H
338		H	H	H	H	H	CN
339		H	H	Br	H	H	H
340		H	H	H	Br	H	H
341		H	H	H	H	Br	H
342		H	H	H	H	H	Br
343		H	H	COOH	H	H	H
344		H	H	H	COOH	H	H
345		H	H	H	H	COOH	H

【0 1 9 1】

【表 118】

表2のつづき27

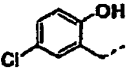
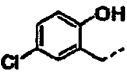
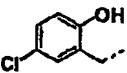
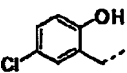
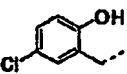
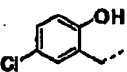
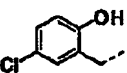
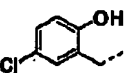
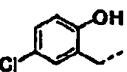
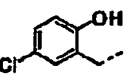
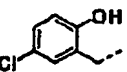
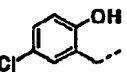
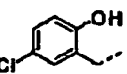
346		H	H	H	H	H	COOH
347		H	H	NHCOMe	H	H	H
348		H	H	H	NHCOMe	H	H
349		H	H	H	H	NHCOMe	
350		H	H	H	H	H	NHCOMe
351		H	H	SO2NH2	H	H	H
352		H	H	H	SO2NH2	H	H
353		H	H	H	H	SO2NH2	H
354		H	H	H	H	H	SO2NH2
355		H	H	Me	Me	H	H
356		H	H	Me	H	Me	H
357		H	H	H	Me	Me	H
358		H	H	F	F	H	H

【0192】



【表 119】

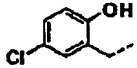
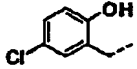
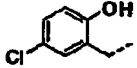
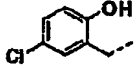









表2のつづき28

359		H	H	F	H	F	H
360		H	H	H	F	F	H
361		H	H	Cl	Cl	H	H
362		H	H	Cl	H	Cl	H
363		H	H	H	Cl	Cl	H
364		H	H	Me	F	H	H
365		H	H	Me	Cl	H	H
366		H	H	Me	OH	H	H
367		H	H	Me	OMe	H	H
368		H	H	F	Me	H	H
369		H	H	F	Cl	H	H
370		H	H	F	OH	H	H
371		H	H	F	OMe	H	H

【0193】

【表 120】

表2のつづき29

372		H	H	Cl	Me	H	H
373		H	H	Cl	F	H	H
374		H	H	Cl	OH	H	H
375		H	H	Cl	OMe	H	H
376		H	H	H	H	H	COOMe
377		H	H	F	H	H	H
378		H	H	H	H	F	H
379		H	H	H	H	H	F
380		H	H	Me	H	H	H
381		H	H	H	H	Me	H
382		H	H	H	H	H	Me
383		H	H	OMe	H	H	H
384		H	H	H	H	OMe	H

【0194】

【表 121】














表 2 の つ づ き 30

385		H	H	H	H	H	OMe
386		H	H	CF3	H	H	H
387		H	H	H	H	CF3	H
388		H	H	H	H	H	CF3
389		H	H	OH	H	H	H
390		H	H	H	OH	H	H
391		H	H	H	H	OH	H
392		H	H	H	H	H	OH
393		H	H	OCF3	H	H	H
394		H	H	H	H	OCF3	H
395		H	H	H	H	H	OCF3
396		H	H	NO2	H	H	H
397		H	H	H	NO2	H	H

【 0 1 9 5 】

【表 1 2 2】














表2のつづき31

398		H	H	H	H	NO2	H
399		H	H	H	H	H	NO2
400		H	H	CN	H	H	H
401		H	H	H	CN	H	H
402		H	H	H	H	CN	H
403		H	H	H	H	H	CN
404		H	H	Br	H	H	H
405		H	H	H	Br	H	H
406		H	H	H	H	Br	H
407		H	H	H	H	H	Br
408		H	H	COOH	H	H	H
409		H	H	H	COOH	H	H
410		H	H	H	H	COOH	H

【0 1 9 6】

【表 1 2 3】














表2のつづき32

411		H	H	H	H	H	COOH
412		H	H	NHCOMe	H	H	H
413		H	H	H	NHCOMe	H	H
414		H	H	H	H	NHCOMe	
415		H	H	H	H	H	NHCOMe
416		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
417		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
418		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
419		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
420		H	H	Me	Me	H	H
421		H	H	Me	H	Me	H
422		H	H	H	Me	Me	H
423		H	H	F	F	H	H

【0197】

【表124】





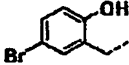
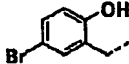
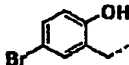
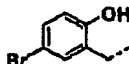
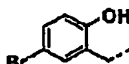
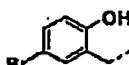
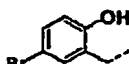
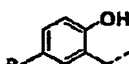
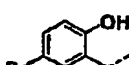
表2のつづき33

424		H	H	F	H	F	H
425		H	H	H	F	F	H
426		H	H	Cl	Cl	H	H
427		H	H	Cl	H	Cl	H
428		H	H	H	Cl	Cl	H
429		H	H	Me	F	H	H
430		H	H	Me	Cl	H	H
431		H	H	Me	OH	H	H
432		H	H	Me	OMe	H	H
433		H	H	F	Me	H	H
434		H	H	F	Cl	H	H
435		H	H	F	OH	H	H
436		H	H	F	OMe	H	H

【0198】

【表 125】

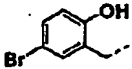
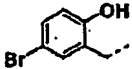
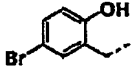
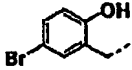
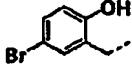
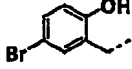
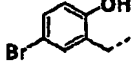
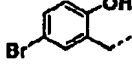
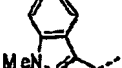
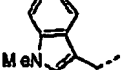
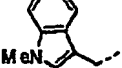
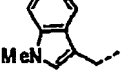
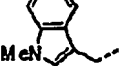
表2のつづき34

437		H	H	Cl	Me	H	H
438		H	H	Cl	F	H	H
439		H	H	Cl	OH	H	H
440		H	H	Cl	OMe	H	H
441		H	H	Cl	H	H	H
442		H	H	H	OMe	H	H
443		H	H	H	COOMe	H	H
444		H	H	H	H	Cl	H
445		H	H	H	H	COOMe	H
446		H	H	H	H	H	Cl
447		H	H	H	OCF3	H	H
448		H	H	COOMe	H	H	H
449		H	H	H	CF3	H	H

【0199】

【表 126】

表2のつづき35

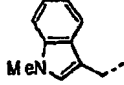
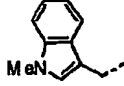
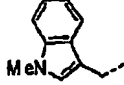
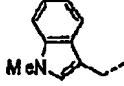
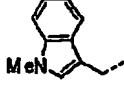
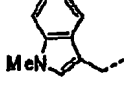
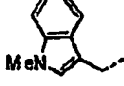
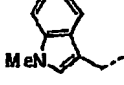
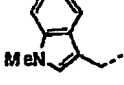
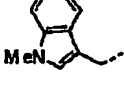
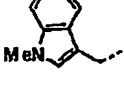
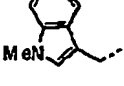

450		H	H	H	Me	H	H
451		H	H	H	F	H	H
452		H	H	H	OH	H	H
453		H	H	H	NO2	H	H
454		H	H	H	F	F	H
455		H	H	F	H	H	H
456		H	H	Me	H	H	H
457		H	H	H	CN	H	H
458		H	H	Cl	H	H	H
459		H	H	H	OMe	H	H
460		H	H	H	COOMe	H	H
461		H	H	H	H	Cl	H
462		H	H	H	H	COOMe	H

【0200】



【表 1 2 7】

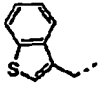
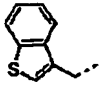
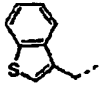
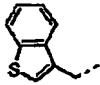
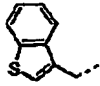
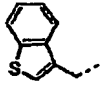
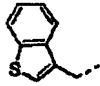
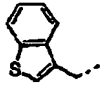
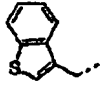
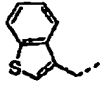
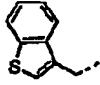
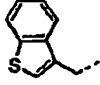
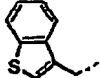
表2のつづき36

463		H	H	H	H	H	Cl
464		H	H	H	OCF <sub>3</sub>	H	H
465		H	H	COOMe	H	H	H
466		H	H	H	CF <sub>3</sub>	H	H
467		H	H	H	Me	H	H
468		H	H	H	F	H	H
469		H	H	H	OH	H	H
470		H	H	H	NO <sub>2</sub>	H	H
471		H	H	H	F	F	H
472		H	H	F	H	H	H
473		H	H	Me	H	H	H
474		H	H	H	CN	H	H
475		H	H	Cl	H	H	H

【0 2 0 1】

【表 128】

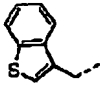
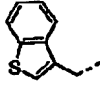
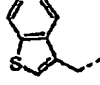
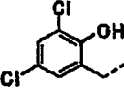
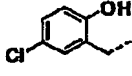

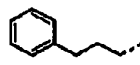
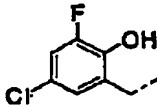
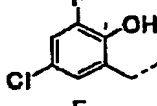
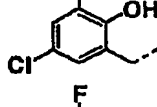
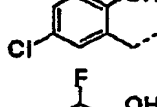
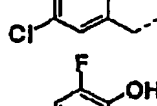
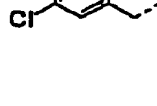
表2のつづき37

476		H	H	H	OMe	H	H
477		H	H	H	COOMe	H	H
478		H	H	H	H	Cl	H
479		H	H	H	H	COOMe	H
480		H	H	H	H	H	Cl
481		H	H	H	OCF3	H	H
482		H	H	COOMe	H	H	H
483		H	H	H	CF3	H	H
484		H	H	H	Me	H	H
485		H	H	H	F	H	H
486		H	H	H	OH	H	H
487		H	H	H	NO2	H	H
488		H	H	H	F	F	H

【0202】

【表 129】

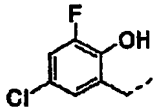
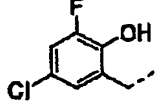
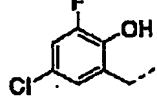
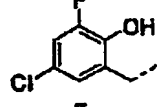
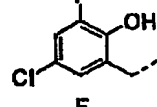
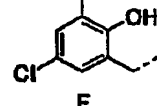
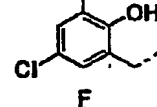
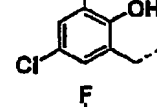
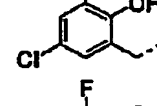
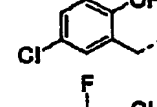
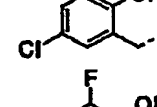
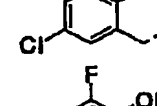
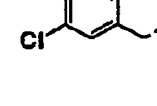
表2のつづき38

489		H	H	F	H	H	H
490		H	H	Me	H	H	H
491		H	H	H	CN	H	H
492		H	Me	H	H	H	H
493		H	Me	H	H	H	H
494		H	Me	H	H	H	H
495		H	Me	H	H	H	H
496		H	H	H	H	H	H
497		H	H	F	H	H	H
498		H	H	Cl	H	H	H
499		H	H	Me	H	H	H
500		H	H	Et	H	H	H
501		H	H	OMe	H	H	H

【0203】

【表 130】

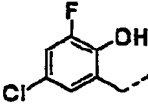
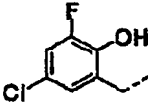
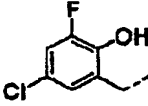
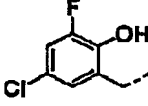
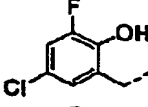
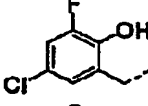
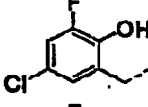
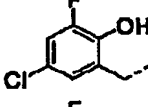
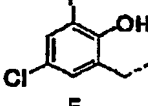
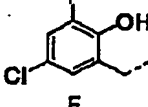
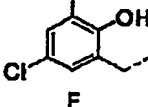
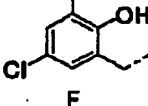
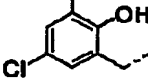
表2のつづき39

502		H	H	OEt	H	H	H
503		H	H	CF3	H	H	H
504		H	H	OCF3	H	H	H
505		H	H	NO2	H	H	H
506		H	H	NH2	H	H	H
507		H	H	OH	H	H	H
508		H	H	ON	H	H	H
509		H	H	COMe	H	H	H
510		H	H	COOMe	H	H	H
511		H	H	H	F	H	H
512		H	H	H	Cl	H	H
513		H	H	H	Me	H	H
514		H	H	H	Et	H	H

【0204】

【表 131】

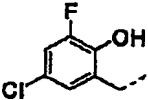
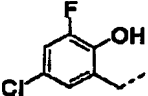
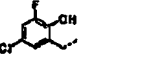
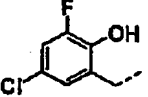
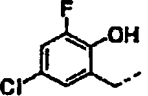
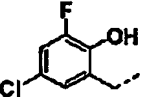
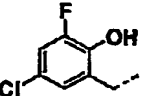
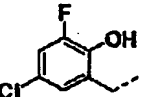
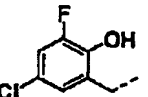
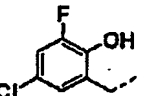
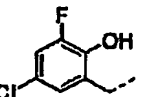
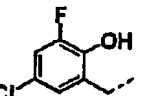
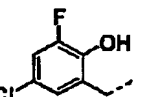
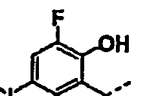
表2のつづき40

515		H	H	H	OMe	H	H
516		H	H	H	OEt	H	H
517		H	H	H	CF3	H	H
518		H	H	H	OCF3	H	H
519		H	H	H	NO2	H	H
520		H	H	H	NH2	H	H
521		H	H	H	OH	H	H
522		H	H	H	CN	H	H
523		H	H	H	GOMe	H	H
524		H	H	H	COOMe	H	H
525		H	H	F	F	H	H
526		H	H	F	Cl	H	H
527		H	H	F	Me	H	H

【0205】

【表 132】

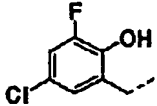
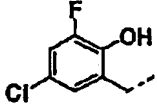
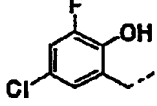
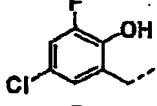
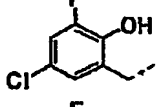
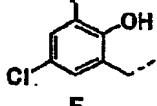
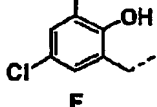
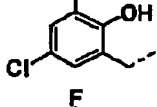
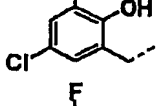
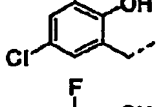
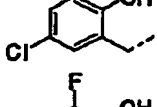
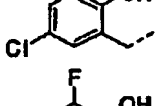
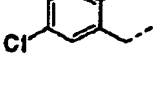
表2のつづき41

528		H	H	F	Et	H	H
529		H	H	F	OMe	H	H
530		H	H	F	OEt	H	H
531		H	H	F	CF3	H	H
532		H	H	F	OCF3	H	H
533		H	H	Cl	F	H	H
534		H	H	Cl	Cl	H	H
535		H	H	Cl	Me	H	H
536		H	H	Cl	Et	H	H
537		H	H	Cl	OMe	H	H
538		H	H	Cl	OEt	H	H
539		H	H	Cl	CF3	H	H
540		H	H	Cl	OCF3	H	H
541		H	H	Me	F	H	H

【0206】

【表 133】

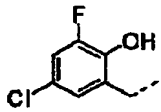
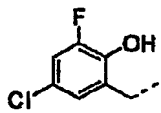
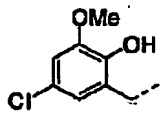
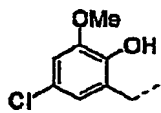
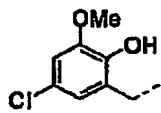
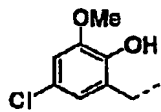
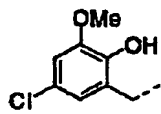
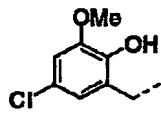
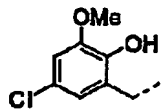
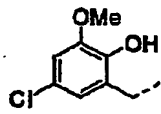
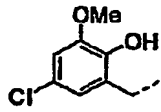
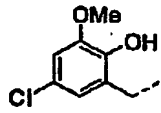
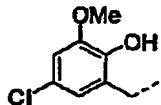
表2のつづき42

542		H	H	Me	Cl	H	H
543		H	H	Me	Me	H	H
544		H	H	Me	Et	H	H
545		H	H	Me	OMe	H	H
546		H	H	Me	OEt	H	H
547		H	H	Me	CF3	H	H
548		H	H	Me	OCF3	H	H
549		H	H	OMe	F	H	H
550		H	H	OMe	Cl	H	H
551		H	H	OMe	Me	H	H
552		H	H	OMe	Et	H	H
553		H	H	OMe	OMe	H	H
554		H	H	OMe	OEt	H	H

【0207】

【表 134】

表2のつづき43

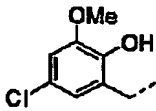
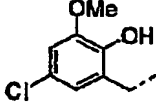
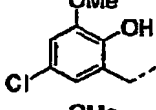
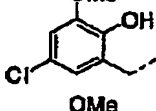
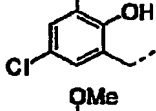
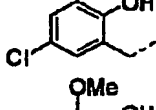
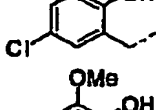
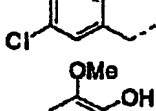
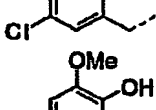
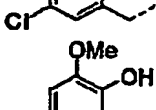
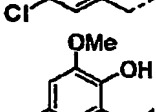
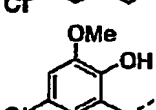
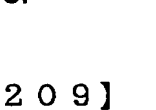
555		H	H	OMe	CF3	H	H
556		H	H	OMe	OCF3	H	H
557		H	H	H	H	H	H
558		H	H	F	H	H	H
559		H	H	Cl	H	H	H
560		H	H	Me	H	H	H
561		H	H	Et	H	H	H
562		H	H	OMe	H	H	H
563		H	H	H	F	H	H
564		H	H	H	Cl	H	H
565		H	H	H	Me	H	H
566		H	H	H	Et	H	H
567		H	H	H	OMe	H	H

【0208】



【表 135】

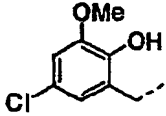
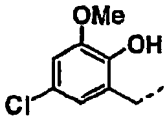
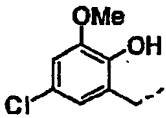
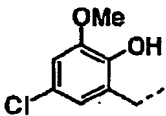
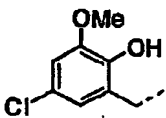
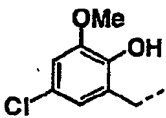
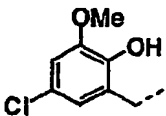
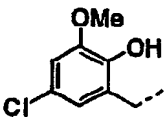
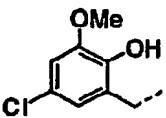
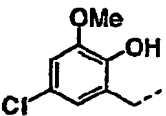
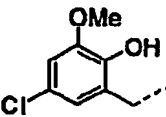
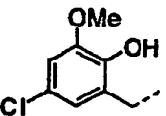
表2のつづき44

568		H	H	F	F	H	H
569		H	H	F	Cl	H	H
570		H	H	F	Me	H	H
571		H	H	F	Et	H	H
572		H	H	F	OMe	H	H
573		H	H	Cl	F	H	H
574		H	H	Cl	Cl	H	H
575		H	H	Cl	Me	H	H
576		H	H	Cl	Et	H	H
577		H	H	Cl	OMe	H	H
578		H	H	Me	F	H	H
579		H	H	Me	Cl	H	H
580		H	H	Me	Me	H	H

【0209】

【表 136】

表2のつづき45

581		H	H	Me	Et	H	H
582		H	H	Me	OMe	H	H
583		H	H	Et	F	H	H
584		H	H	Et	Cl	H	H
585		H	H	Et	Me	H	H
586		H	H	Et	Et	H	H
587		H	H	Et	OMe	H	H
588		H	H	OMe	F	H	H
589		H	H	OMe	Cl	H	H
590		H	H	OMe	Me	H	H
591		H	H	OMe	Et	H	H
592		H	H	OMe	OMe	H	H

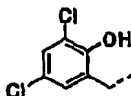

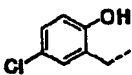
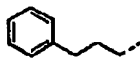
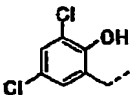
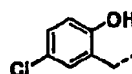

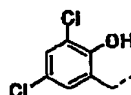
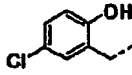

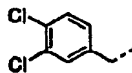
【0210】

表 3 は、 $X = -SO_2-$ 、 $q = 0$ 、 $r = 0$ 、かつ  $Y = - (R^4) C = C (R^5)$   
 - で表される化合物の好適な具体例である。

【表 137】

X = -SO<sub>2</sub>-, q = 0, r = 0, Y = -(R<sub>4</sub>)C=C(R<sub>5</sub>)-

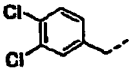


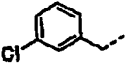
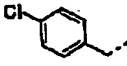

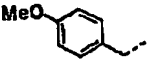
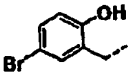
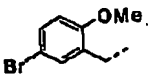
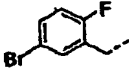
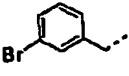
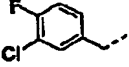
表 3

compnd NO.3-	R1-(CH <sub>2</sub> )p--	R2	R3	R4	R5	R6	R7
1		H	H	H	H	H	H
2		H	H	H	H	H	H
3		H	H	H	H	H	H
4		H	H	H	H	H	H
5		H	H	H	Me	H	H
6		H	H	H	Me	H	H
7		H	H	H	Me	H	H
8		H	H	H	F	H	H
9		H	H	H	F	H	H
10		H	H	H	F	H	H
11		H	H	H	H	H	H

【0211】

【表 138】

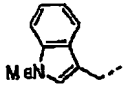
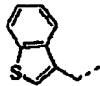
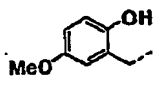
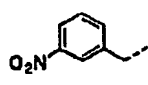
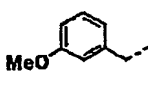
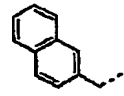
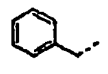
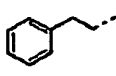
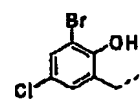
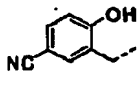
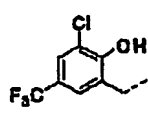
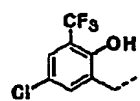
表3のつづき

12		H	H	H	Cl	H	H
13		H	H	H	Cl	H	H
14		H	H	H	H	H	H
15		H	H	H	H	H	H
16		H	H	H	H	H	H
17		H	H	H	H	H	H
18		H	H	H	H	H	H
19		H	H	H	H	H	H
20		H	H	H	H	H	H
21		H	H	H	H	H	H
22		H	H	H	H	H	H
23		H	H	H	H	H	H

【0212】

【表 139】

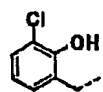
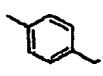
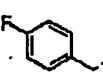
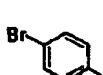
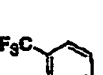
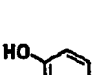
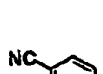
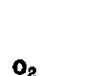

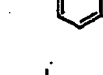
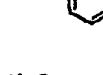

表3のつづき2

24		H	H	H	H	H	H
25		H	H	H	H	H	H
26		H	H	H	H	H	H
27		H	H	H	H	H	H
28		H	H	H	H	H	H
29		H	H	H	H	H	H
30		H	H	H	H	H	H
31		H	H	H	H	H	H
32		H	H	H	H	H	H
33		H	H	H	H	H	H
34		H	H	H	H	H	H
35		H	H	H	H	H	H

【0213】

【表 140】

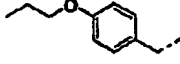
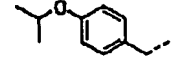
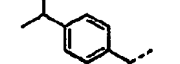
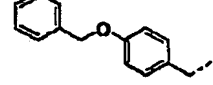
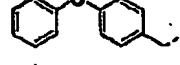
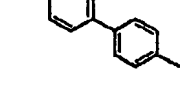
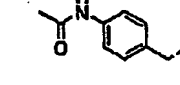
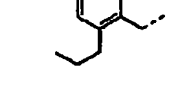
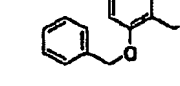
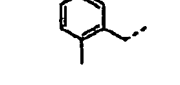
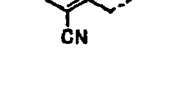
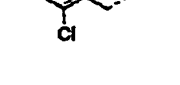
表3のつづき3

36		H	H	H	H	H	H
37		H	H	H	H	H	H
38		H	H	H	H	H	H
39		H	H	H	H	H	H
40		H	H	H	H	H	H
41		H	H	H	H	H	H
42		H	H	H	H	H	H
43		H	H	H	H	H	H
44		H	H	H	H	H	H
45		H	H	H	H	H	H
46		H	H	H	H	H	H
47		H	H	H	H	H	H

【 0 2 1 4 】

【表 1 4 1】

表3のつづき4

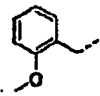
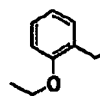
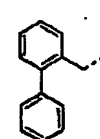
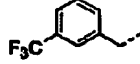
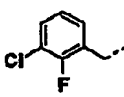
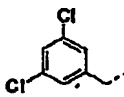
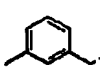
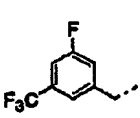
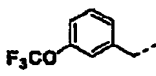
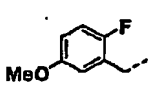
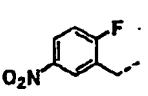
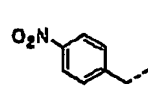
48		H	H	H	H	H	H
49		H	H	H	H	H	H
50		H	H	H	H	H	H
51		H	H	H	H	H	H
52		H	H	H	H	H	H
53		H	H	H	H	H	H
54		H	H	H	H	H	H
55		H	H	H	H	H	H
56		H	H	H	H	H	H
57		H	H	H	H	H	H
58		H	H	H	H	H	H
59		H	H	H	H	H	H

【0 2 1 5】



【表 142】

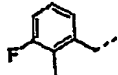
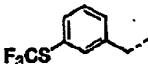
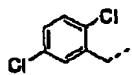
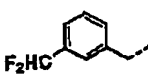
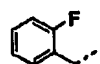
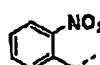
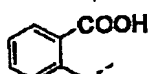
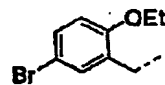
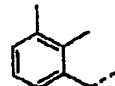
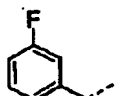
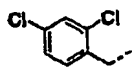
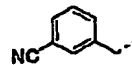
表3のつづき5

60		H	H	H	H	H	H
61		H	H	H	H	H	H
62		H	H	H	H	H	H
63		H	H	H	H	H	H
64		H	H	H	H	H	H
65		H	H	H	H	H	H
66		H	H	H	H	H	H
67		H	H	H	H	H	H
68		H	H	H	H	H	H
69		H	H	H	H	H	H
70		H	H	H	H	H	H
71		H	H	H	H	H	H

【0216】

【表 143】

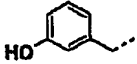
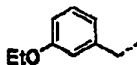
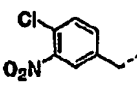
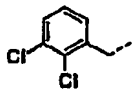
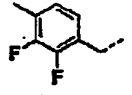
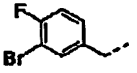
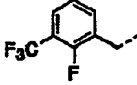
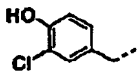
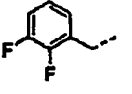
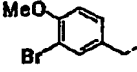
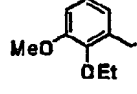
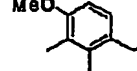
表3のつづき6

72		H	H	H	H	H	H
73		H	H	H	H	H	H
74		H	H	H	H	H	H
75		H	H	H	H	H	H
76		H	H	H	H	H	H
77		H	H	H	H	H	H
78		H	H	H	H	H	H
79		H	H	H	H	H	H
80		H	H	H	H	H	H
81		H	H	H	H	H	H
82		H	H	H	H	H	H
83		H	H	H	H	H	H

【0217】

【表 1 4 4】

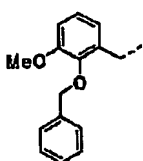
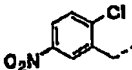
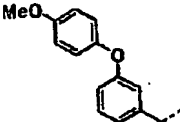
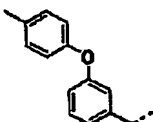
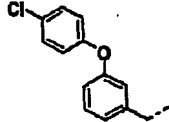
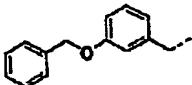
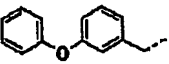
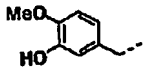

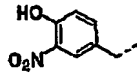
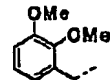
表3のつづき7

84		H	H	H	H	H	H
85		H	H	H	H	H	H
86		H	H	H	H	H	H
87		H	H	H	H	H	H
88		H	H	H	H	H	H
89		H	H	H	H	H	H
90		H	H	H	H	H	H
91		H	H	H	H	H	H
92		H	H	H	H	H	H
93		H	H	H	H	H	H
94		H	H	H	H	H	H
95		H	H	H	H	H	H

【0 2 1 8】

【表 1, 4 5】

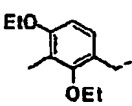
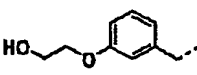
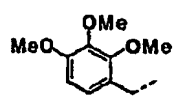
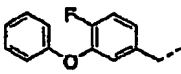
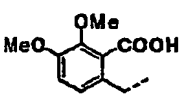
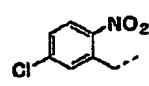
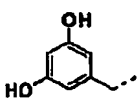
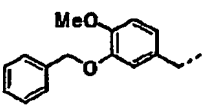
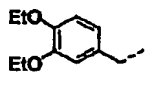
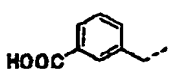
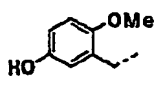
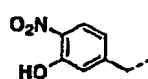
表3のつづき8

96		H	H	H	H	H	H
97		H	H	H	H	H	H
98		H	H	H	H	H	H
99		H	H	H	H	H	H
100		H	H	H	H	H	H
101		H	H	H	H	H	H
102		H	H	H	H	H	H
103		H	H	H	H	H	H
104		H	H	H	H	H	H
105		H	H	H	H	H	H
106		H	H	H	H	H	H

【0 2 1 9】

【表 146】

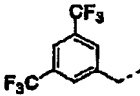
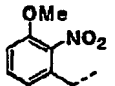
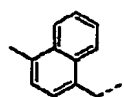
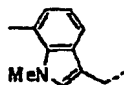
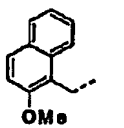
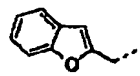
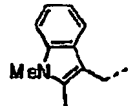
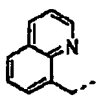
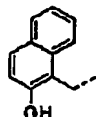
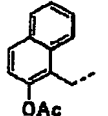
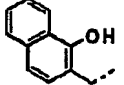
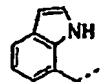
表3のつづき9

107		H	H	H	H	H	H
108		H	H	H	H	H	H
109		H	H	H	H	H	H
110		H	H	H	H	H	H
111		H	H	H	H	H	H
112		H	H	H	H	H	H
113		H	H	H	H	H	H
114		H	H	H	H	H	H
115		H	H	H	H	H	H
116		H	H	H	H	H	H
117		H	H	H	H	H	H
118		H	H	H	H	H	H

【0220】

【表 147】

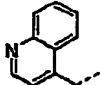
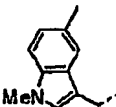
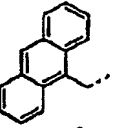
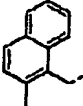
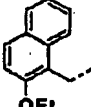
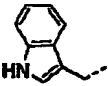
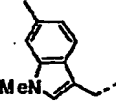
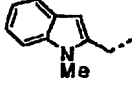
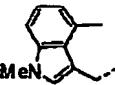
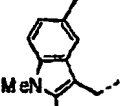
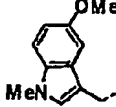

表3のつづき10

119		H	H	H	H	H	H
120		H	H	H	H	H	H
121		H	H	H	H	H	H
122		H	H	H	H	H	H
123		H	H	H	H	H	H
124		H	H	H	H	H	H
125		H	H	H	H	H	H
126		H	H	H	H	H	H
127		H	H	H	H	H	H
128		H	H	H	H	H	H
129		H	H	H	H	H	H
130		H	H	H	H	H	H

【0221】

【表 148】

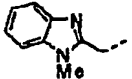
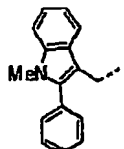
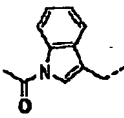
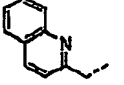
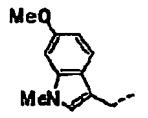
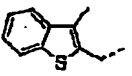
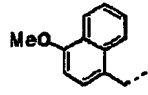
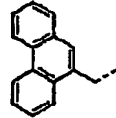
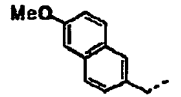
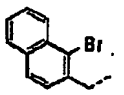
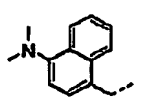
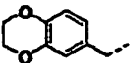
表3のつづき11

131		H	H	H	H	H	H
132		H	H	H	H	H	H
133		H	H	H	H	H	H
134		H	H	H	H	H	H
135		H	H	H	H	H	H
136		H	H	H	H	H	H
137		H	H	H	H	H	H
138		H	H	H	H	H	H
139		H	H	H	H	H	H
140		H	H	H	H	H	H
141		H	H	H	H	H	H
142		H	H	H	H	H	H

【0222】

【表149】

表3のつづき12

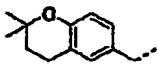
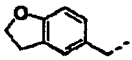
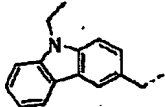

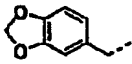
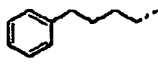
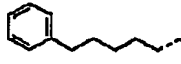

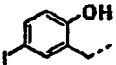
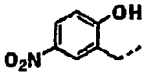
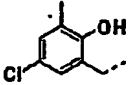

143		H	H	H	H	H	H
144		H	H	H	H	H	H
145		H	H	H	H	H	H
146		H	H	H	H	H	H
147		H	H	H	H	H	H
148		H	H	H	H	H	H
149		H	H	H	H	H	H
150		H	H	H	H	H	H
151		H	H	H	H	H	H
152		H	H	H	H	H	H
153		H	H	H	H	H	H
154		H	H	H	H	H	H

【0223】



【表 150】

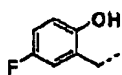
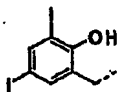
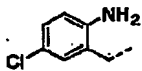


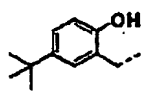
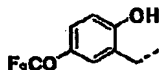



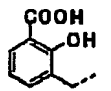
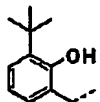
表3のつづき13

155		H	H	H	H	H	H
156		H	H	H	H	H	H
157		H	H	H	H	H	H
158		H	H	H	H	H	H
159		H	H	H	H	H	H
160		H	H	H	H	H	H
161		H	H	H	H	H	H
162		H	H	H	H	H	H
163		H	H	H	H	H	H
164		H	H	H	H	H	H
165		H	H	H	H	H	H
166		H	H	H	H	H	H

【0224】

【表 151】



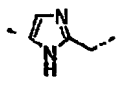
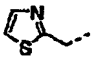

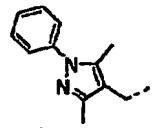
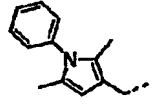
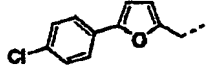
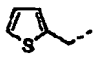

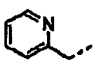
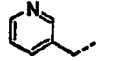
表3のつづき14

167		H	H	H	H	H	H
168		H	H	H	H	H	H
169		H	H	H	H	H	H
170		H	H	H	H	H	H
171		H	H	H	H	H	H
172		H	H	H	H	H	H
173		H	H	H	H	H	H
174		H	H	H	H	H	H
175		H	H	H	H	H	H
176		H	H	H	H	H	H
177		H	H	H	H	H	H
178		H	H	H	H	H	H

【0225】

【表 1 5 2】

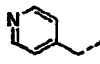
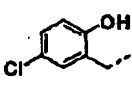
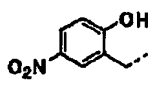
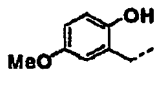
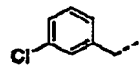
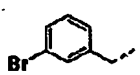
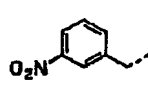
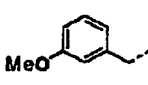
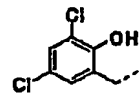
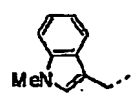
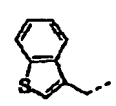
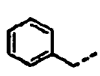
表3のつづき15

179		H	H	H	H	H	H
180		H	H	H	H	H	H
181		H	H	H	H	H	H
182		H	H	H	H	H	H
183		H	H	H	H	H	H
184		H	H	H	H	H	H
185		H	H	H	H	H	H
186		H	H	H	H	H	H
187		H	H	H	H	H	H
188		H	H	H	H	H	H
189		H	H	H	H	H	H
190		H	H	H	H	H	H

【 0 2 2 6 】

【表 153】

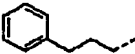
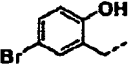
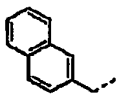
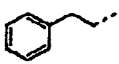
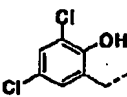
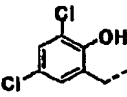
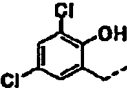
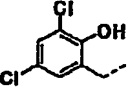
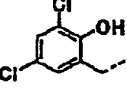
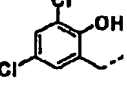
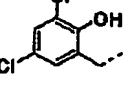
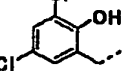
表3のつづき18

191		H	H	H	H	H	H
192		H	H	H	Cl	H	H
193		H	H	H	Cl	H	H
194		H	H	H	Cl	H	H
195		H	H	H	Cl	H	H
196		H	H	H	Cl	H	H
197		H	H	H	Cl	H	H
198		H	H	H	Cl	H	H
199		H	H	H	Cl	H	H
200		H	H	H	Cl	H	H
201		H	H	H	Cl	H	H
202		H	H	H	Cl	H	H

【0227】

【表 154】

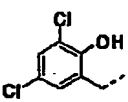
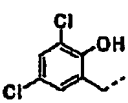
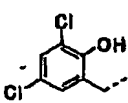
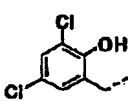
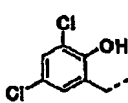
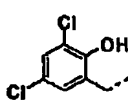
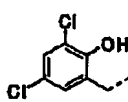
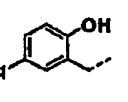
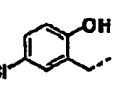
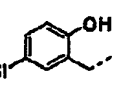
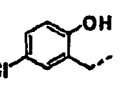
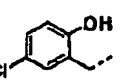
表3のつづき17

203		H	H	H	Cl	H	H
204		H	H	H	Cl	H	H
205		H	H	H	Cl	H	H
206		H	H	H	Cl	H	H
207		H	H	Cl	H	H	H
208		H	H	H	OMe	H	H
209		H	H	H	COOMe	H	H
210		H	H	H	H	Cl	H
211		H	H	H	H	COOMe	H
212		H	H	H	H	H	Cl
213		H	H	H	OCF3	H	H
214		H	H	COOMe	H	H	H

【0228】

【表 155】

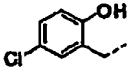
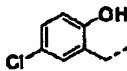
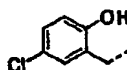
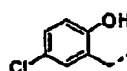
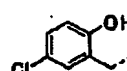
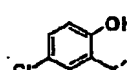
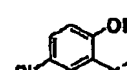
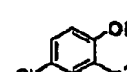
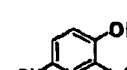
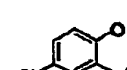


表3のつづき18

215		H	H	H	CF3	H	H
216		H	H	H	OH	H	H
217		H	H	H	NO2	H	H
218		H	H	H	F	F	H
219		H	H	F	H	H	H
220		H	H	Me	H	H	H
221		H	H	H	CN	H	H
222		H	H	Cl	H	H	H
223		H	H	H	OMe	H	H
224		H	H	H	COOMe	H	H
225		H	H	H	H	Cl	H
226		H	H	H	H	COOMe	H

【0229】

【表 156】

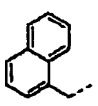
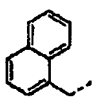
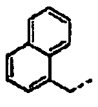
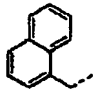
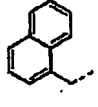
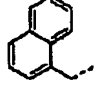
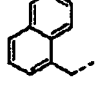
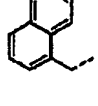
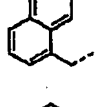
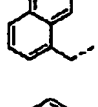
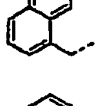
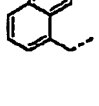
表3のつづき19

227		H	H	H	H	H	Cl
228		H	H	H	OCF <sub>3</sub>	H	H
229		H	H	COOMe	H	H	H
230		H	H	H	CF <sub>3</sub>	H	H
231		H	H	H	OH	H	H
232		H	H	H	NO <sub>2</sub>	H	H
233		H	H	H	F	F	H
234		H	H	F	H	H	H
235		H	H	Me	H	H	H
236		H	H	H	CN	H	H
237		H	H	Cl	H	H	H
238		H	H	H	OMe	H	H

【0230】

【表 157】

表3のつづき20


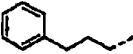
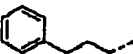
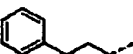

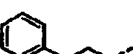



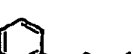


239		H	H	H	COOMe	H	H
240		H	H	H	H	Cl	H
241		H	H	H	H	COOMe	H
242		H	H	H	H	H	Cl
243		H	H	H	OCF3	H	H
244		H	H	COOMe	H	H	H
245		H	H	H	CF3	H	H
246		H	H	H	OH	H	H
247		H	H	H	NO2	H	H
248		H	H	H	F	F	H
249		H	H	F	H	H	H
250		H	H	Me	H	H	H

【0231】



【表 158】

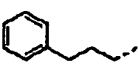
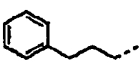
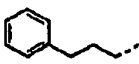
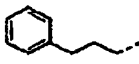
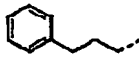
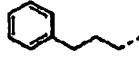
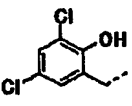
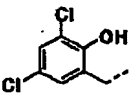
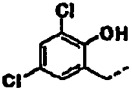
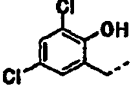
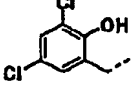
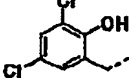
表3のつづき21

251		H	H	H	CN	H	H
252		H	H	Cl	H	H	H
253		H	H	H	OMe	H	H
254		H	H	H	COOMe	H	H
255		H	H	H	H	Cl	H
256		H	H	H	H	COOMe	H
257		H	H	H	H	H	Cl
258		H	H	H	OCF3	H	H
259		H	H	COOMe	H	H	H
260		H	H	H	CF3	H	H
261		H	H	H	Me	H	H
262		H	H	H	F	H	H

【0232】

【表 159】

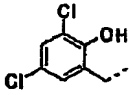
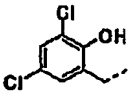
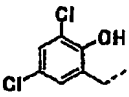
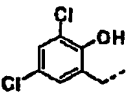
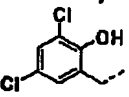
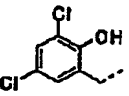
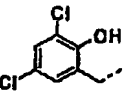
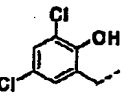
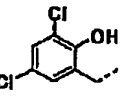
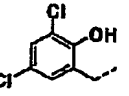
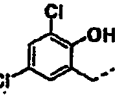
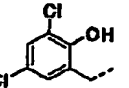
表3のつづき22

263		H	H	H	OH	H	H
264		H	H	H	NO2	H	H
265		H	H	H	F	F	H
266		H	H	F	H	H	H
267		H	H	Me	H	H	H
268		H	H	H	CN	H	H
269		H	H	H	H	H	COOMe
270		H	H	H	H	F	H
271		H	H	H	H	H	F
272		H	H	H	H	Me	H
273		H	H	H	H	H	Me
274		H	H	OMe	H	H	H

【0233】

【表 1 6 0】

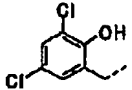
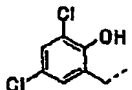
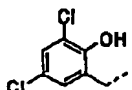
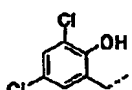
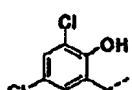
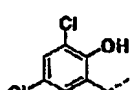
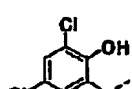
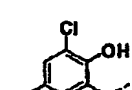
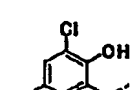
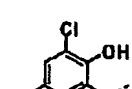
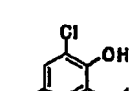
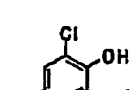
表3のつづき23

275		H	H	H	H	OMe	H
276		H	H	H	H	H	OMe
277		H	H	CF3	H	H	H
278		H	H	H	H	CF3	H
279		H	H	H	H	H	CF3
280		H	H	OH	H	H	H
281		H	H	H	H	OH	H
282		H	H	H	H	H	OH
283		H	H	OCF3	H	H	H
284		H	H	H	H	OCF3	H
285		H	H	H	H	H	OCF3
286		H	H	NO2	H	H	H

【 0 2 3 4 】

【表 1 6 1】

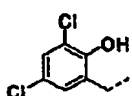
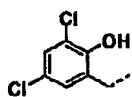
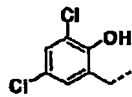
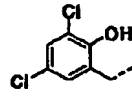
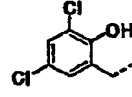
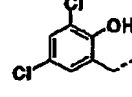
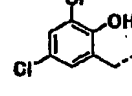
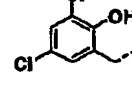
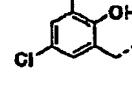
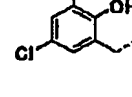
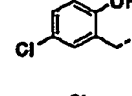
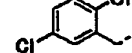
表3のつづき24

287		H	H	H	H	NO2	H
288		H	H	H	H	H	NO2
289		H	H	CN	H	H	H
290		H	H	H	H	CN	H
291		H	H	H	H	H	CN
292		H	H	Br	H	H	H
293		H	H	H	Br	H	H
294		H	H	H	H	Br	H
295		H	H	H	H	H	Br
296		H	H	COOH	H	H	H
297		H	H	H	COOH	H	H
298		H	H	H	H	COOH	H

【 0 2 3 5 】

【表 162】

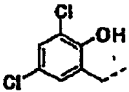
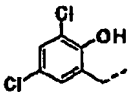
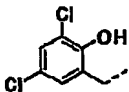
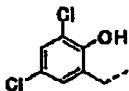
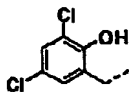
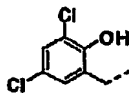
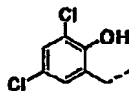
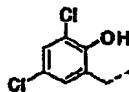
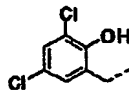
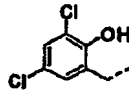
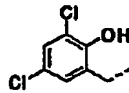
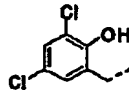
表3のつづき25

299		H	H	H	H	H	COOH
300		H	H	NHCOMe	H	H	H
301		H	H	H	NHCOMe	H	H
302		H	H	H	H	NHCOMe	
303		H	H	H	H	H	NHCOMe
304		H	H	SO2NH2	H	H	H
305		H	H	H	SO2NH2	H	H
306		H	H	H	H	SO2NH2	H
307		H	H	H	H	H	SO2NH2
308		H	H	Me	Me	H	H
309		H	H	Me	H	Me	H
310		H	H	H	Me	Me	H

【0236】

【表 163】

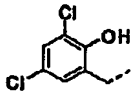
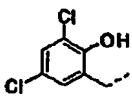
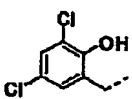
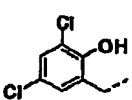
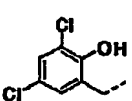
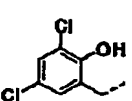
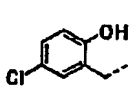
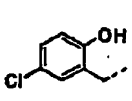
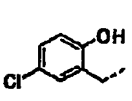
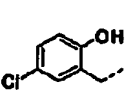
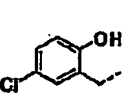
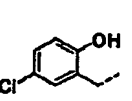
表3のつづき26

311		H	H	F	F	H	H
312		H	H	F	H	F	H
313		H	H	H	F	F	H
314		H	H	Cl	Cl	H	H
315		H	H	Cl	H	Cl	H
316		H	H	H	Cl	Cl	H
317		H	H	Me	F	H	H
318		H	H	Me	Cl	H	H
319		H	H	Me	OH	H	H
320		H	H	Me	OMe	H	H
321		H	H	F	Me	H	H
322		H	H	F	Cl	H	H

【0237】

【表 164】

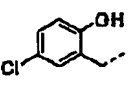
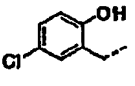
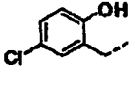
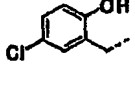
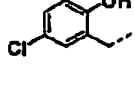
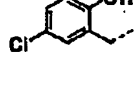
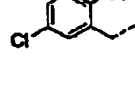
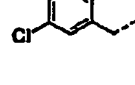
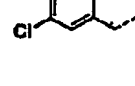
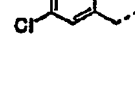
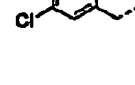
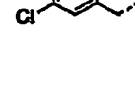
表3のつづき27

323		H	H	F	OH	H	H
324		H	H	F	OMe	H	H
325		H	H	Cl	Me	H	H
326		H	H	Cl	F	H	H
327		H	H	Cl	OH	H	H
328		H	H	Cl	OMe	H	H
329		H	H	H	H	H	COOMe
330		H	H	H	H	F	H
331		H	H	H	H	H	F
332		H	H	H	H	Me	H
333		H	H	H	H	H	Me
334		H	H	OMe	H	H	H

【0238】

【表 165】

表3のつづき28

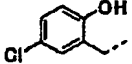
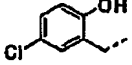
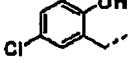
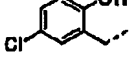
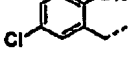
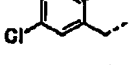
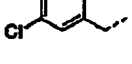
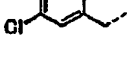
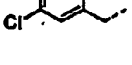
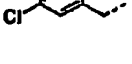
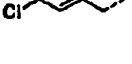
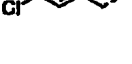
335		H	H	H	H	OMe	H
336		H	H	H	H	H	OMe
337		H	H	CF3	H	H	H
338		H	H	H	H	CF3	H
339		H	H	H	H	H	CF3
340		H	H	OH	H	H	H
341		H	H	H	H	OH	H
342		H	H	H	H	H	OH
343		H	H	OCF3	H	H	H
344		H	H	H	H	OCF3	H
345		H	H	H	H	H	OCF3
346		H	H	NO2	H	H	H

【0239】



【表 166】

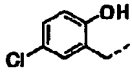
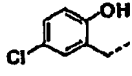
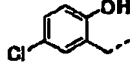
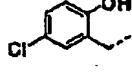
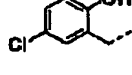
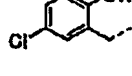
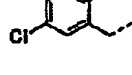
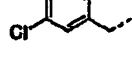
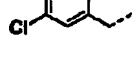
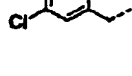
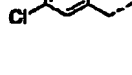
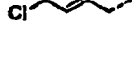
表3のつづき29

347		H	H	H	H	NO2	H
348		H	H	H	H	H	NO2
349		H	H	CN	H	H	H
350		H	H	H	H	CN	H
351		H	H	H	H	H	CN
352		H	H	Br	H	H	H
353		H	H	H	Br	H	H
354		H	H	H	H	Br	H
355		H	H	H	H	H	Br
356		H	H	COOH	H	H	H
357		H	H	H	COOH	H	H
358		H	H	H	H	COOH	H

【0240】

【表 167】

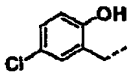
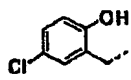
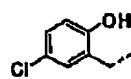
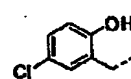
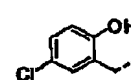
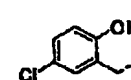
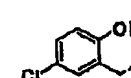
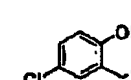
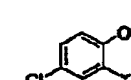
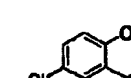
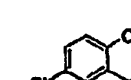
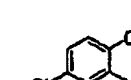
表3のつづき30

359		H	H	H	H	H	COOH
360		H	H	NHCOMe	H	H	H
361		H	H	H	NHCOMe	H	H
362		H	H	H	H	NHCOMe	
363		H	H	H	H	H	NHCOMe
364		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
365		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
366		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
367		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
368		H	H	Me	Me	H	H
369		H	H	Me	H	Me	H
370		H	H	H	Me	Me	H

【0241】

【表 168】

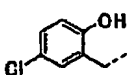
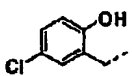
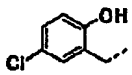
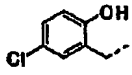
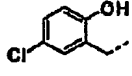
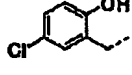






表3のつづき31

371		H	H	F	F	H	H
372		H	H	F	H	F	H
373		H	H	H	F	F	H
374		H	H	Cl	Cl	H	H
375		H	H	Cl	H	Cl	H
376		H	H	H	Cl	Cl	H
377		H	H	Me	F	H	H
378		H	H	Me	Cl	H	H
379		H	H	Me	OH	H	H
380		H	H	Me	OMe	H	H
381		H	H	F	Me	H	H
382		H	H	F	Cl	H	H

【0242】

【表 169】

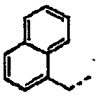
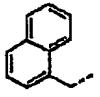
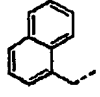
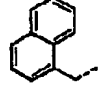
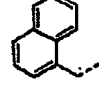
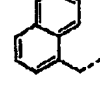
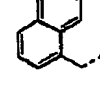
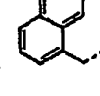
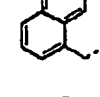
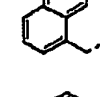
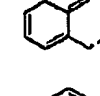
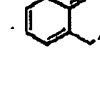
表3のつづき32

383		H	H	F	OH	H	H
384		H	H	F	OMe	H	H
385		H	H	Cl	Me	H	H
386		H	H	Cl	F	H	H
387		H	H	Cl	OH	H	H
388		H	H	Cl	OMe	H	H
389		H	H	H	H	H	OOMe
390		H	H	H	H	F	H
391		H	H	H	H	H	F
392		H	H	H	H	Me	H
393		H	H	H	H	H	Me
394		H	H	OMe	H	H	H

【0243】

【表 170】













表3のつづき33

395		H	H	H	H	OMe	H
396		H	H	H	H	H	OMe
397		H	H	CF3	H	H	H
398		H	H	H	H	CF3	H
399		H	H	H	H	H	CF3
400		H	H	OH	H	H	H
401		H	H	H	H	OH	H
402		H	H	H	H	H	OH
403		H	H	OCF3	H	H	H
404		H	H	H	H	OCF3	H
405		H	H	H	H	H	OCF3
406		H	H	NO2	H	H	H

【0244】

【表 171】













表3のつづき34

407		H	H	H	H	NO2	H
408		H	H	H	H	H	NO2
409		H	H	CN	H	H	H
410		H	H	H	H	CN	H
411		H	H	H	H	H	CN
412		H	H	Br	H	H	H
413		H	H	H	Br	H	H
414		H	H	H	H	Br	H
415		H	H	H	H	H	Br
416		H	H	COOH	H	H	H
417		H	H	H	COOH	H	H
418		H	H	H	H	COOH	H

【0245】

【表 1 7 2】













表 3 の つ づ き 35

419		H	H	H	H	H	COOH
420		H	H	NHCOMe	H	H	H
421		H	H	H	NHCOMe	H	H
422		H	H	H	H	NHCOMe	
423		H	H	H	H	H	NHCOMe
424		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
425		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
426		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
427		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
428		H	H	Me	Me	H	H
429		H	H	Me	H	Me	H
430		H	H	H	Me	Me	H

【 0 2 4 6 】

【表 173】

表3のつづき36







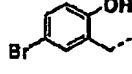
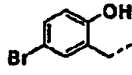
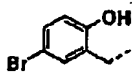
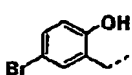
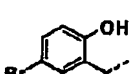
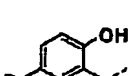
431		H	H	F	F	H	H
432		H	H	F	H	F	H
433		H	H	H	F	F	H
434		H	H	Cl	Cl	H	H
435		H	H	Cl	H	Cl	H
436		H	H	H	Cl	Cl	H
437		H	H	Me	F	H	H
438		H	H	Me	Cl	H	H
439		H	H	Me	OH	H	H
440		H	H	Me	OMe	H	H
441		H	H	F	Me	H	H
442		H	H	F	Cl	H	H

【0247】



【表 1 7 4】

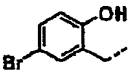
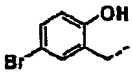
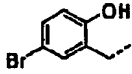
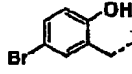
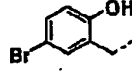
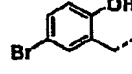
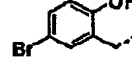
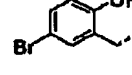
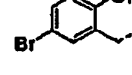
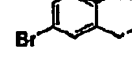
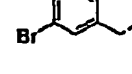
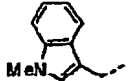
表3のつづき37

443		H	H	F	OH	H	H
444		H	H	F	OMe	H	H
445		H	H	Cl	Me	H	H
446		H	H	Cl	F	H	H
447		H	H	Cl	OH	H	H
448		H	H	Cl	OMe	H	H
449		H	H	Cl	H	H	H
450		H	H	H	OMe	H	H
451		H	H	H	COOMe	H	H
452		H	H	H	H	Cl	H
453		H	H	H	H	COOMe	H
454		H	H	H	H	H	Cl

【 0 2 4 8 】

【表 175】

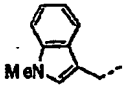
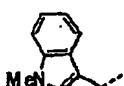
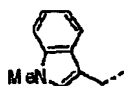
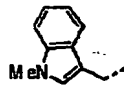
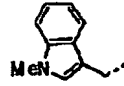
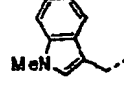
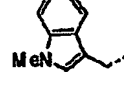
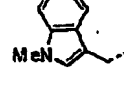
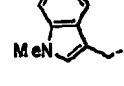
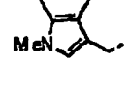
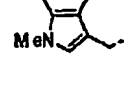

表3のつづき38

455		H	H	H	OCF <sub>3</sub>	H	H
456		H	H	COOMe	H	H	H
457		H	H	H	CF <sub>3</sub>	H	H
458		H	H	H	Me	H	H
459		H	H	H	F	H	H
460		H	H	H	OH	H	H
461		H	H	H	NO <sub>2</sub>	H	H
462		H	H	H	F	F	H
463		H	H	F	H	H	H
464		H	H	Me	H	H	H
465		H	H	H	CN	H	H
466		H	H	Cl	H	H	H

【0249】

【表 176】

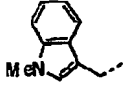
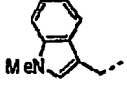
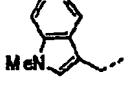
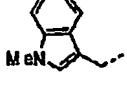
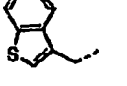
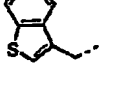
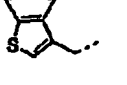
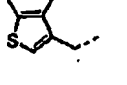
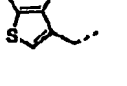
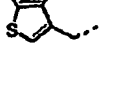

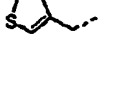
表3のつづき39

467		H	H	H	OMe	H	H
468		H	H	H	COOMe	H	H
469		H	H	H	H	Cl	H
470		H	H	H	H	COOMe	H
471		H	H	H	H	H	Cl
472		H	H	H	OCF3	H	H
473		H	H	COOMe	H	H	H
474		H	H	H	CF3	H	H
475		H	H	H	Me	H	H
476		H	H	H	F	H	H
477		H	H	H	OH	H	H
478		H	H	H	NO2	H	H

【0250】

【表 177】

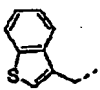
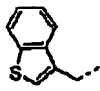
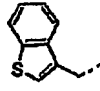
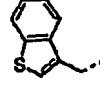
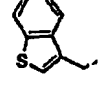
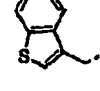
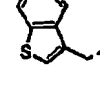
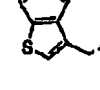
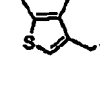
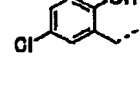
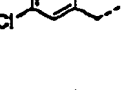
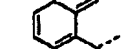
表3のつづき40

479		H	H	H	F	F	H
480		H	H	F	H	H	H
481		H	H	Me	H	H	H
482		H	H	H	CN	H	H
483		H	H	Cl	H	H	H
484		H	H	H	OMe	H	H
485		H	H	H	COOMe	H	H
486		H	H	H	H	Cl	H
487		H	H	H	H	COOMe	H
488		H	H	H	H	H	Cl
489		H	H	H	OCF3	H	H
490		H	H	COOMe	H	H	H

【0251】

【表 178】

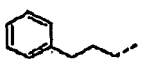
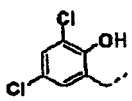
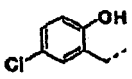

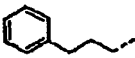
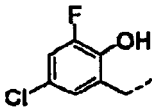
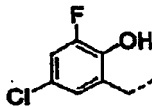
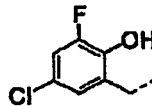
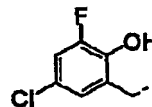
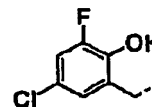
表3のつづき41

491		H	H	H	CF3	H	H
492		H	H	H	Me	H	H
493		H	H	H	F	H	H
494		H	H	H	OH	H	H
495		H	H	H	NO2	H	H
496		H	H	H	F	F	H
497		H	H	F	H	H	H
498		H	H	Me	H	H	H
499		H	H	H	CN	H	H
500		H	Me	H	H	H	H
501		H	Me	H	H	H	H
502		H	Me	H	H	H	H

【0252】

【表 179】

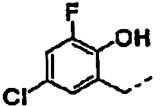
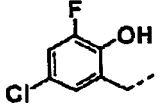
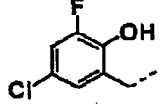
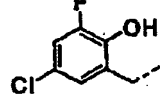
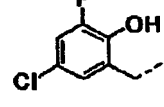
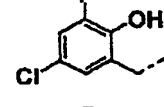
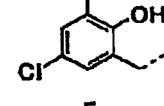
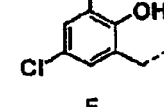
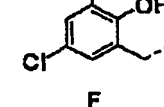
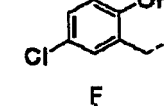
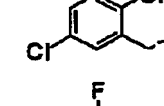
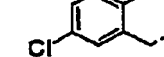
表3のつづき42

503		H	Me	H	H	H	H
504		H	H	H	Et	H	H
505		H	H	H	Et	H	H
506		H	H	H	Et	H	H
507		H	H	H	Et	H	H
508		H	H	H	H	H	H
509		H	H	F	H	H	H
510		H	H	Cl	H	H	H
511		H	H	Me	H	H	H
512		H	H	Et	H	H	H

【0253】

【表 180】

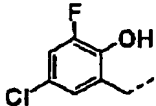
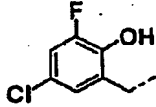
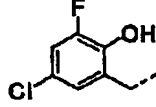
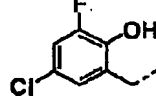
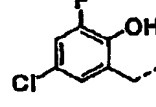
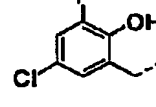
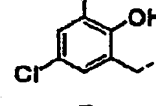
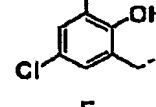
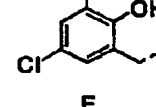
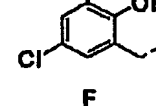
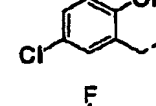
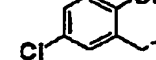
表3のつづき43

513		H	H	OMe	H	H	H
514		H	H	OEt	H	H	H
515		H	H	CF3	H	H	H
516		H	H	OCF3	H	H	H
517		H	H	NO2	H	H	H
518		H	H	NH2	H	H	H
519		H	H	OH	H	H	H
520		H	H	CN	H	H	H
521		H	H	COMe	H	H	H
522		H	H	COOMe	H	H	H
523		H	H	H	F	H	H
524		H	H	H	Cl	H	H

【0254】

【表 181】

表3のつづき44

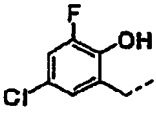
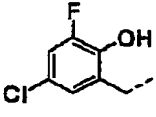
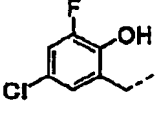
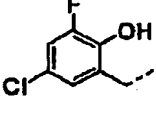
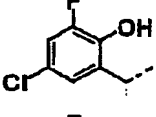
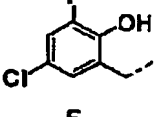
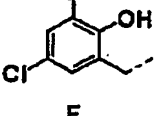
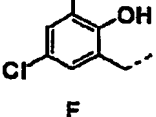
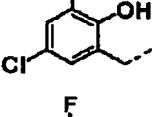
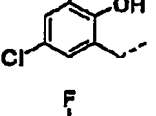
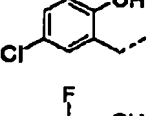
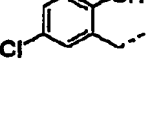
525		H	H	H	Me	H	H
526		H	H	H	Et	H	H
527		H	H	H	OMe	H	H
528		H	H	H	OEt	H	H
529		H	H	H	CF3	H	H
530		H	H	H	OCF3	H	H
531		H	H	H	NO2	H	H
532		H	H	H	NH2	H	H
533		H	H	H	OH	H	H
534		H	H	H	CN	H	H
535		H	H	H	COMe	H	H
536		H	H	H	COOMe	H	H

【0255】



【表 182】

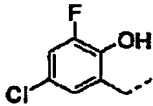
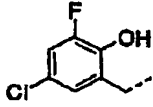
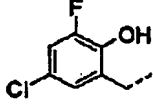
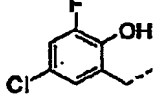
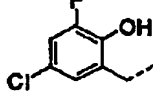
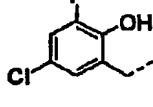
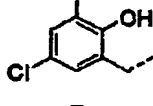
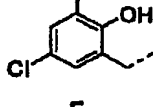
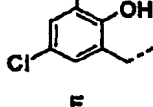
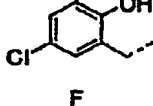
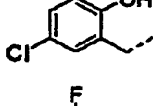
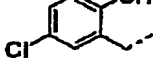
表3のつづき45

537		H	H	F	F	H	H
538		H	H	F	Cl	H	H
539		H	H	F	Me	H	H
540		H	H	F	Et	H	H
541		H	H	F	OMe	H	H
542		H	H	F	OEt	H	H
543		H	H	F	CF3	H	H
544		H	H	F	OCF3	H	H
545		H	H	Cl	F	H	H
546		H	H	Cl	Cl	H	H
547		H	H	Cl	Me	H	H
548		H	H	Cl	Et	H	H

【0256】

【表 183】

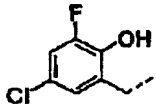
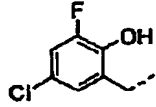
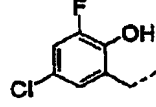
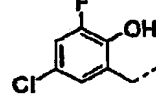
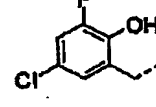
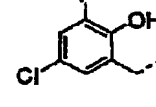
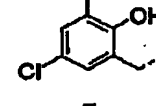
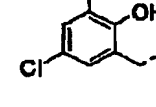
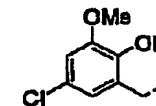
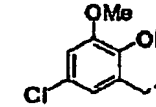
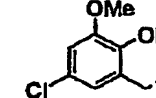
表3のつづき46

549		H	H	Cl	OMe	H	H
550		H	H	Cl	OEt	H	H
551		H	H	Cl	CF3	H	H
552		H	H	Cl	OCF3	H	H
553		H	H	Me	F	H	H
554		H	H	Me	Cl	H	H
555		H	H	Me	Me	H	H
556		H	H	Me	Et	H	H
557		H	H	Me	OMe	H	H
558		H	H	Me	OEt	H	H
559		H	H	Me	CF3	H	H
560		H	H	Me	OCF3	H	H

【0257】

【表 1 8 4】

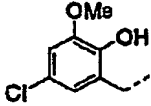
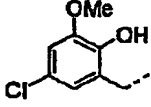
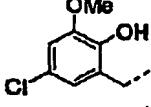
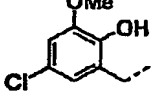
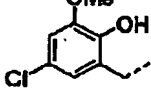
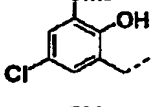
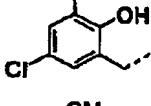
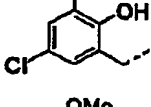
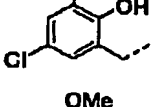
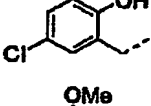
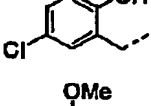
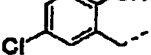
表3のつづき47

561		H	H	OMe	F	H	H
562		H	H	OMe	Cl	H	H
563		H	H	OMe	Me	H	H
564		H	H	OMe	Et	H	H
565		H	H	OMe	OMe	H	H
566		H	H	OMe	OEt	H	H
567		H	H	OMe	CF3	H	H
568		H	H	OMe	OCF3	H	H
569		H	H	H	H	H	H
570		H	H	F	H	H	H
571		H	H	Cl	H	H	H

【 0 2 5 8 】

【表 185】

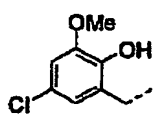
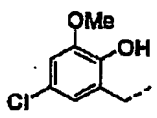
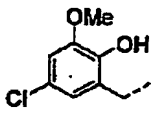
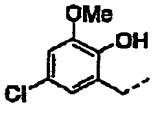
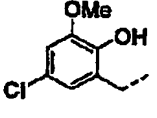
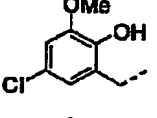
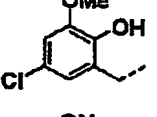
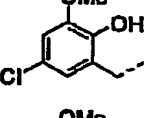
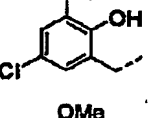
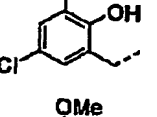
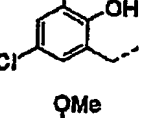
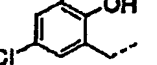
表3のつづき48

572		H	H	Me	H	H	H
573		H	H	Et	H	H	H
574		H	H	OMe	H	H	H
575		H	H	H	F	H	H
576		H	H	H	Cl	H	H
577		H	H	H	Me	H	H
578		H	H	H	Et	H	H
579		H	H	H	OMe	H	H
580		H	H	F	F	H	H
581		H	H	F	Cl	H	H
582		H	H	F	Me	H	H
583		H	H	F	Et	H	H

【0259】

【表 186】

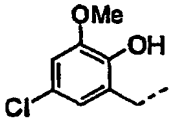
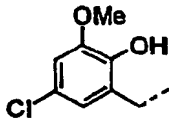
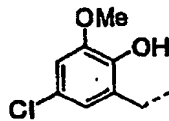
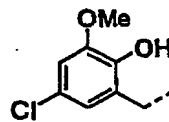
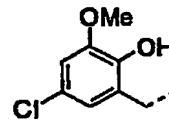
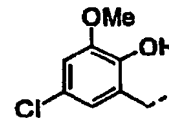
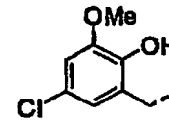
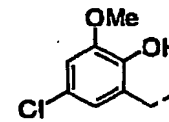
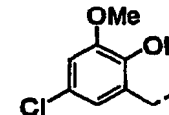
表3のつづき49

584		H	H	F	OMe	H	H
585		H	H	Cl	F	H	H
586		H	H	Cl	Cl	H	H
587		H	H	Cl	Me	H	H
588		H	H	Cl	Et	H	H
589		H	H	Cl	OMe	H	H
590		H	H	Me	F	H	H
591		H	H	Me	Cl	H	H
592		H	H	Me	Me	H	H
593		H	H	Me	Et	H	H
594		H	H	Me	OMe	H	H
595		H	H	Et	F	H	H

【0260】

【表 1 8 7】

表3のつづき50

596		H	H	Et	Cl	H	H
597		H	H	Et	Me	H	H
598		H	H	Et	Et	H	H
599		H	H	Et	OMe	H	H
600		H	H	OMe	F	H	H
601		H	H	OMe	Cl	H	H
602		H	H	OMe	Me	H	H
603		H	H	OMe	Et	H	H
604		H	H	OMe	OMe	H	H

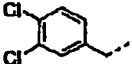
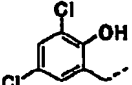
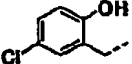

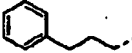
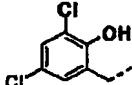
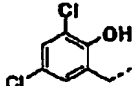
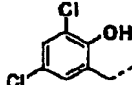
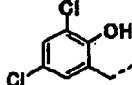
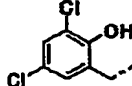
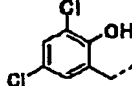
【0 2 6 1】

表 4 は、 $X = -CH_2-$ 、 $q = 0$ 、 $r = 0$ 、かつ  $Y = - (R^4) C = C (R^5) -$  で表される化合物の好適な具体例である。

【表 188】

X = -CH<sub>2</sub>-, q = 0, r = 0, Y = -(R<sub>4</sub>)C=C(R<sub>5</sub>)-

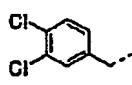
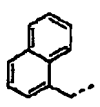
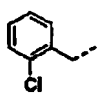
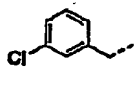
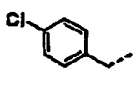
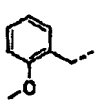
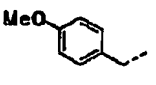
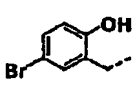
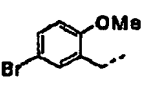
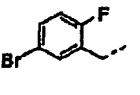
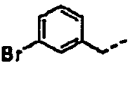
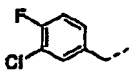
表 4

compnd NO.4-	R1-(CH <sub>2</sub> ) <sub>p</sub> -	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>
1		H	H	H	H	H	H
2		H	H	H	H	H	H
3		H	H	H	H	H	H
4		H	H	H	H	H	H
5		H	H	H	H	H	H
6		H	H	H	OCF <sub>3</sub>	H	H
7		H	H	H	Cl	H	H
8		H	H	H	Me	H	H
9		H	H	H	F	H	H
10		H	H	Me	H	H	H
11		H	H	H	OH	H	H

【0262】

【表 1 8 9】

表4のつづき1

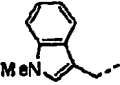

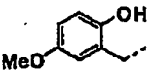
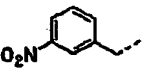
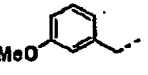
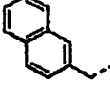

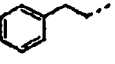
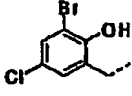
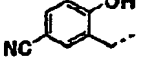
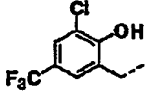
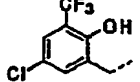
12		H	H	H	Cl	H	H
13		H	H	H	Cl	H	H
14		H	H	H	H	H	H
15		H	H	H	H	H	H
16		H	H	H	H	H	H
17		H	H	H	H	H	H
18		H	H	H	H	H	H
19		H	H	H	H	H	H
20		H	H	H	H	H	H
21		H	H	H	H	H	H
22		H	H	H	H	H	H
23		H	H	H	H	H	H

【 0 2 6 3 】



【表190】

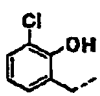
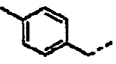
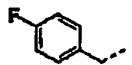
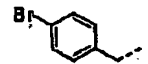
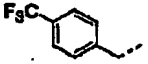
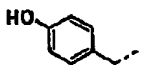
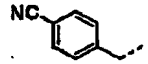
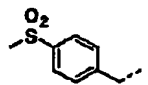
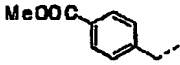
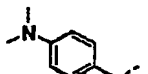
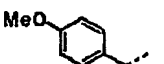
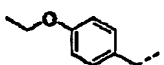
表4のつづき2

24		H	H	H	H	H	H
25		H	H	H	H	H	H
26		H	H	H	H	H	H
27		H	H	H	H	H	H
28		H	H	H	H	H	H
29		H	H	H	H	H	H
30		H	H	H	H	H	H
31		H	H	H	H	H	H
32		H	H	H	H	H	H
33		H	H	H	H	H	H
34		H	H	H	H	H	H
35		H	H	H	H	H	H

【0264】

【表 191】

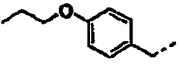
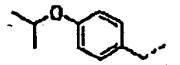
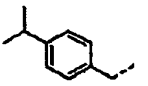
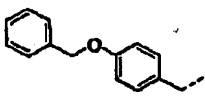
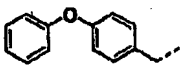
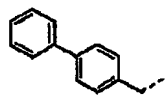
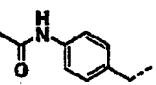
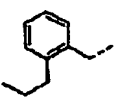
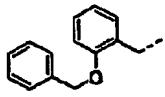
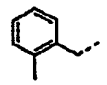
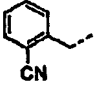
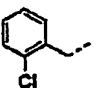
表4のつづき3

36		H	H	H	H	H	H
37		H	H	H	H	H	H
38		H	H	H	H	H	H
39		H	H	H	H	H	H
40		H	H	H	H	H	H
41		H	H	H	H	H	H
42		H	H	H	H	H	H
43		H	H	H	H	H	H
44		H	H	H	H	H	H
45		H	H	H	H	H	H
46		H	H	H	H	H	H
47		H	H	H	H	H	H

【0265】

【表 1 9 2】



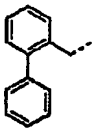
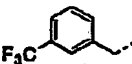
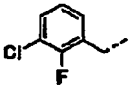
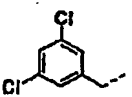
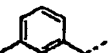
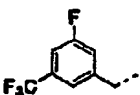
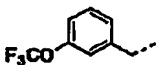
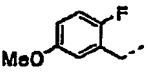
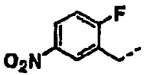
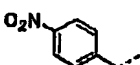
表4のつづき4

48		H	H	H	H	H	H
49		H	H	H	H	H	H
50		H	H	H	H	H	H
51		H	H	H	H	H	H
52		H	H	H	H	H	H
53		H	H	H	H	H	H
54		H	H	H	H	H	H
55		H	H	H	H	H	H
56		H	H	H	H	H	H
57		H	H	H	H	H	H
58		H	H	H	H	H	H
59		H	H	H	H	H	H

【 0 2 6 6 】

【表 193】

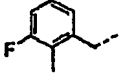
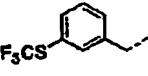
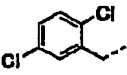
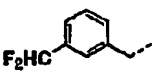

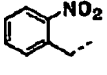
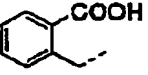
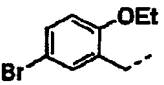
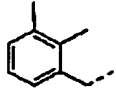
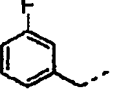
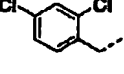
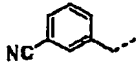
表4のつづき5

60		H	H	H	H	H	H
61		H	H	H	H	H	H
62		H	H	H	H	H	H
63		H	H	H	H	H	H
64		H	H	H	H	H	H
65		H	H	H	H	H	H
66		H	H	H	H	H	H
67		H	H	H	H	H	H
68		H	H	H	H	H	H
69		H	H	H	H	H	H
70		H	H	H	H	H	H
71		H	H	H	H	H	H

【0267】

【表 194】

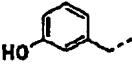
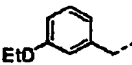
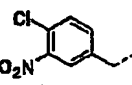
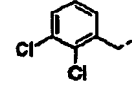
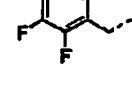
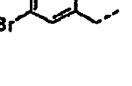
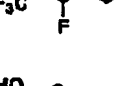

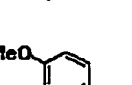
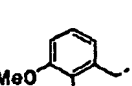
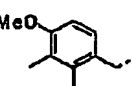
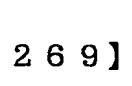
表4のつづき6

72		H	H	H	H	H	H
73		H	H	H	H	H	H
74		H	H	H	H	H	H
75		H	H	H	H	H	H
76		H	H	H	H	H	H
77		H	H	H	H	H	H
78		H	H	H	H	H	H
79		H	H	H	H	H	H
80		H	H	H	H	H	H
81		H	H	H	H	H	H
82		H	H	H	H	H	H
83		H	H	H	H	H	H

【0268】

【表 195】

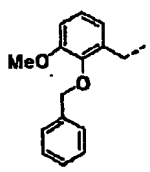
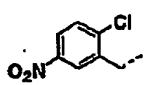
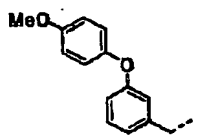
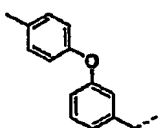
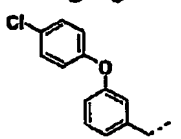
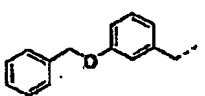
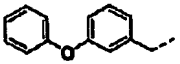
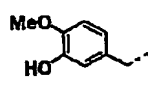
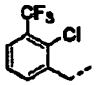
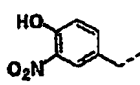
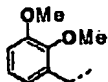
表4のつづき7

84		H	H	H	H	H	H
85		H	H	H	H	H	H
86		H	H	H	H	H	H
87		H	H	H	H	H	H
88		H	H	H	H	H	H
89		H	H	H	H	H	H
90		H	H	H	H	H	H
91		H	H	H	H	H	H
92		H	H	H	H	H	H
93		H	H	H	H	H	H
94		H	H	H	H	H	H
95		H	H	H	H	H	H

【0269】

【表 196】

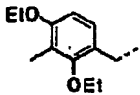
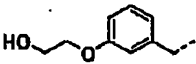
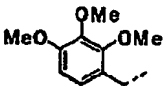
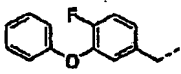
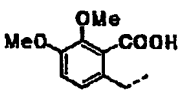
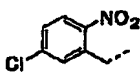
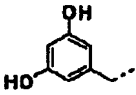
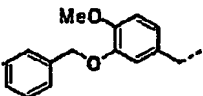
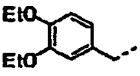
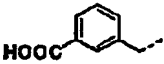
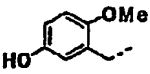
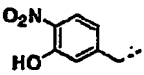
表4のつづき8

96		H	H	H	H	H	H
97		H	H	H	H	H	H
98		H	H	H	H	H	H
99		H	H	H	H	H	H
100		H	H	H	H	H	H
101		H	H	H	H	H	H
102		H	H	H	H	H	H
103		H	H	H	H	H	H
104		H	H	H	H	H	H
105		H	H	H	H	H	H
106		H	H	H	H	H	H

【0270】

【表 197】

表4のつづき9

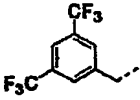
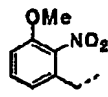
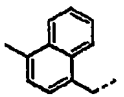
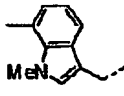
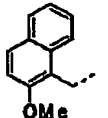
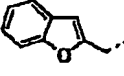
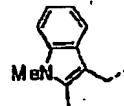

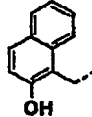
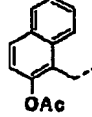
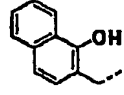

107		H	H	H	H	H	H
108		H	H	H	H	H	H
109		H	H	H	H	H	H
110		H	H	H	H	H	H
111		H	H	H	H	H	H
112		H	H	H	H	H	H
113		H	H	H	H	H	H
114		H	H	H	H	H	H
115		H	H	H	H	H	H
116		H	H	H	H	H	H
117		H	H	H	H	H	H
118		H	H	H	H	H	H

【0271】



【表 198】


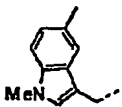
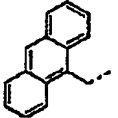
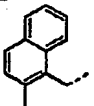
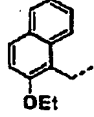

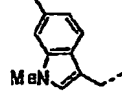
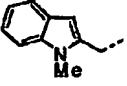
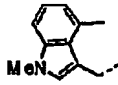
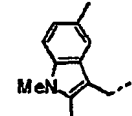
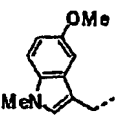

表4のつづき10

119		H	H	H	H	H	H
120		H	H	H	H	H	H
121		H	H	H	H	H	H
122		H	H	H	H	H	H
123		H	H	H	H	H	H
124		H	H	H	H	H	H
125		H	H	H	H	H	H
126		H	H	H	H	H	H
127		H	H	H	H	H	H
128		H	H	H	H	H	H
129		H	H	H	H	H	H
130		H	H	H	H	H	H

【0272】

【表 199】

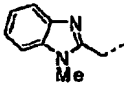
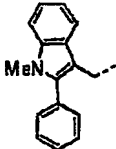
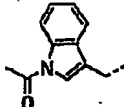
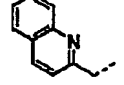
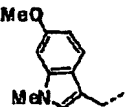
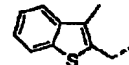
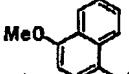
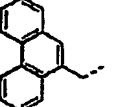
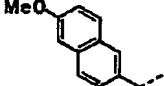
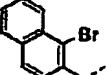
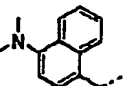
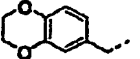
表4のつづき11

131		H	H	H	H	H	H
132		H	H	H	H	H	H
133		H	H	H	H	H	H
134		H	H	H	H	H	H
135		H	H	H	H	H	H
136		H	H	H	H	H	H
137		H	H	H	H	H	H
138		H	H	H	H	H	H
139		H	H	H	H	H	H
140		H	H	H	H	H	H
141		H	H	H	H	H	H
142		H	H	H	H	H	H

【0273】

【表 2 0 0】

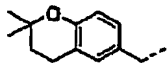
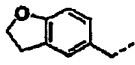
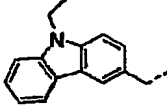
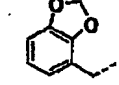
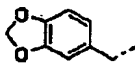
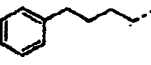
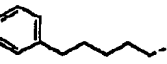

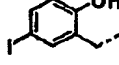
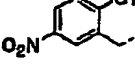
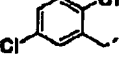

表4のつづき12

143		H	H	H	H	H	H
144		H	H	H	H	H	H
145		H	H	H	H	H	H
146		H	H	H	H	H	H
147		H	H	H	H	H	H
148		H	H	H	H	H	H
149		H	H	H	H	H	H
150		H	H	H	H	H	H
151		H	H	H	H	H	H
152		H	H	H	H	H	H
153		H	H	H	H	H	H
154		H	H	H	H	H	H

【 0 2 7 4 】

【表 201】

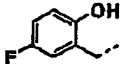
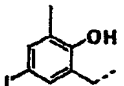
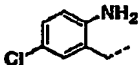
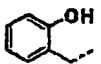
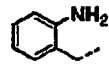
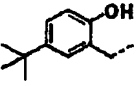
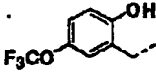
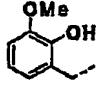
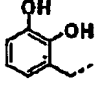
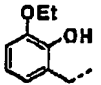
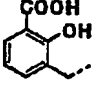
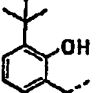
表4のつづき13

155		H	H	H	H	H	H
156		H	H	H	H	H	H
157		H	H	H	H	H	H
158		H	H	H	H	H	H
159		H	H	H	H	H	H
160		H	H	H	H	H	H
161		H	H	H	H	H	H
162		H	H	H	H	H	H
163		H	H	H	H	H	H
164		H	H	H	H	H	H
165		H	H	H	H	H	H
166		H	H	H	H	H	H

【0275】

【表 202】






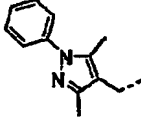
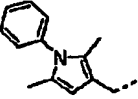
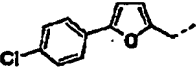




表4のつづき14

167		H	H	H	H	H	H
168		H	H	H	H	H	H
169		H	H	H	H	H	H
170		H	H	H	H	H	H
171		H	H	H	H	H	H
172		H	H	H	H	H	H
173		H	H	H	H	H	H
174		H	H	H	H	H	H
175		H	H	H	H	H	H
176		H	H	H	H	H	H
177		H	H	H	H	H	H
178		H	H	H	H	H	H

【0276】

【表 2 0 3】

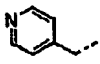
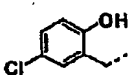
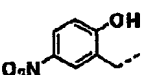
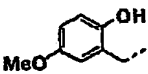
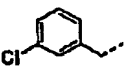
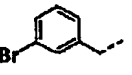
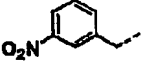
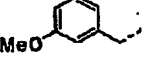
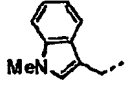
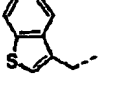
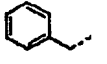
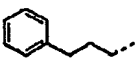
表4のつづき15

179		H	H	H	H	H	H
180		H	H	H	H	H	H
181		H	H	H	H	H	H
182		H	H	H	H	H	H
183		H	H	H	H	H	H
184		H	H	H	H	H	H
185		H	H	H	H	H	H
186		H	H	H	H	H	H
187		H	H	H	H	H	H
188		H	H	H	H	H	H
189		H	H	H	H	H	H
190		H	H	H	H	H	H

【 0 2 7 7】

【表 204】

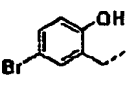
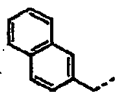
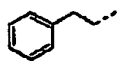
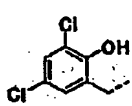
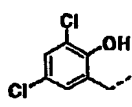
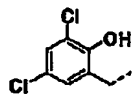
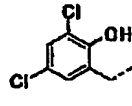
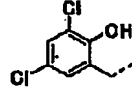
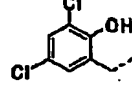
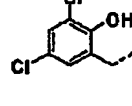
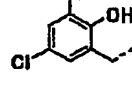
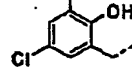
表4のつづき16

191		H	H	H	H	H	H
192		H	H	H	Cl	H	H
193		H	H	H	Cl	H	H
194		H	H	H	Cl	H	H
195		H	H	H	Cl	H	H
196		H	H	H	Cl	H	H
197		H	H	H	Cl	H	H
198		H	H	H	Cl	H	H
199		H	H	H	Cl	H	H
200		H	H	H	Cl	H	H
201		H	H	H	Cl	H	H
202		H	H	H	Cl	H	H

【0278】

【表 205】

表4のつづき17

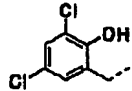
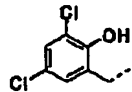
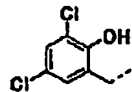
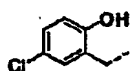
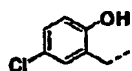
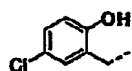
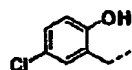
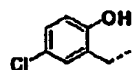
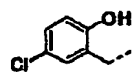
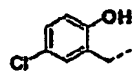
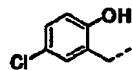
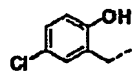
203		H	H	H	Cl	H	H
204		H	H	H	Cl	H	H
205		H	H	H	Cl	H	H
206		H	H	Cl	H	H	H
207		H	H	H	OMe	H	H
208		H	H	H	COOMe	H	H
209		H	H	H	H	Cl	H
210		H	H	H	H	COOMe	H
211		H	H	H	H	H	Cl
212		H	H	COOMe	H	H	H
213		H	H	H	CF3	H	H
214		H	H	H	NO2	H	H

【0279】



【表 206】

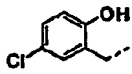
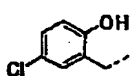
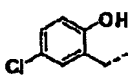
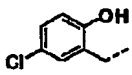
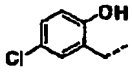
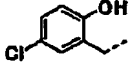
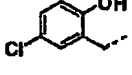
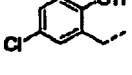




表4のつづき18

215		H	H	H	F	F	H
216		H	H	F	H	H	H
217		H	H	H	ON	H	H
218		H	H	Cl	H	H	H
219		H	H	H	OMe	H	H
220		H	H	H	COOMe	H	H
221		H	H	H	H	Cl	H
222		H	H	H	H	COOMe	H
223		H	H	H	H	H	Cl
224		H	H	H	OCF3	H	H
225		H	H	COOMe	H	H	H
226		H	H	H	CF3	H	H

【0280】

【表 207】













表4のつづき19

227		H	H	H	Me	H	H
228		H	H	H	F	H	H
229		H	H	H	OH	H	H
230		H	H	H	NO2	H	H
231		H	H	H	F	F	H
232		H	H	F	H	H	H
233		H	H	Me	H	H	H
234		H	H	H	CN	H	H
235		H	H	Cl	H	H	H
236		H	H	H	OMe	H	H
237		H	H	H	COOMe	H	H
238		H	H	H	H	Cl	H

【0281】

【表 2 0 8】


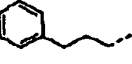
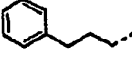
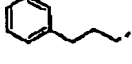
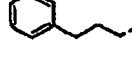
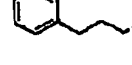
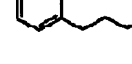
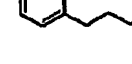
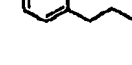
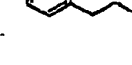
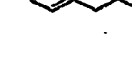
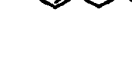
表4のつづき20

239		H	H	H	H	COOMe	H
240		H	H	H	H	H	Cl
241		H	H	H	OCF3	H	H
242		H	H	COOMe	H	H	H
243		H	H	H	CF3	H	H
244		H	H	H	Me	H	H
245		H	H	H	F	H	H
246		H	H	H	OH	H	H
247		H	H	H	NO2	H	H
248		H	H	H	F	F	H
249		H	H	F	H	H	H
250		H	H	Me	H	H	H

【 0 2 8 2 】

【表 2 0 9】

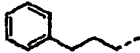
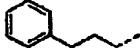
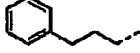
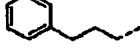
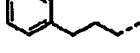
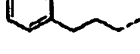
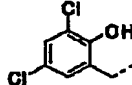
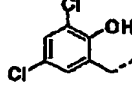
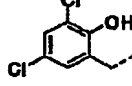
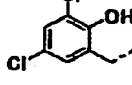
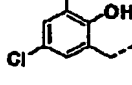
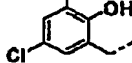
表4のつづき21

251		H	H	H	ON	H	H
252		H	H	Cl	H	H	H
253		H	H	H	OMe	H	H
254		H	H	H	COOMe	H	H
255		H	H	H	H	Cl	H
256		H	H	H	H	COOMe	H
257		H	H	H	H	H	Cl
258		H	H	H	OCF3	H	H
259		H	H	COOMe	H	H	H
260		H	H	H	CF3	H	H
261		H	H	H	Me	H	H
282		H	H	H	F	H	H

【 0 2 8 3 】

【表 210】

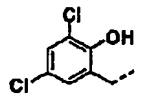
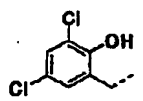
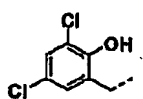
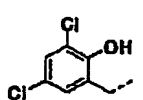
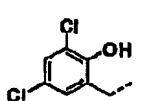
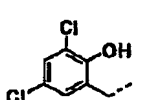
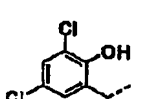
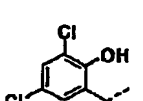
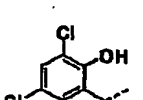
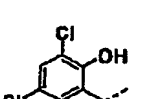
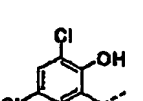
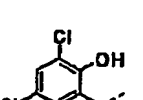
表4のつづき22

263		H	H	H	OH	H	H
264		H	H	H	NO <sub>2</sub>	H	H
265		H	H	H	F	F	H
266		H	H	F	H	H	H
267		H	H	Me	H	H	H
268		H	H	H	ON	H	H
269		H	H	H	H	H	COOMe
270		H	H	H	H	F	H
271		H	H	H	H	H	F
272		H	H	H	H	Me	H
273		H	H	H	H	H	Me
274		H	H	OMe	H	H	H

【0284】

【表 211】

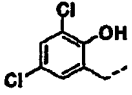
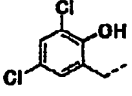
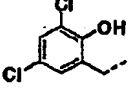
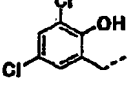
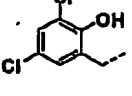
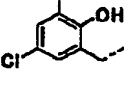
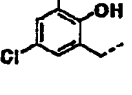
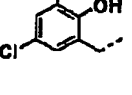
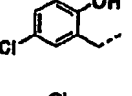
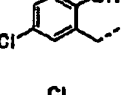
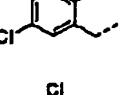
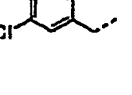
表4のつづき23

275		H	H	H	H	OMe	H
276		H	H	H	H	H	OMe
277		H	H	CF3	H	H	H
278		H	H	H	H	CF3	H
279		H	H	H	H	H	CF3
280		H	H	OH	H	H	H
281		H	H	H	H	OH	H
282		H	H	H	H	H	OH
283		H	H	OCF3	H	H	H
284		H	H	H	H	OCF3	H
285		H	H	H	H	H	OCF3
286		H	H	NO2	H	H	H

【0285】

【表 212】

表4のつづき24

287		H	H	H	H	NO2	H
288		H	H	H	H	H	NO2
289		H	H	CN	H	H	H
290		H	H	H	H	CN	H
291		H	H	H	H	H	CN
292		H	H	Br	H	H	H
293		H	H	H	Br	H	H
294		H	H	H	H	Br	H
295		H	H	H	H	H	Br
296		H	H	COOH	H	H	H
297		H	H	H	COOH	H	H
298		H	H	H	H	COOH	H

【0286】

【表 213】

表4のつづき25

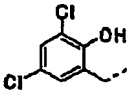
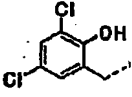
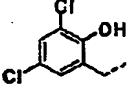
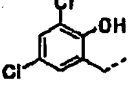
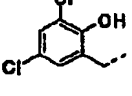
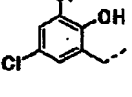
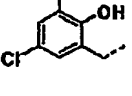
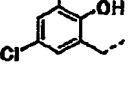
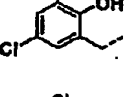
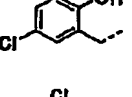
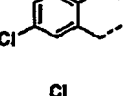
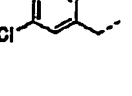
299		H	H	H	H	H	COOH
300		H	H	NHCOMe	H	H	H
301		H	H	H	NHCOMe	H	H
302		H	H	H	H	NHCOMe	
303		H	H	H	H	H	NHCOMe
304		H	H	SO2NH2	H	H	H
305		H	H	H	SO2NH2	H	H
306		H	H	H	H	SO2NH2	H
307		H	H	H	H	H	SO2NH2
308		H	H	Me	Me	H	H
309		H	H	Me	H	Me	H
310		H	H	H	Me	Me	H

【0287】



【表 214】

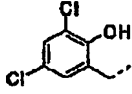
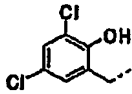
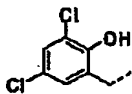
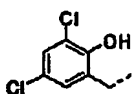
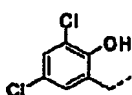
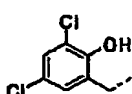
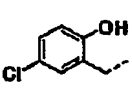
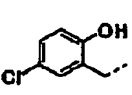
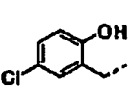
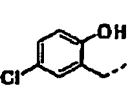
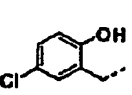
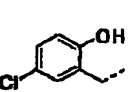
表4のつづき26

311		H	H	F	F	H	H
312		H	H	F	H	F	H
313		H	H	H	F	F	H
314		H	H	Cl	Cl	H	H
315		H	H	Cl	H	Cl	H
316		H	H	H	Cl	Cl	H
317		H	H	Me	F	H	H
318		H	H	Me	Cl	H	H
319		H	H	Me	OH	H	H
320		H	H	Me	OMe	H	H
321		H	H	F	Me	H	H
322		H	H	F	Cl	H	H

【0288】

【表 2 1 5】

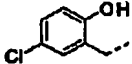
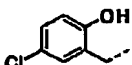
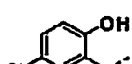


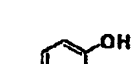






表4のつづき27

323		H	H	F	OH	H	H
324		H	H	F	OMe	H	H
325		H	H	Cl	Me	H	H
326		H	H	Cl	F	H	H
327		H	H	Cl	OH	H	H
328		H	H	Cl	OMe	H	H
329		H	H	H	H	H	COOMe
330		H	H	H	H	F	H
331		H	H	H	H	H	F
332		H	H	H	H	Me	H
333		H	H	H	H	H	Me
334		H	H	OMe	H	H	H

【0 2 8 9】

【表 216】

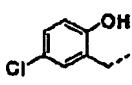
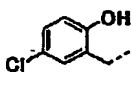
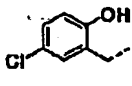
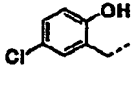
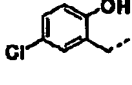
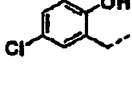
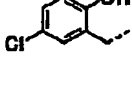
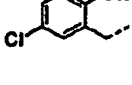
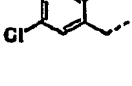
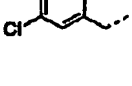
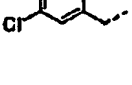
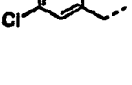
表4のつづき28

335		H	H	H	H	OMe	H
336		H	H	H	H	H	OMe
337		H	H	CF3	H	H	H
338		H	H	H	H	CF3	H
339		H	H	H	H	H	CF3
340		H	H	OH	H	H	H
341		H	H	H	H	OH	H
342		H	H	H	H	H	OH
343		H	H	OCF3	H	H	H
344		H	H	H	H	OCF3	H
345		H	H	H	H	H	OCF3
346		H	H	NO2	H	H	H

【0290】

【表 217】

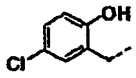
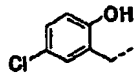
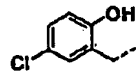
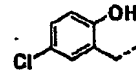
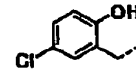
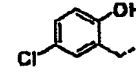
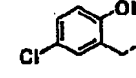
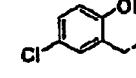
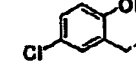
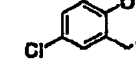
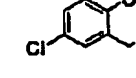
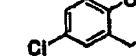
表4のつづき29

347		H	H	H	H	NO2	H
348		H	H	H	H	H	NO2
349		H	H	CN	H	H	H
350		H	H	H	H	CN	H
351		H	H	H	H	H	CN
352		H	H	Br	H	H	H
353		H	H	H	Br	H	H
354		H	H	H	H	Br	H
355		H	H	H	H	H	Br
356		H	H	COOH	H	H	H
357		H	H	H	COOH	H	H
358		H	H	H	H	COOH	H

【0291】

【表 218】

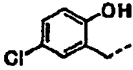
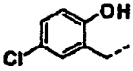
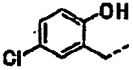
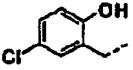
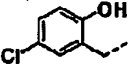
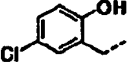
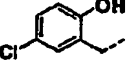
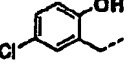
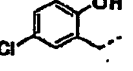
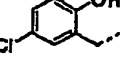
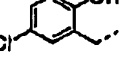
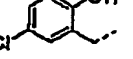
表4のつづき30

359		H	H	H	H	H	COOH
360		H	H	NHCOMe	H	H	H
361		H	H	H	NHCOMe	H	H
362		H	H	H	H	NHCOMe	
363		H	H	H	H	H	NHCOMe
364		H	H	SO2NH2	H	H	H
365		H	H	H	SO2NH2	H	H
366		H	H	H	H	SO2NH2	H
367		H	H	H	H	H	SO2NH2
368		H	H	Me	Me	H	H
369		H	H	Me	H	Me	H
370		H	H	H	Me	Me	H

【0292】

【表 219】

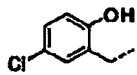
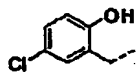
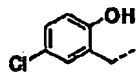
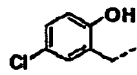
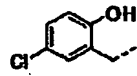
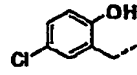






表4のつづき31

371		H	H	F	F	H	H
372		H	H	F	H	F	H
373		H	H	H	F	F	H
374		H	H	Cl	Cl	H	H
375		H	H	Cl	H	Cl	H
376		H	H	H	Cl	Cl	H
377		H	H	Me	F	H	H
378		H	H	Me	Cl	H	H
379		H	H	Me	OH	H	H
380		H	H	Me	OMe	H	H
381		H	H	F	Me	H	H
382		H	H	F	Cl	H	H

【0293】

【表 220】













表4のつづき32

383		H	H	F	OH	H	H
384		H	H	F	OMe	H	H
385		H	H	Cl	Me	H	H
386		H	H	Cl	F	H	H
387		H	H	Cl	OH	H	H
388		H	H	Cl	OMe	H	H
389		H	H	H	H	H	COOMe
390		H	H	H	H	F	H
391		H	H	H	H	H	F
392		H	H	H	H	Me	H
393		H	H	H	H	H	Me
394		H	H	OMe	H	H	H

【0294】

【表 2 2 1】

表4のつづき33













395		H	H	H	H	OMe	H
396		H	H	H	H	H	OMe
397		H	H	CF3	H	H	H
398		H	H	H	H	CF3	H
399		H	H	H	H	H	CF3
400		H	H	OH	H	H	H
401		H	H	H	H	OH	H
402		H	H	H	H	H	OH
403		H	H	OCF3	H	H	H
404		H	H	H	H	OCF3	H
405		H	H	H	H	H	OCF3
408		H	H	NO2	H	H	H

【0 2 9 5】



【表 2 2 2】













表4のつづき34

407		H	H	H	H	NO2	H
408		H	H	H	H	H	NO2
409		H	H	CN	H	H	H
410		H	H	H	H	CN	H
411		H	H	H	H	H	CN
412		H	H	Br	H	H	H
413		H	H	H	Br	H	H
414		H	H	H	H	Br	H
415		H	H	H	H	H	Br
416		H	H	COOH	H	H	H
417		H	H	H	COOH	H	H
418		H	H	H	H	COOH	H

【0296】

【表 2 2 3】













表4のつづき35

419		H	H	H	H	H	COOH
420		H	H	NHCOMe	H	H	H
421		H	H	H	NHCOMe	H	H
422		H	H	H	H	NHCOMe	
423		H	H	H	H	H	NHCOMe
424		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
425		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
426		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
427		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
428		H	H	Me	Me	H	H
429		H	H	Me	H	Me	H
430		H	H	H	Me	Me	H

【0 2 9 7】

【表 2 2 4】







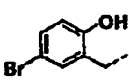
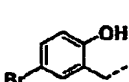
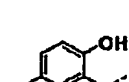
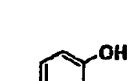
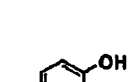

表4のつづき36

431		H	H	F	F	H	H
432		H	H	F	H	F	H
433		H	H	H	F	F	H
434		H	H	Cl	Cl	H	H
435		H	H	Cl	H	Cl	H
436		H	H	H	Cl	Cl	H
437		H	H	Me	F	H	H
438		H	H	Me	Cl	H	H
439		H	H	Me	OH	H	H
440		H	H	Me	OMe	H	H
441		H	H	F	Me	H	H
442		H	H	F	Cl	H	H

【 0 2 9 8 】

【表 2 2 5】

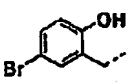
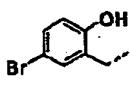
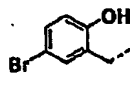
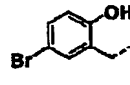
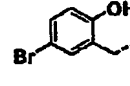
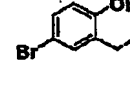
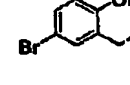
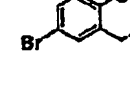
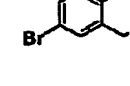
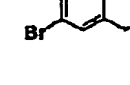
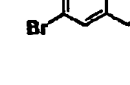

表4のつづき37

443		H	H	F	OH	H	H
444		H	H	F	OMe	H	H
445		H	H	Cl	Me	H	H
446		H	H	Cl	F	H	H
447		H	H	Cl	OH	H	H
448		H	H	Cl	OMe	H	H
449		H	H	Cl	H	H	H
450		H	H	H	OMe	H	H
451		H	H	H	COOMe	H	H
452		H	H	H	H	Cl	H
453		H	H	H	H	COOMe	H
454		H	H	H	H	H	Cl

【 0 2 9 9 】

【表 226】

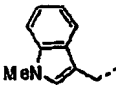
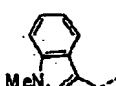
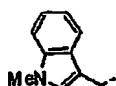
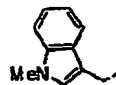
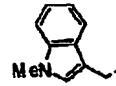
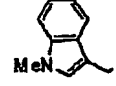
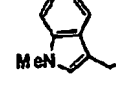
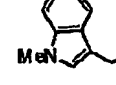
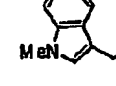
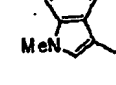
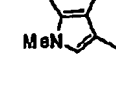

表4のつづき38

455		H	H	H	OCF3	H	H
456		H	H	COOMe	H	H	H
457		H	H	H	CF3	H	H
458		H	H	H	Me	H	H
459		H	H	H	F	H	H
460		H	H	H	OH	H	H
461		H	H	H	NO2	H	H
462		H	H	H	F	F	H
463		H	H	F	H	H	H
464		H	H	Me	H	H	H
465		H	H	H	CN	H	H
466		H	H	Cl	H	H	H

【0300】

【表 227】

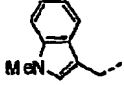
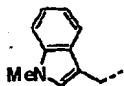
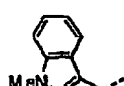
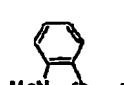


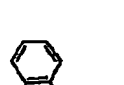
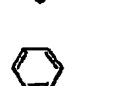

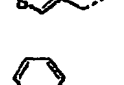
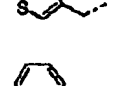
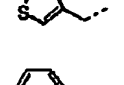
表4のつづき39

467		H	H	H	OMe	H	H
468		H	H	H	COOMe	H	H
469		H	H	H	H	Cl	H
470		H	H	H	H	COOMe	H
471		H	H	H	H	H	Cl
472		H	H	H	OCF3	H	H
473		H	H	COOMe	H	H	H
474		H	H	H	CF3	H	H
475		H	H	H	Me	H	H
476		H	H	H	F	H	H
477		H	H	H	OH	H	H
478		H	H	H	NO2	H	H

【0301】

【表 228】

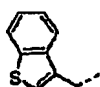
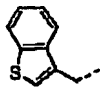
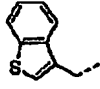

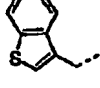
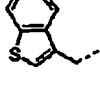
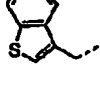
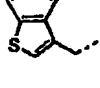
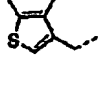
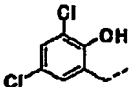
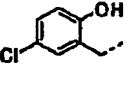

表4のつづき40

479		H	H	H	F	F	H
480		H	H	F	H	H	H
481		H	H	Me	H	H	H
482		H	H	H	CN	H	H
483		H	H	Cl	H	H	H
484		H	H	H	OMe	H	H
485		H	H	H	COOMe	H	H
486		H	H	H	H	Cl	H
487		H	H	H	H	COOMe	H
488		H	H	H	H	H	Cl
489		H	H	H	OCF3	H	H
490		H	H	COOMe	H	H	H

【0302】

【表 2 2 9】

表4のつづき41

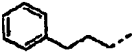
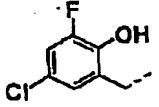
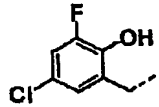
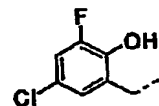
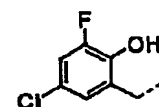
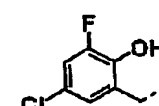
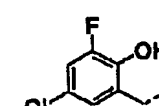
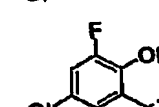
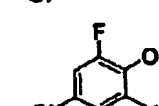
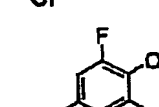
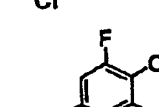
491		H	H	H	CF <sub>3</sub>	H	H
492		H	H	H	Me	H	H
493		H	H	H	F	H	H
494		H	H	H	OH	H	H
495		H	H	H	NO <sub>2</sub>	H	H
496		H	H	H	F	F	H
497		H	H	F	H	H	H
498		H	H	Me	H	H	H
499		H	H	H	CN	H	H
500		H	Me	H	H	H	H
501		H	Me	H	H	H	H
502		H	Me	H	H	H	H

【 0 3 0 3 】



【表 230】

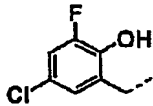
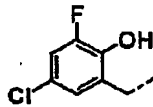
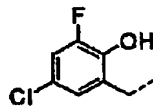
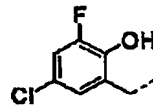
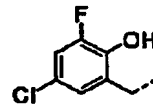
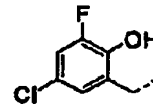
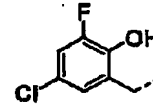
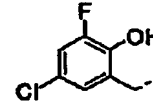
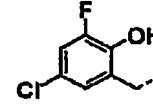
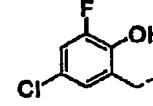
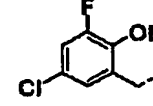
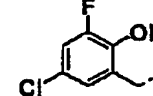
表4のつづき42

503		H	Me	H	H	H	H
504		H	H	H	H	H	H
505		H	H	F	H	H	H
506		H	H	Cl	H	H	H
507		H	H	Me	H	H	H
508		H	H	Et	H	H	H
509		H	H	OMe	H	H	H
510		H	H	OEt	H	H	H
511		H	H	OCF3	H	H	H
512		H	H	OCF3	H	H	H
513		H	H	NO2	H	H	H

【0304】

【表 231】

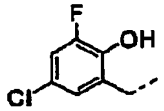
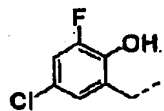
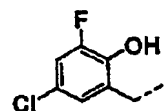
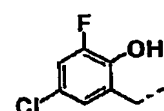
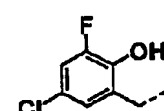
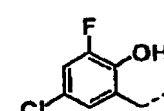
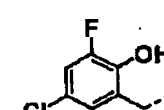
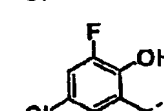
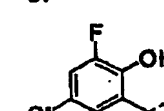
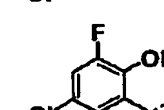
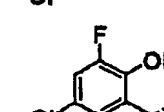
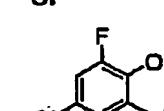
表4のつづき43

514		H	H	NH <sub>2</sub>	H	H	H
515		H	H	OH	H	H	H
516		H	H	CN	H	H	H
517		H	H	COMe	H	H	H
518		H	H	COOMe	H	H	H
519		H	H	H	F	H	H
520		H	H	H	Cl	H	H
521		H	H	H	Me	H	H
522		H	H	H	Et	H	H
523		H	H	H	OMe	H	H
524		H	H	H	OEt	H	H
525		H	H	H	CF <sub>3</sub>	H	H

【0305】

【表 232】

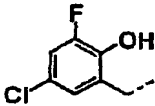
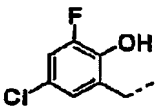
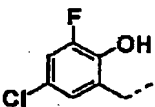
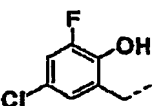
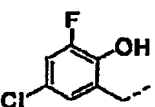
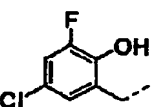
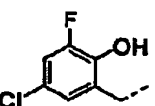
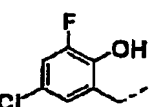
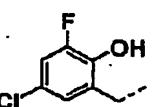
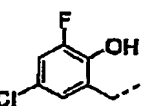
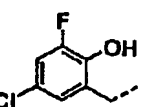
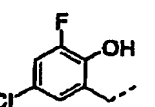
表4のつづき44

526		H	H	H	OCF3	H	H
527		H	H	H	NO2	H	H
528		H	H	H	NH2	H	H
529		H	H	H	OH	H	H
530		H	H	H	CN	H	H
531		H	H	H	COMe	H	H
532		H	H	H	COOMe	H	H
533		H	H	F	F	H	H
534		H	H	F	Cl	H	H
535		H	H	F	Me	H	H
536		H	H	F	Et	H	H
537		H	H	F	OMe	H	H

【0306】

【表 2 3 3】

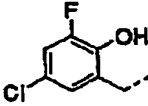
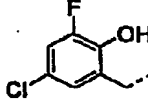
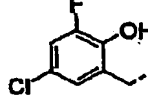
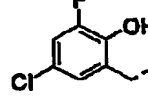
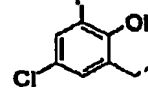
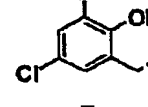
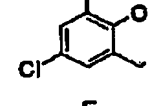
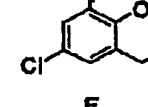
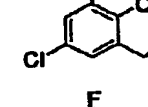
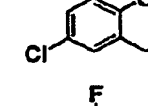
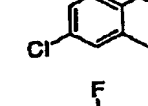
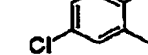
表4のつづき45

538		H	H	F	OE <sub>t</sub>	H	H
539		H	H	F	OF <sub>3</sub>	H	H
540		H	H	F	OCF <sub>3</sub>	H	H
541		H	H	Cl	F	H	H
542		H	H	Cl	Cl	H	H
543		H	H	Cl	Me	H	H
544		H	H	Cl	Et	H	H
545		H	H	Cl	OMe	H	H
546		H	H	Cl	OE <sub>t</sub>	H	H
547		H	H	Cl	CF <sub>3</sub>	H	H
548		H	H	Cl	OCF <sub>3</sub>	H	H
549		H	H	Me	F	H	H

【 0 3 0 7 】

【表 234】

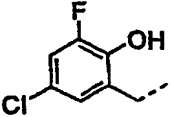
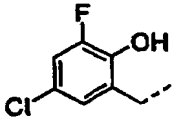
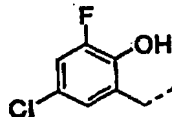
表4のつづき46

550		H	H	Me	Cl	H	H
551		H	H	Me	Me	H	H
552		H	H	Me	Et	H	H
553		H	H	Me	OMe	H	H
554		H	H	Me	OEt	H	H
555		H	H	Me	CF3	H	H
556		H	H	Me	OCF3	H	H
557		H	H	OMe	F	H	H
558		H	H	OMe	Cl	H	H
559		H	H	OMe	Me	H	H
560		H	H	OMe	Et	H	H
561		H	H	OMe	OMe	H	H

【0308】

【表 235】

表4のつづき47

562		H	H	OMe	OEt	H	H
563		H	H	OMe	CF3	H	H
564		H	H	OMe	OCF3	H	H

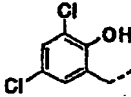
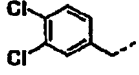
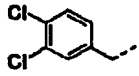



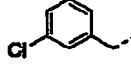
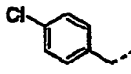

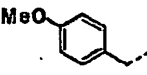
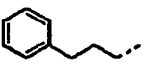
【0309】

表5は、 $X = -CO-$ 、 $q = 0$ 、 $r = 0$ 、かつ  $Y = -S-$  で表される化合物の好適な具体例である。

【表 2 3 6】

X = -CO-, q = 0, r = 0, Y = -S-

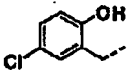
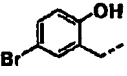
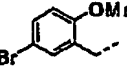
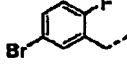
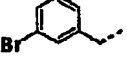
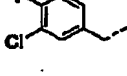
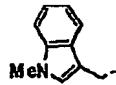
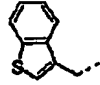
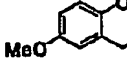
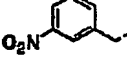
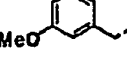
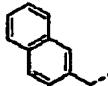
表 5

compnd NO.5-	R1-(CH <sub>2</sub> ) <sub>p</sub> -	R2	R3	R8	R7
1		H	H	H	H
2		H	H	H	H
3		H	H	H	H
4		H	H	H	H
5		H	H	H	H
6		H	H	H	H
7		H	H	H	H
8		H	H	H	H
9		H	H	H	H
10		H	H	H	H
11		H	H	H	H

【0 3 1 0】

【表 237】

表5のつづき1


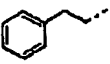
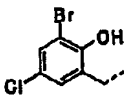
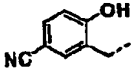
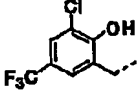
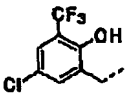

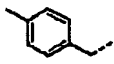
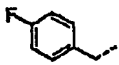
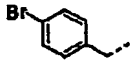
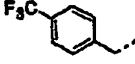
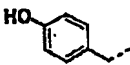
12		H	H	H	H
13		H	H	H	H
14		H	H	H	H
15		H	H	H	H
16		H	H	H	H
17		H	H	H	H
18		H	H	H	H
19		H	H	H	H
20		H	H	H	H
21		H	H	H	H
22		H	H	H	H
23		H	H	H	H

【0311】



【表 238】

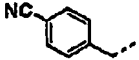
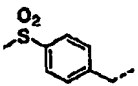
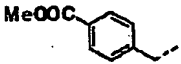
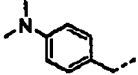
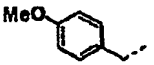
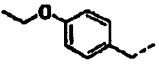
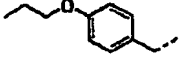
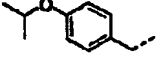
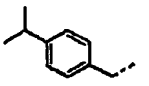
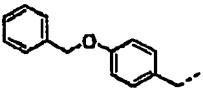
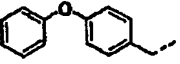
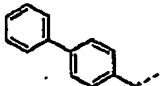
表5のつづき2

24		H	H	H	H
25		H	H	H	H
26		H	H	H	H
27		H	H	H	H
28		H	H	H	H
29		H	H	H	H
30		H	H	H	H
31		H	H	H	H
32		H	H	H	H
33		H	H	H	H
34		H	H	H	H
35		H	H	H	H

【0312】

【表 239】

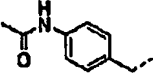
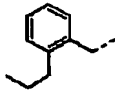
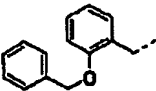



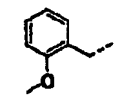
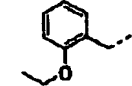
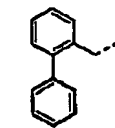
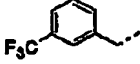
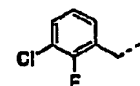
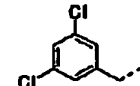
表5のつづき3

36		H	H	H	H
37		H	H	H	H
38		H	H	H	H
39		H	H	H	H
40		H	H	H	H
41		H	H	H	H
42		H	H	H	H
43		H	H	H	H
44		H	H	H	H
45		H	H	H	H
46		H	H	H	H
47		H	H	H	H

【0313】

【表 2 4 0】

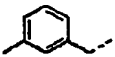
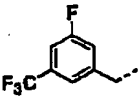
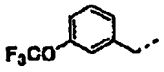
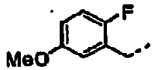
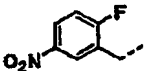
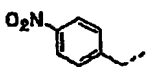
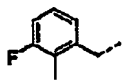
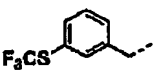
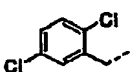
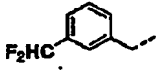

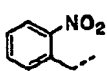
表5のつづき4

48		H	H	H	H
49		H	H	H	H
50		H	H	H	H
51		H	H	H	H
52		H	H	H	H
53		H	H	H	H
54		H	H	H	H
55		H	H	H	H
56		H	H	H	H
57		H	H	H	H
58		H	H	H	H
59		H	H	H	H

【 0 3 1 4 】

【表 241】

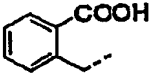
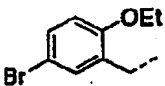
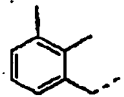
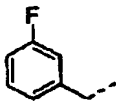
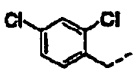
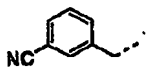
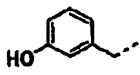
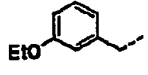
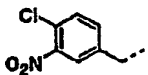
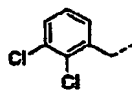
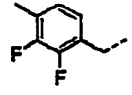
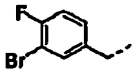
表5のつぎ5

60		H	H	H	H
61		H	H	H	H
62		H	H	H	H
63		H	H	H	H
64		H	H	H	H
65		H	H	H	H
66		H	H	H	H
67		H	H	H	H
68		H	H	H	H
69		H	H	H	H
70		H	H	H	H
71		H	H	H	H

【0315】

【表 2 4 2】

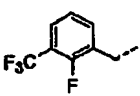
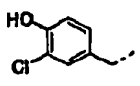
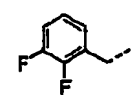
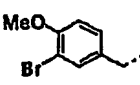
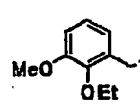
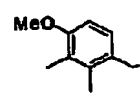
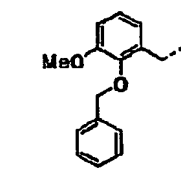
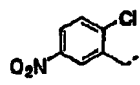
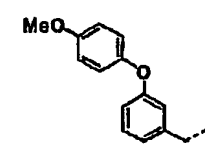
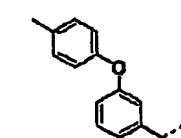
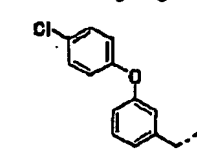
表5のつづき6

72		H	H	H	H
73		H	H	H	H
74		H	H	H	H
75		H	H	H	H
76		H	H	H	H
77		H	H	H	H
78		H	H	H	H
79		H	H	H	H
80		H	H	H	H
81		H	H	H	H
82		H	H	H	H
83		H	H	H	H

【0316】

【表 243】

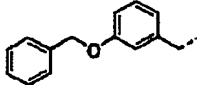
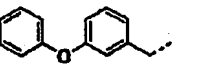
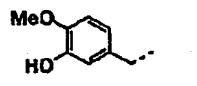
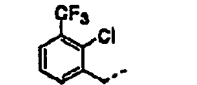
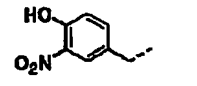
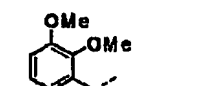
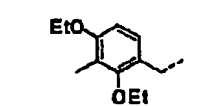
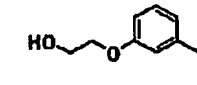
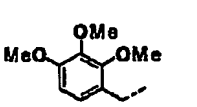
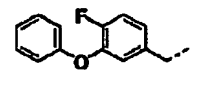
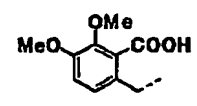
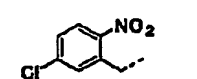
表5のつづき7

84		H	H	H	H
85		H	H	H	H
86		H	H	H	H
87		H	H	H	H
88		H	H	H	H
89		H	H	H	H
90		H	H	H	H
91		H	H	H	H
92		H	H	H	H
93		H	H	H	H
94		H	H	H	H

【0317】

【表 244】

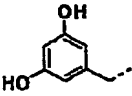
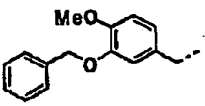
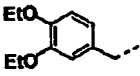
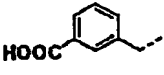
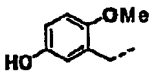
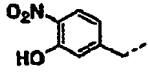
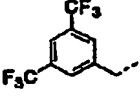
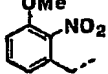
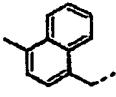
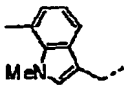
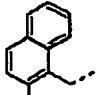
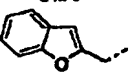
表5のつづき8

95		H	H	H	H
96		H	H	H	H
97		H	H	H	H
98		H	H	H	H
99		H	H	H	H
100		H	H	H	H
101		H	H	H	H
102		H	H	H	H
103		H	H	H	H
104		H	H	H	H
105		H	H	H	H
106		H	H	H	H

【0318】

【表 245】

表5のつづき9

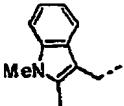
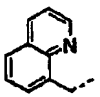
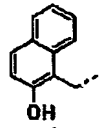
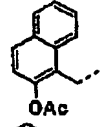
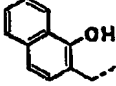
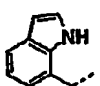
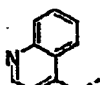
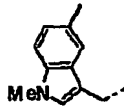
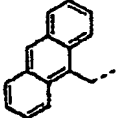
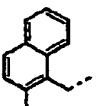
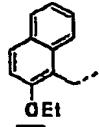
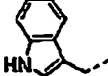
107		H	H	H	H
108		H	H	H	H
109		H	H	H	H
110		H	H	H	H
111		H	H	H	H
112		H	H	H	H
113		H	H	H	H
114		H	H	H	H
115		H	H	H	H
116		H	H	H	H
117		H	H	H	H
118		H	H	H	H

【0319】



【表 246】

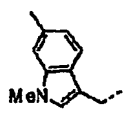
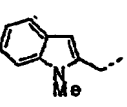
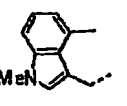
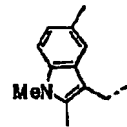
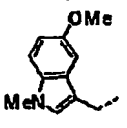
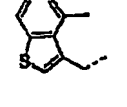
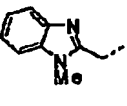
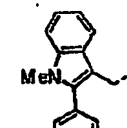
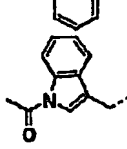
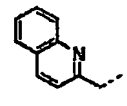
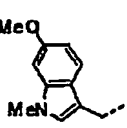
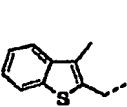
表5のつづき10

119		H	H	H	H
120		H	H	H	H
121		H	H	H	H
122		H	H	H	H
123		H	H	H	H
124		H	H	H	H
125		H	H	H	H
126		H	H	H	H
127		H	H	H	H
128		H	H	H	H
129		H	H	H	H
130		H	H	H	H

【0320】

【表 247】

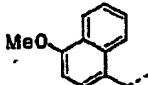
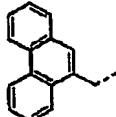
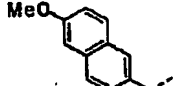
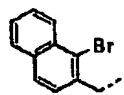
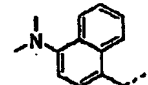
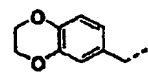
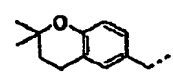
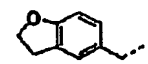
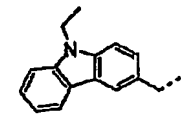
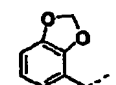
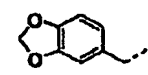
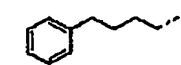
表5のつづき11

131		H	H	H	H
132		H	H	H	H
133		H	H	H	H
134		H	H	H	H
135		H	H	H	H
136		H	H	H	H
137		H	H	H	H
138		H	H	H	H
139		H	H	H	H
140		H	H	H	H
141		H	H	H	H
142		H	H	H	H

【0321】

【表 248】

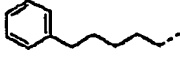

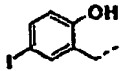
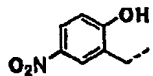
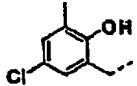

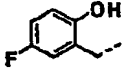
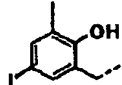
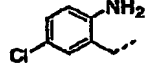

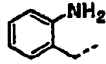
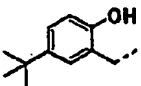
表5のつづき12

143		H	H	H	H
144		H	H	H	H
145		H	H	H	H
146		H	H	H	H
147		H	H	H	H
148		H	H	H	H
149		H	H	H	H
150		H	H	H	H
151		H	H	H	H
152		H	H	H	H
153		H	H	H	H
154		H	H	H	H

【0322】

【表 249】

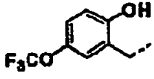










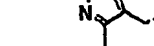
表5のつづき13

155		H	H	H	H
156		H	H	H	H
157		H	H	H	H
158		H	H	H	H
159		H	H	H	H
160		H	H	H	H
161		H	H	H	H
162		H	H	H	H
163		H	H	H	H
164		H	H	H	H
165		H	H	H	H
166		H	H	H	H

【0323】

【表 250】

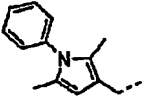
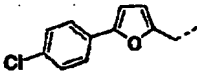




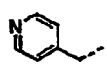
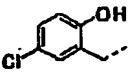
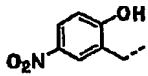
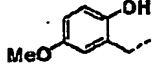
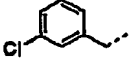
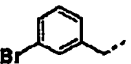
表5のつづき14

167		H	H	H	H
168		H	H	H	H
169		H	H	H	H
170		H	H	H	H
171		H	H	H	H
172		H	H	H	H
173		H	H	H	H
174		H	H	H	H
175		H	H	H	H
176		H	H	H	H
177		H	H	H	H
178		H	H	H	H

【0324】

【表 251】

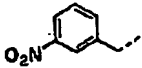
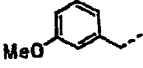
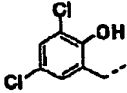
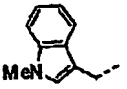
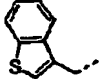


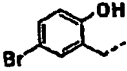
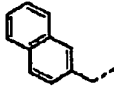
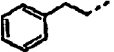
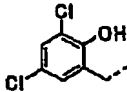
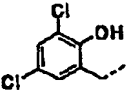
表5のつづき15

179		H	H	H	H
180		H	H	H	H
181		H	H	H	H
182		H	H	H	H
183		H	H	H	H
184		H	H	H	H
185		H	H	H	H
186		H	H	H	H
187		H	H	H	H
188		H	H	H	H
189		H	H	H	H
190		H	H	H	H

【0325】

【表 252】

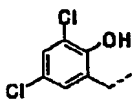
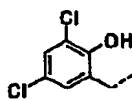
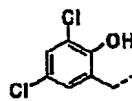
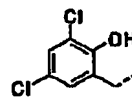
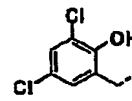
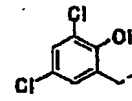
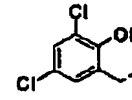
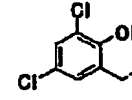
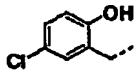
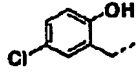
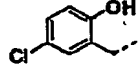
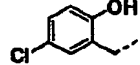
表5のつづき16

191		H	H	H	H
192		H	H	H	H
193		H	H	H	H
194		H	H	H	H
195		H	H	H	H
196		H	H	H	H
197		H	H	H	H
198		H	H	H	H
199		H	H	H	H
200		H	H	H	H
201		H	H	Cl	H
202		H	H	COOMe	H

【0326】

【表 253】

表5のつづき17

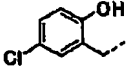
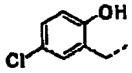
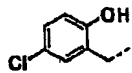
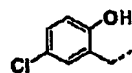
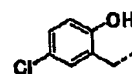
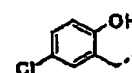
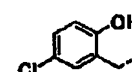





203		H	H	OMe	H
204		H	H	OCF3	H
205		H	H	CF3	H
206		H	H	Me	H
207		H	H	F	H
208		H	H	NO2	H
209		H	H	CN	H
210		H	H	OH	H
211		H	H	H	H
212		H	H	Cl	H
213		H	H	COOMe	H
214		H	H	OMe	H

【0327】



【表 2 5 4】







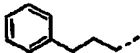
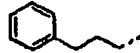
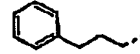
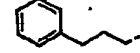
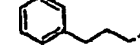
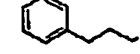
表5のつづき18

215		H	H	OCF <sub>3</sub>	H
216		H	H	CF <sub>3</sub>	H
217		H	H	Me	H
218		H	H	F	H
219		H	H	NO <sub>2</sub>	H
220		H	H	CN	H
221		H	H	OH	H
222		H	H	H	H
223		H	H	Cl	H
224		H	H	COOMe	H
225		H	H	OMe	H
226		H	H	OCF <sub>3</sub>	H

【 0 3 2 8 】

【表 255】

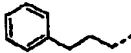
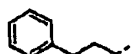
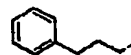
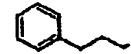
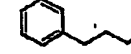
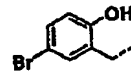
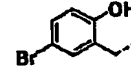
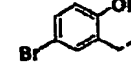
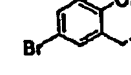
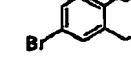
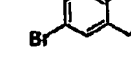
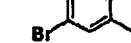
表5のつづき19

227		H	H	CF <sub>3</sub>	H
228		H	H	Me	H
229		H	H	F	H
230		H	H	NO <sub>2</sub>	H
231		H	H	CN	H
232		H	H	OH	H
233		H	H	H	H
234		H	H	Cl	H
235		H	H	COOMe	H
236		H	H	OMe	H
237		H	H	OCF <sub>3</sub>	H
238		H	H	CF <sub>3</sub>	H

【0329】

【表 2 5 6】

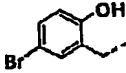
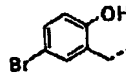
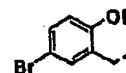
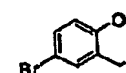
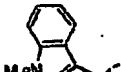

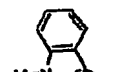

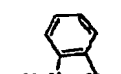


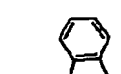
表5のつづき20

239		H	H	Me	H
240		H	H	F	H
241		H	H	NO <sub>2</sub>	H
242		H	H	CN	H
243		H	H	OH	H
244		H	H	H	H
245		H	H	Cl	H
246		H	H	COOMe	H
247		H	H	OMe	H
248		H	H	OCF <sub>3</sub>	H
249		H	H	CF <sub>3</sub>	H
250		H	H	Me	H

【0 3 3 0】

【表 257】

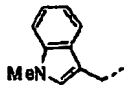
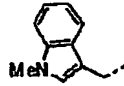
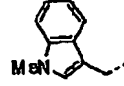
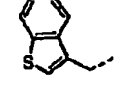
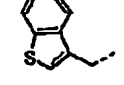
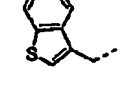
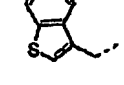
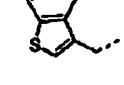
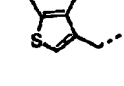
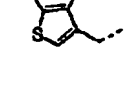
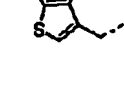
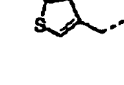
表5のつづき21

251		H	H	F	H
252		H	H	NO2	H
253		H	H	CN	H
254		H	H	OH	H
255		H	H	H	H
256		H	H	Cl	H
257		H	H	COOMe	H
258		H	H	OMe	H
259		H	H	OCF3	H
260		H	H	CF3	H
261		H	H	Me	H
262		H	H	F	H

【0331】

【表 258】

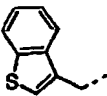
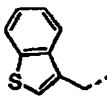
表5のつづき22

263		H	H	NO <sub>2</sub>	H
264		H	H	CN	H
265		H	H	OH	H
266		H	H	H	H
267		H	H	Cl	H
268		H	H	COOMe	H
269		H	H	OMe	H
270		H	H	OCF <sub>3</sub>	H
271		H	H	CF <sub>3</sub>	H
272		H	H	Me	H
273		H	H	F	H
274		H	H	NO <sub>2</sub>	H

【0332】

【表 2 5 9】

表5のつづき23

275		H	H	CN	H
276		H	H	OH	H

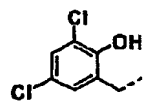
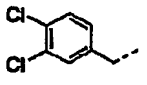
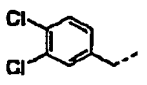
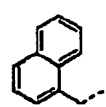
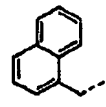
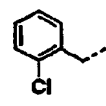
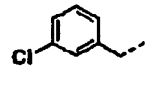
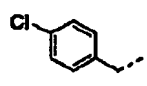
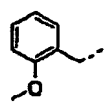
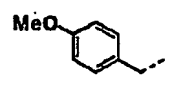
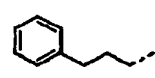
【 0 3 3 3 】

表 6 は、 $X = -CO-$ 、 $q = 0$ 、 $r = 0$ 、かつ  $Y = -N(R^8)-$  で表される化合物の好適な具体例である。

【表 2 6 0】

X = -CO-, q = 0, r = 0, Y = -N(R8)-

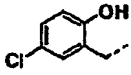
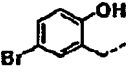
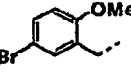
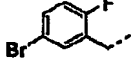
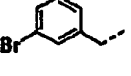
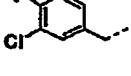
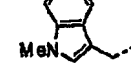
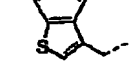
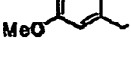
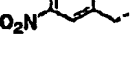
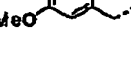

表 6

compnd NO.6-	R1-(CH2)p--	R2	R3	R6	R7	R8
1		H	H	H	H	Me
2		H	H	H	H	Me
3		H	H	H	H	Me
4		H	H	H	H	Me
5		H	H	H	H	Me
6		H	H	H	H	Me
7		H	H	H	H	Me
8		H	H	H	H	Me
9		H	H	H	H	Me
10		H	H	H	H	Me
11		H	H	H	H	Me

【 0 3 3 4】

【表 2 6 1】

表6のつづき1


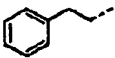
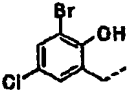
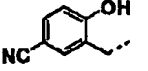
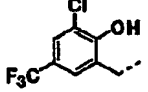
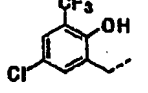
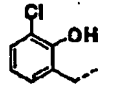
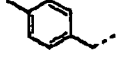
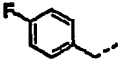
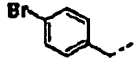
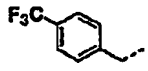
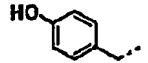
12		H	H	H	H	Me
13		H	H	H	H	Me
14		H	H	H	H	Me
15		H	H	H	H	Me
16		H	H	H	H	Me
17		H	H	H	H	Me
18		H	H	H	H	Me
19		H	H	H	H	Me
20		H	H	H	H	Me
21		H	H	H	H	Me
22		H	H	H	H	Me
23		H	H	H	H	Me

【 0 3 3 5 】



【表 2 6 2】

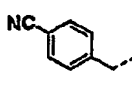
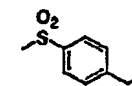
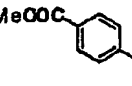
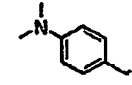
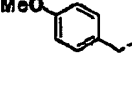
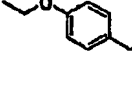
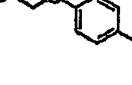
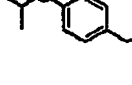
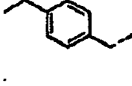
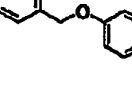
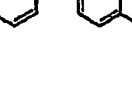
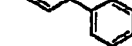
表6のつづき2

24		H	H	H	H	Me
25		H	H	H	H	Me
26		H	H	H	H	Me
27		H	H	H	H	Me
28		H	H	H	H	Me
29		H	H	H	H	Me
30		H	H	H	H	Me
31		H	H	H	H	Me
32		H	H	H	H	Me
33		H	H	H	H	Me
34		H	H	H	H	Me
35		H	H	H	H	Me

【 0 3 3 6 】

【表 263】

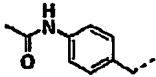
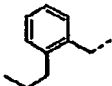
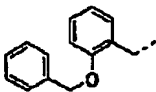




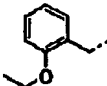
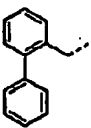
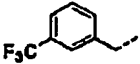
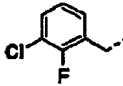
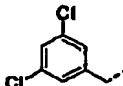
表6のつづき3

36		H	H	H	H	Me
37		H	H	H	H	Me
38		H	H	H	H	Me
39		H	H	H	H	Me
40		H	H	H	H	Me
41		H	H	H	H	Me
42		H	H	H	H	Me
43		H	H	H	H	Me
44		H	H	H	H	Me
45		H	H	H	H	Me
46		H	H	H	H	Me
47		H	H	H	H	Me

【0337】

【表 2 6 4】


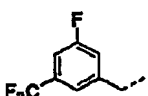
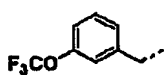
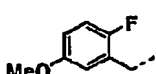
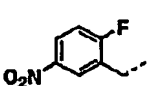
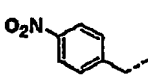
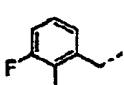
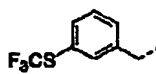
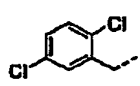
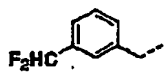
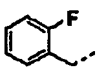
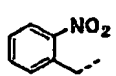
表6のつづき4

48		H	H	H	H	Me
49		H	H	H	H	Me
50		H	H	H	H	Me
51		H	H	H	H	Me
52		H	H	H	H	Me
53		H	H	H	H	Me
54		H	H	H	H	Me
55		H	H	H	H	Me
56		H	H	H	H	Me
57		H	H	H	H	Me
58		H	H	H	H	Me
59		H	H	H	H	Me

【0 3 3 8】

【表 265】

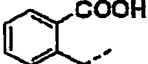
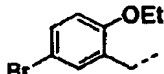
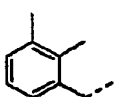
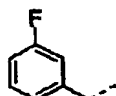
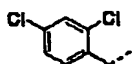
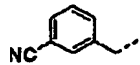
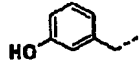
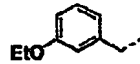
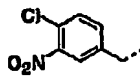
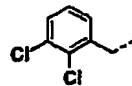
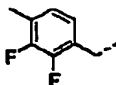
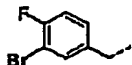
表6のつづき5

60		H	H	H	H	Me
61		H	H	H	H	Me
62		H	H	H	H	Me
63		H	H	H	H	Me
64		H	H	H	H	Me
65		H	H	H	H	Me
66		H	H	H	H	Me
67		H	H	H	H	Me
68		H	H	H	H	Me
69		H	H	H	H	Me
70		H	H	H	H	Me
71		H	H	H	H	Me

【0339】

【表 266】

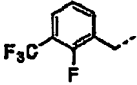
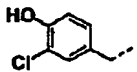
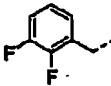
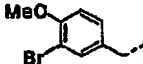
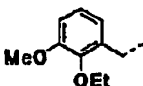
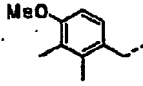
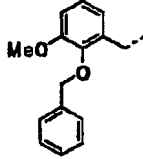
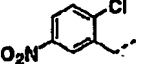
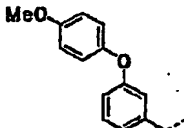
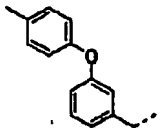
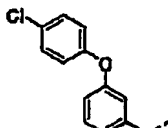
表6のつづき6

72		H	H	H	H	Me
73		H	H	H	H	Me
74		H	H	H	H	Me
75		H	H	H	H	Me
76		H	H	H	H	Me
77		H	H	H	H	Me
78		H	H	H	H	Me
79		H	H	H	H	Me
80		H	H	H	H	Me
81		H	H	H	H	Me
82		H	H	H	H	Me
83		H	H	H	H	Me

【0340】

【表 267】

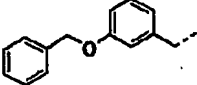
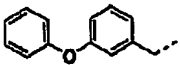
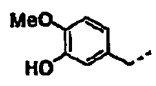
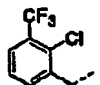
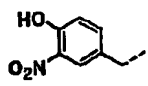
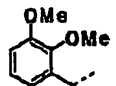
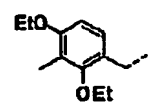
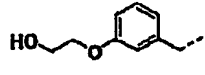
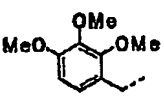
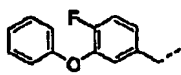
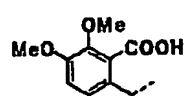
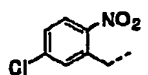
表6のつづき7

84		H	H	H	H	Me
85		H	H	H	H	Me
86		H	H	H	H	Me
87		H	H	H	H	Me
88		H	H	H	H	Me
89		H	H	H	H	Me
90		H	H	H	H	Me
91		H	H	H	H	Me
92		H	H	H	H	Me
93		H	H	H	H	Me
94		H	H	H	H	Me

【0341】

【表 268】

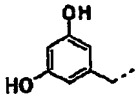
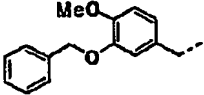
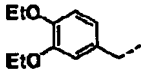
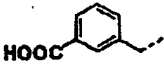
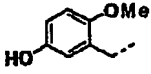
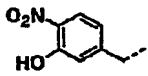
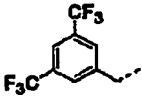
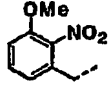
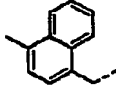
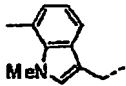
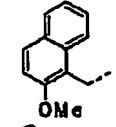
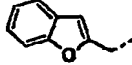
表6のつづき8

95		H	H	H	H	Me
96		H	H	H	H	Me
97		H	H	H	H	Me
98		H	H	H	H	Me
99		H	H	H	H	Me
100		H	H	H	H	Me
101		H	H	H	H	Me
102		H	H	H	H	Me
103		H	H	H	H	Me
104		H	H	H	H	Me
105		H	H	H	H	Me
106		H	H	H	H	Me

【0342】

【表 269】

表6のつづき9

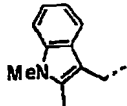
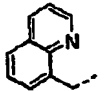
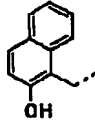
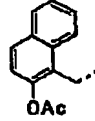
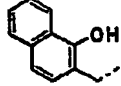


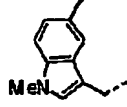
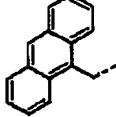
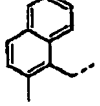
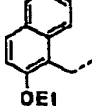
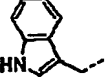
107		H	H	H	H	Me
108		H	H	H	H	Me
109		H	H	H	H	Me
110		H	H	H	H	Me
111		H	H	H	H	Me
112		H	H	H	H	Me
113		H	H	H	H	Me
114		H	H	H	H	Me
115		H	H	H	H	Me
116		H	H	H	H	Me
117		H	H	H	H	Me
118		H	H	H	H	Me

【0343】



【表 270】

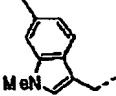
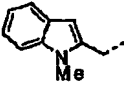
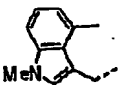
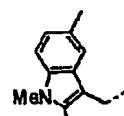
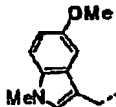
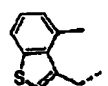
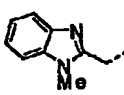
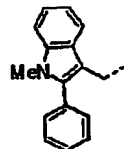
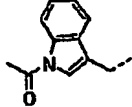
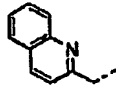
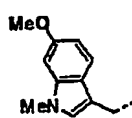
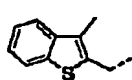
表6のつづき10

119		H	H	H	H	Me
120		H	H	H	H	Me
121		H	H	H	H	Me
122		H	H	H	H	Me
123		H	H	H	H	Me
124		H	H	H	H	Me
125		H	H	H	H	Me
126		H	H	H	H	Me
127		H	H	H	H	Me
128		H	H	H	H	Me
129		H	H	H	H	Me
130		H	H	H	H	Me

【0344】

【表 271】

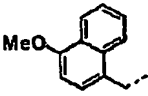
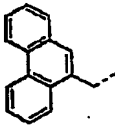
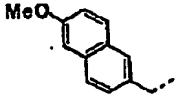
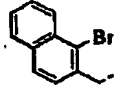
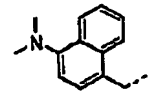
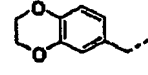
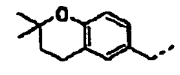
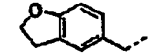
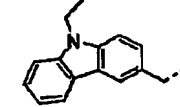
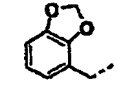
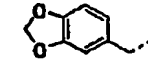
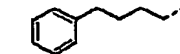
表6のつづき11

131		H	H	H	H	Me
132		H	H	H	H	Me
133		H	H	H	H	Me
134		H	H	H	H	Me
135		H	H	H	H	Me
136		H	H	H	H	Me
137		H	H	H	H	Me
138		H	H	H	H	Me
139		H	H	H	H	Me
140		H	H	H	H	Me
141		H	H	H	H	Me
142		H	H	H	H	Me

【0345】

【表 2 7 2】

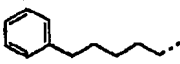

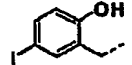
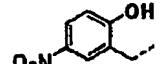
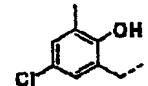
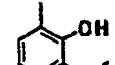
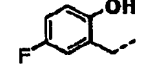
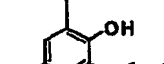
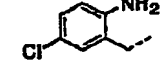
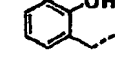
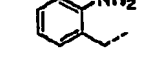
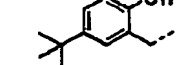
表6のつづき12

143		H	H	H	H	Me
144		H	H	H	H	Me
145		H	H	H	H	Me
146		H	H	H	H	Me
147		H	H	H	H	Me
148		H	H	H	H	Me
149		H	H	H	H	Me
150		H	H	H	H	Me
151		H	H	H	H	Me
152		H	H	H	H	Me
153		H	H	H	H	Me
154		H	H	H	H	Me

【 0 3 4 6 】

【表 273】

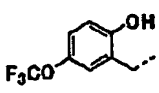










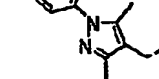
表6のつづき13

155		H	H	H	H	Me
156		H	H	H	H	Me
157		H	H	H	H	Me
158		H	H	H	H	Me
159		H	H	H	H	Me
160		H	H	H	H	Me
161		H	H	H	H	Me
162		H	H	H	H	Me
163		H	H	H	H	Me
164		H	H	H	H	Me
165		H	H	H	H	Me
166		H	H	H	H	Me

【0347】

【表 2 7 4】

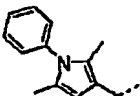
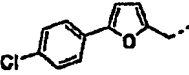


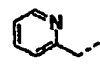
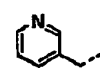
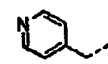
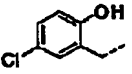
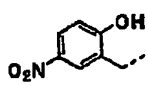
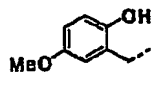
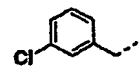
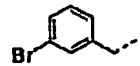
表6のつづき14

167		H	H	H	H	Me
168		H	H	H	H	Me
169		H	H	H	H	Me
170		H	H	H	H	Me
171		H	H	H	H	Me
172		H	H	H	H	Me
173		H	H	H	H	Me
174		H	H	H	H	Me
175		H	H	H	H	Me
176		H	H	H	H	Me
177		H	H	H	H	Me
178		H	H	H	H	Me

【 0 3 4 8 】

【表 2 7 5】

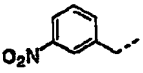
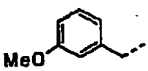
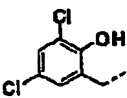
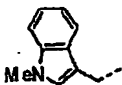
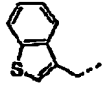

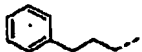
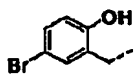
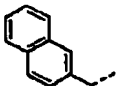
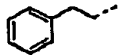
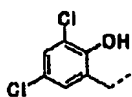
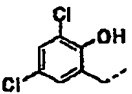
表6のつづき15

179		H	H	H	H	Me
180		H	H	H	H	Me
181		H	H	H	H	Me
182		H	H	H	H	Me
183		H	H	H	H	Me
184		H	H	H	H	Me
185		H	H	H	H	Me
186		H	H	H	H	Me
187		H	H	H	H	Me
188		H	H	H	H	Me
189		H	H	H	H	Me
190		H	H	H	H	Me

【0 3 4 9】

【表 276】

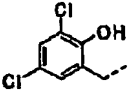
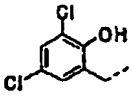
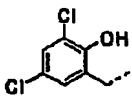
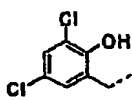
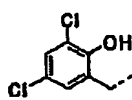
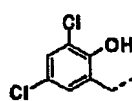
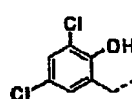
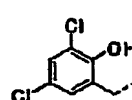
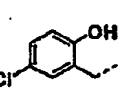
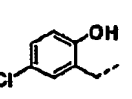
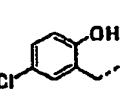
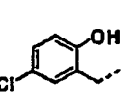
表6のつづき16

191		H	H	H	H	Me
192		H	H	H	H	Me
193		H	H	H	H	Me
194		H	H	H	H	Me
195		H	H	H	H	Me
196		H	H	H	H	Me
197		H	H	H	H	Me
198		H	H	H	H	Me
199		H	H	H	H	Me
200		H	H	H	H	Me
201		H	H	Cl	H	Me
202		H	H	COOMe	H	Me

【0350】

【表 277】

表6のつづき17

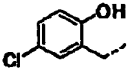
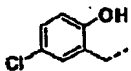
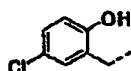
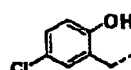
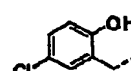
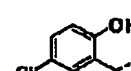
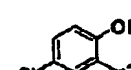
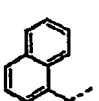
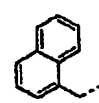
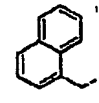
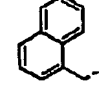

203		H	H	OMe	H	Me
204		H	H	OCF3	H	Me
205		H	H	CF3	H	Me
206		H	H	Me	H	Me
207		H	H	F	H	Me
208		H	H	NO2	H	Me
209		H	H	CN	H	Me
210		H	H	OH	H	Me
211		H	H	H	H	Me
212		H	H	Cl	H	Me
213		H	H	COOMe	H	Me
214		H	H	OMe	H	Me

【0351】



【表 278】







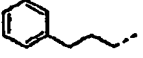
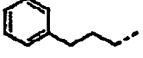
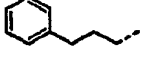
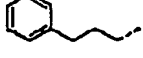
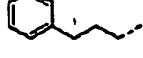
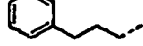
表6のつづき18

215		H	H	OCF <sub>3</sub>	H	Me
216		H	H	CF <sub>3</sub>	H	Me
217		H	H	Me	H	Me
218		H	H	F	H	Me
219		H	H	NO <sub>2</sub>	H	Me
220		H	H	CN	H	Me
221		H	H	OH	H	Me
222		H	H	H	H	Me
223		H	H	Cl	H	Me
224		H	H	COOMe	H	Me
225		H	H	OMe	H	Me
226		H	H	OCF <sub>3</sub>	H	Me

【0352】

【表 2 7 9】

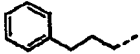
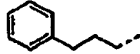
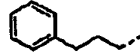
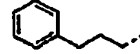
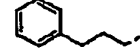
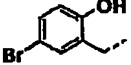
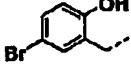
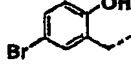
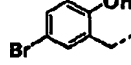
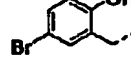
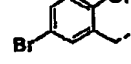
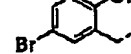
表6のつづき19

227		H	H	CF <sub>3</sub>	H	Me
228		H	H	Me	H	Me
229		H	H	F	H	Me
230		H	H	NO <sub>2</sub>	H	Me
231		H	H	CN	H	Me
232		H	H	OH	H	Me
233		H	H	H	H	Me
234		H	H	Cl	H	Me
235		H	H	COOMe	H	Me
236		H	H	OMe	H	Me
237		H	H	OCF <sub>3</sub>	H	Me
238		H	H	CF <sub>3</sub>	H	Me

【 0 3 5 3 】

【表 2 8 0】

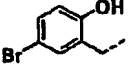
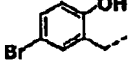
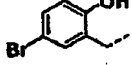
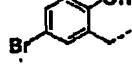
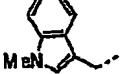
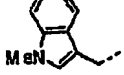
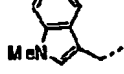
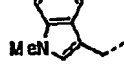
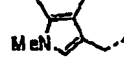
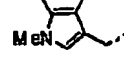
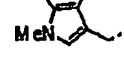

表6のつづき20

239		H	H	Me	H	Me
240		H	H	F	H	Me
241		H	H	NO <sub>2</sub>	H	Me
242		H	H	CN	H	Me
243		H	H	OH	H	Me
244		H	H	H	H	Me
245		H	H	Cl	H	Me
246		H	H	COOMe	H	Me
247		H	H	OMe	H	Me
248		H	H	OCF <sub>3</sub>	H	Me
249		H	H	CF <sub>3</sub>	H	Me
250		H	H	Me	H	Me

【 0 3 5 4 】

【表 281】

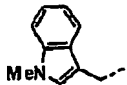
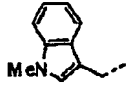
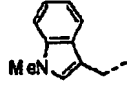
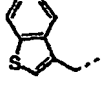
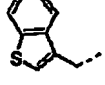
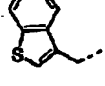
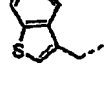
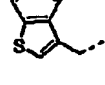
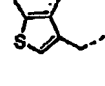
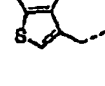
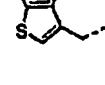
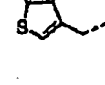
表6のつづき21

251		H	H	F	H	Me
252		H	H	NO2	H	Me
253		H	H	CN	H	Me
254		H	H	OH	H	Me
255		H	H	H	H	Me
256		H	H	Cl	H	Me
257		H	H	COOMe	H	Me
258		H	H	OMe	H	Me
259		H	H	OCF3	H	Me
260		H	H	CF3	H	Me
281		H	H	Me	H	Me
262		H	H	F	H	Me

【0355】

【表 2 8 2】

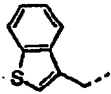
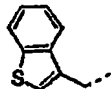
表6のつづき22

263		H	H	NO <sub>2</sub>	H	Me
264		H	H	CN	H	Me
265		H	H	OH	H	Me
266		H	H	H	H	Me
267		H	H	Cl	H	Me
268		H	H	COOMe	H	Me
269		H	H	OMe	H	Me
270		H	H	OCF <sub>3</sub>	H	Me
271		H	H	CF <sub>3</sub>	H	Me
272		H	H	Me	H	Me
273		H	H	F	H	Me
274		H	H	NO <sub>2</sub>	H	Me

【 0 3 5 6 】

【表 2 8 3】

表6のつづき23

275		H	H	CN	H	Me
276		H	H	OH	H	Me

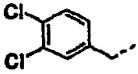
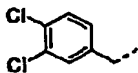



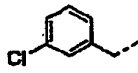
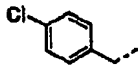

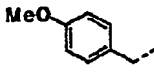
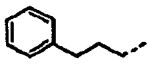
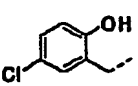
【0 3 5 7】

表 7 は、 $X = -CO-$ 、 $q = 1$ 、 $r = 0$ 、かつ  $Y = -(R^4)C=C(R^5)-$  で表される化合物の好適な具体例である。

【表 284】

$$X = -CO-, q = 1, r = 0, Y = -(R4)C=C(R5)-$$

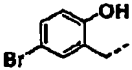
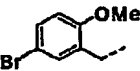
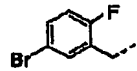
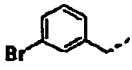
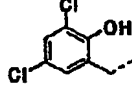
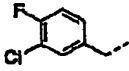
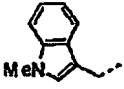
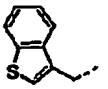
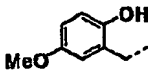
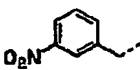
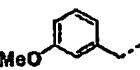
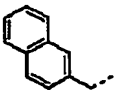
表 7

compnd NO.7-	R1-(CH2) <sub>p</sub> -	R2	R3	R4	R5	R6	R7
1		H	H	H	H	H	H
2		H	H	H	Cl	H	H
3		H	H	H	H	H	H
4		H	H	H	Cl	H	H
5		H	H	H	H	H	H
6		H	H	H	H	H	H
7		H	H	H	H	H	H
8		H	H	H	H	H	H
9		H	H	H	H	H	H
10		H	H	H	H	H	H
11		H	H	H	H	H	H

【0358】

【表 285】

表7のつづき1


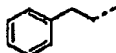
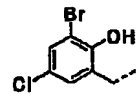
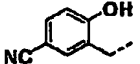
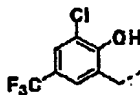
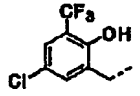
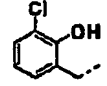
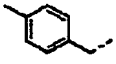
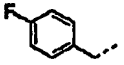
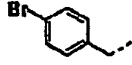
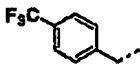
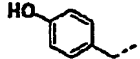
12		H	H	H	H	H	H
13		H	H	H	H	H	H
14		H	H	H	H	H	H
15		H	H	H	H	H	H
16		H	H	H	H	H	H
17		H	H	H	H	H	H
18		H	H	H	H	H	H
19		H	H	H	H	H	H
20		H	H	H	H	H	H
21		H	H	H	H	H	H
22		H	H	H	H	H	H
23		H	H	H	H	H	H

【0359】



【表 2 8 6】

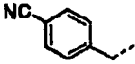
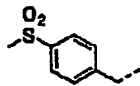
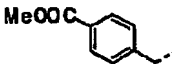
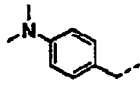
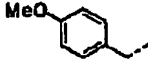
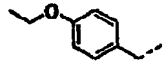
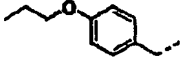
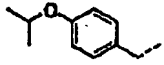
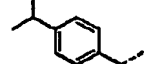
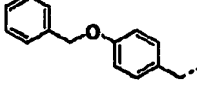
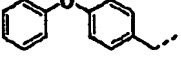
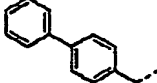
表7のつづき2

24		H	H	H	H	H	H
25		H	H	H	H	H	H
26		H	H	H	H	H	H
27		H	H	H	H	H	H
28		H	H	H	H	H	H
29		H	H	H	H	H	H
30		H	H	H	H	H	H
31		H	H	H	H	H	H
32		H	H	H	H	H	H
33		H	H	H	H	H	H
34		H	H	H	H	H	H
35		H	H	H	H	H	H

【0 3 6 0】

【表 287】

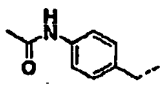
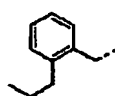
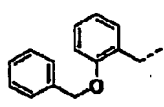




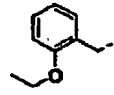
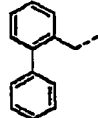
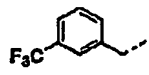
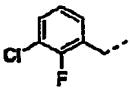
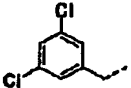
表7のつづき3

36		H	H	H	H	H	H
37		H	H	H	H	H	H
38		H	H	H	H	H	H
39		H	H	H	H	H	H
40		H	H	H	H	H	H
41		H	H	H	H	H	H
42		H	H	H	H	H	H
43		H	H	H	H	H	H
44		H	H	H	H	H	H
45		H	H	H	H	H	H
46		H	H	H	H	H	H
47		H	H	H	H	H	H

【0361】

【表 2 8 8】

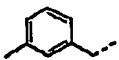
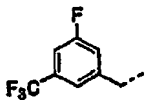
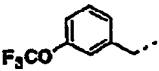
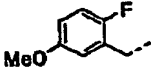
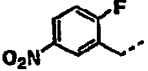
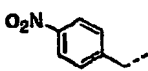
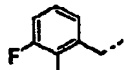
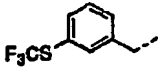
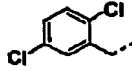
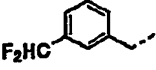

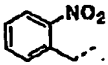
表7のつづき4

48		H	H	H	H	H	H
49		H	H	H	H	H	H
50		H	H	H	H	H	H
51		H	H	H	H	H	H
52		H	H	H	H	H	H
53		H	H	H	H	H	H
54		H	H	H	H	H	H
55		H	H	H	H	H	H
56		H	H	H	H	H	H
57		H	H	H	H	H	H
58		H	H	H	H	H	H
59		H	H	H	H	H	H

【 0 3 6 2 】

【表 289】

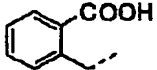
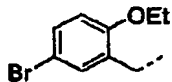
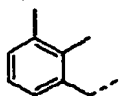
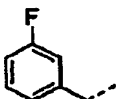
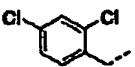
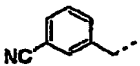
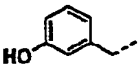
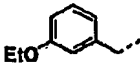
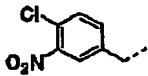
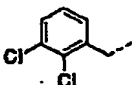
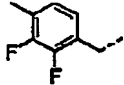
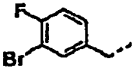
表7のつづき5

60		H	H	H	H	H	H
61		H	H	H	H	H	H
62		H	H	H	H	H	H
63		H	H	H	H	H	H
64		H	H	H	H	H	H
65		H	H	H	H	H	H
66		H	H	H	H	H	H
67		H	H	H	H	H	H
68		H	H	H	H	H	H
69		H	H	H	H	H	H
70		H	H	H	H	H	H
71		H	H	H	H	H	H

【0363】

【表 2 9 0】

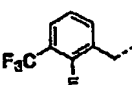
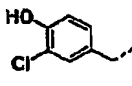
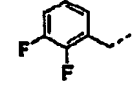
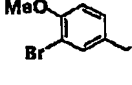
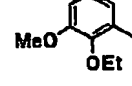
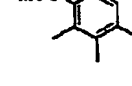
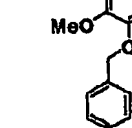
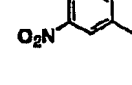
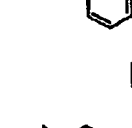

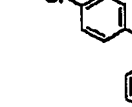
表7のつづき6

72		H	H	H	H	H	H
73		H	H	H	H	H	H
74		H	H	H	H	H	H
75		H	H	H	H	H	H
76		H	H	H	H	H	H
77		H	H	H	H	H	H
78		H	H	H	H	H	H
79		H	H	H	H	H	H
80		H	H	H	H	H	H
81		H	H	H	H	H	H
82		H	H	H	H	H	H
83		H	H	H	H	H	H

【 0 3 6 4 】

【表 291】

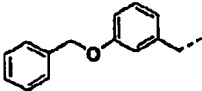
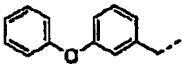
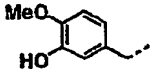
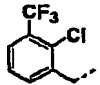
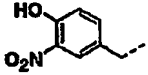
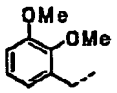
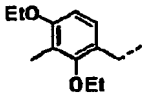
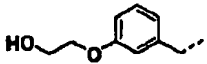
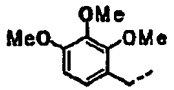
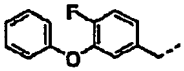
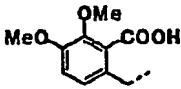
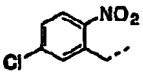
表7のつづき7

84		H	H	H	H	H	H
85		H	H	H	H	H	H
86		H	H	H	H	H	H
87		H	H	H	H	H	H
88		H	H	H	H	H	H
89		H	H	H	H	H	H
90		H	H	H	H	H	H
91		H	H	H	H	H	H
92		H	H	H	H	H	H
93		H	H	H	H	H	H
94		H	H	H	H	H	H

【0365】

【表 292】

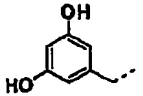
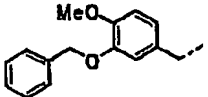
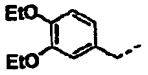
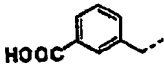
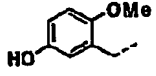
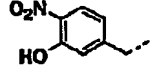
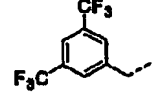
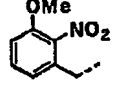
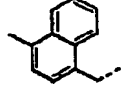
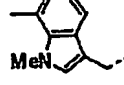
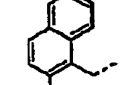
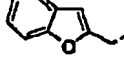
表7のつづき8

95		H	H	H	H	H	H
96		H	H	H	H	H	H
97		H	H	H	H	H	H
98		H	H	H	H	H	H
99		H	H	H	H	H	H
100		H	H	H	H	H	H
101		H	H	H	H	H	H
102		H	H	H	H	H	H
103		H	H	H	H	H	H
104		H	H	H	H	H	H
105		H	H	H	H	H	H
106		H	H	H	H	H	H

【0366】

【表 293】

表7のつづき9

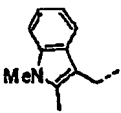
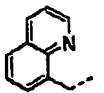
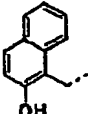
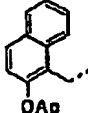
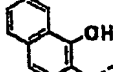


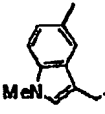
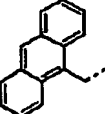
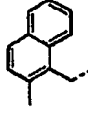
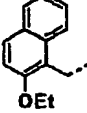
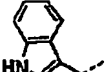
107		H	H	H	H	H	H
108		H	H	H	H	H	H
109		H	H	H	H	H	H
110		H	H	H	H	H	H
111		H	H	H	H	H	H
112		H	H	H	H	H	H
113		H	H	H	H	H	H
114		H	H	H	H	H	H
115		H	H	H	H	H	H
116		H	H	H	H	H	H
117		H	H	H	H	H	H
118		H	H	H	H	H	H

【0367】



【表 294】

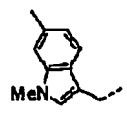
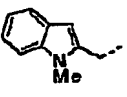
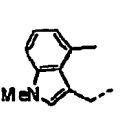
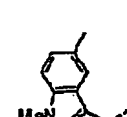
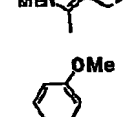
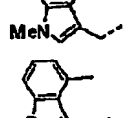
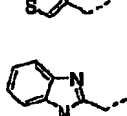
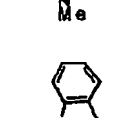
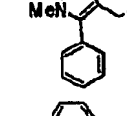
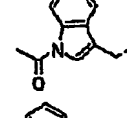
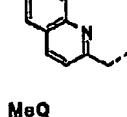
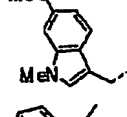
表7のつづき10

119		H	H	H	H	H	H
120		H	H	H	H	H	H
121		H	H	H	H	H	H
122		H	H	H	H	H	H
123		H	H	H	H	H	H
124		H	H	H	H	H	H
125		H	H	H	H	H	H
126		H	H	H	H	H	H
127		H	H	H	H	H	H
128		H	H	H	H	H	H
129		H	H	H	H	H	H
130		H	H	H	H	H	H

【0368】

【表 295】

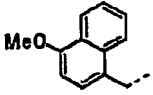
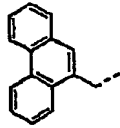
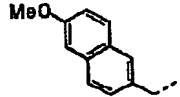
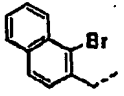
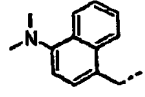
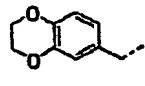
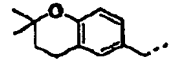
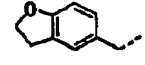
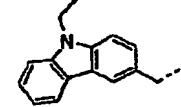
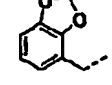
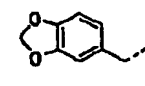
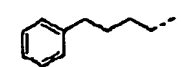
表7のつづき11

131		H	H	H	H	H	H
132		H	H	H	H	H	H
133		H	H	H	H	H	H
134		H	H	H	H	H	H
135		H	H	H	H	H	H
136		H	H	H	H	H	H
137		H	H	H	H	H	H
138		H	H	H	H	H	H
139		H	H	H	H	H	H
140		H	H	H	H	H	H
141		H	H	H	H	H	H
142		H	H	H	H	H	H

【0369】

【表 296】

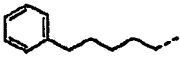

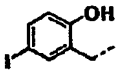
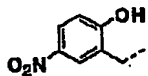
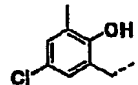
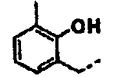
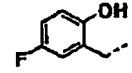
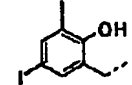
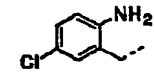
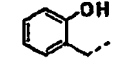
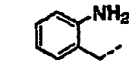
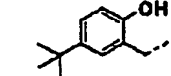
表7のつづき12

143		H	H	H	H	H	H
144		H	H	H	H	H	H
145		H	H	H	H	H	H
146		H	H	H	H	H	H
147		H	H	H	H	H	H
148		H	H	H	H	H	H
149		H	H	H	H	H	H
150		H	H	H	H	H	H
151		H	H	H	H	H	H
152		H	H	H	H	H	H
153		H	H	H	H	H	H
154		H	H	H	H	H	H

【0370】

【表 297】

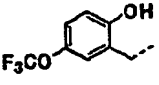










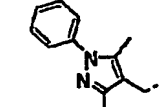
表7のつづき13

155		H	H	H	H	H	H
156		H	H	H	H	H	H
157		H	H	H	H	H	H
158		H	H	H	H	H	H
159		H	H	H	H	H	H
160		H	H	H	H	H	H
161		H	H	H	H	H	H
162		H	H	H	H	H	H
163		H	H	H	H	H	H
164		H	H	H	H	H	H
165		H	H	H	H	H	H
166		H	H	H	H	H	H

【0371】

【表 298】

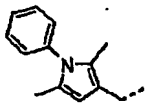
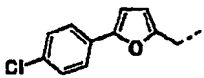





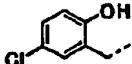
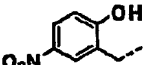
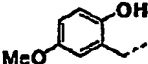
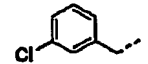
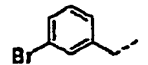
表7のつづき14

167		H	H	H	H	H	H
168		H	H	H	H	H	H
169		H	H	H	H	H	H
170		H	H	H	H	H	H
171		H	H	H	H	H	H
172		H	H	H	H	H	H
173		H	H	H	H	H	H
174		H	H	H	H	H	H
175		H	H	H	H	H	H
176		H	H	H	H	H	H
177		H	H	H	H	H	H
178		H	H	H	H	H	H

【0372】

【表 299】

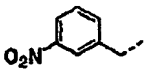
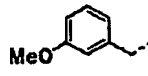
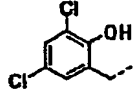
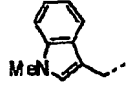
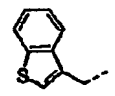

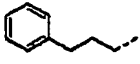
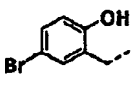
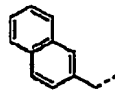
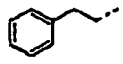
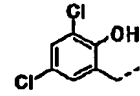
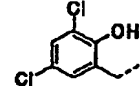
表7のつづき15

179		H	H	H	H	H	H
180		H	H	H	H	H	H
181		H	H	H	H	H	H
182		H	H	H	H	H	H
183		H	H	H	H	H	H
184		H	H	H	H	H	H
185		H	H	H	H	H	H
186		H	H	H	Cl	H	H
187		H	H	H	Cl	H	H
188		H	H	H	Cl	H	H
189		H	H	H	Cl	H	H
190		H	H	H	Cl	H	H

【0373】

【表 300】

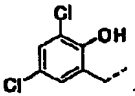
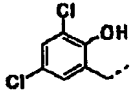
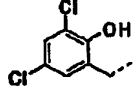
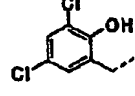
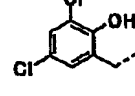
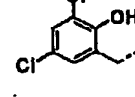
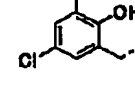
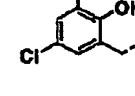
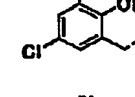
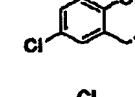
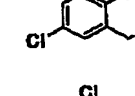
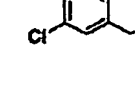
表7のつづき16

191		H	H	H	Cl	H	H
192		H	H	H	Cl	H	H
193		H	H	H	Cl	H	H
194		H	H	H	Cl	H	H
195		H	H	H	Cl	H	H
196		H	H	H	Cl	H	H
197		H	H	H	Cl	H	H
198		H	H	H	Cl	H	H
199		H	H	H	Cl	H	H
200		H	H	H	Cl	H	H
201		H	H	Cl	H	H	H
202		H	H	H	OMe	H	H

【0374】

【表 301】

表7のつづき17

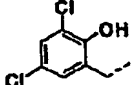
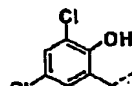
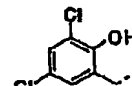
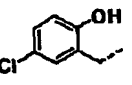
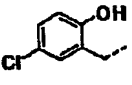
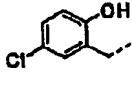
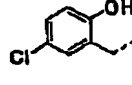
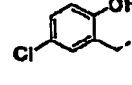
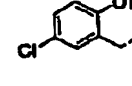
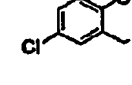
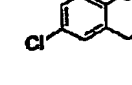
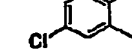
203		H	H	H	COOMe	H	H
204		H	H	H	H	Cl	H
205		H	H	H	H	COOMe	H
206		H	H	H	H	H	Cl
207		H	H	H	OCF3	H	H
208		H	H	COOMe	H	H	H
209		H	H	H	CF3	H	H
210		H	H	H	Me	H	H
211		H	H	H	F	H	H
212		H	H	H	OH	H	H
213		H	H	H	NO2	H	H
214		H	H	H	F	F	H

【0375】



【表 302】

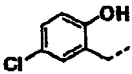
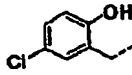
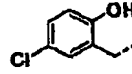
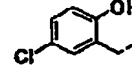
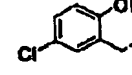
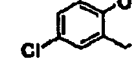
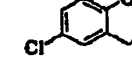
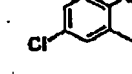




表7のつづき18

215		H	H	F	H	H	H
216		H	H	Me	H	H	H
217		H	H	H	CN	H	H
218		H	H	Cl	H	H	H
219		H	H	H	OMe	H	H
220		H	H	H	COOMe	H	H
221		H	H	H	H	Cl	H
222		H	H	H	H	COOMe	H
223		H	H	H	H	H	Cl
224		H	H	H	OCF3	H	H
225		H	H	COOMe	H	H	H
226		H	H	H	CF3	H	H

【0376】

【表 303】













表7のつづき19

227		H	H	H	Me	H	H
228		H	H	H	F	H	H
229		H	H	H	OH	H	H
230		H	H	H	NO2	H	H
231		H	H	H	F	F	H
232		H	H	F	H	H	H
233		H	H	Me	H	H	H
234		H	H	H	CN	H	H
235		H	H	Cl	H	H	H
236		H	H	H	OMe	H	H
237		H	H	H	COOMe	H	H
238		H	H	H	H	Cl	H

【0377】

【表 3 0 4】

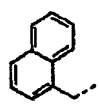
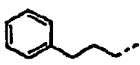
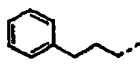
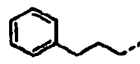
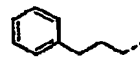
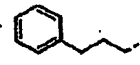
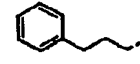
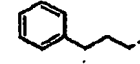
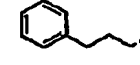
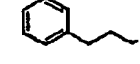
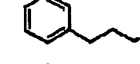
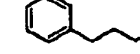
表7のつづき20

239		H	H	H	H	COOMe	H
240		H	H	H	H	H	Cl
241		H	H	H	OCF3	H	H
242		H	H	COOMe	H	H	H
243		H	H	H	CF3	H	H
244		H	H	H	Me	H	H
245		H	H	H	F	H	H
246		H	H	H	OH	H	H
247		H	H	H	NO2	H	H
248		H	H	H	F	F	H
249		H	H	F	H	H	H
250		H	H	Me	H	H	H

【 0 3 7 8 】

【表 305】

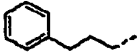
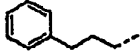
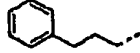
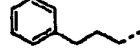
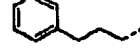
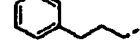
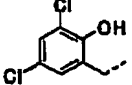
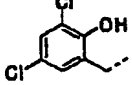
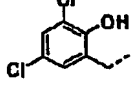
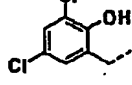
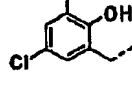
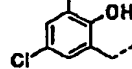
表7のつづき21

251		H	H	H	CN	H	H
252		H	H	Cl	H	H	H
253		H	H	H	OMe	H	H
254		H	H	H	COOMe	H	H
255		H	H	H	H	Cl	H
256		H	H	H	H	COOMe	H
257		H	H	H	H	H	Cl
258		H	H	H	OCF3	H	H
259		H	H	COOMe	H	H	H
260		H	H	H	CF3	H	H
261		H	H	H	Me	H	H
262		H	H	H	F	H	H

【0379】

【表 306】

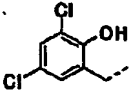
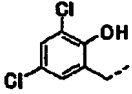
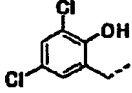
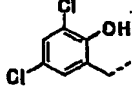
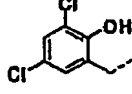
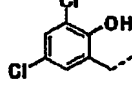
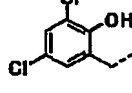
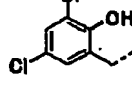
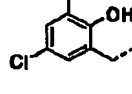
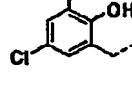
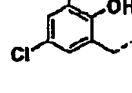
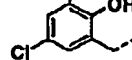
表7のつづき22

263		H	H	H	OH	H	H
264		H	H	H	NO2	H	H
265		H	H	H	F	F	H
266		H	H	F	H	H	H
267		H	H	Me	H	H	H
268		H	H	H	ON	H	H
269		H	H	H	H	H	COOMe
270		H	H	H	H	F	H
271		H	H	H	H	H	F
272		H	H	H	H	Me	H
273		H	H	H	H	H	Me
274		H	H	OMe	H	H	H

【0380】

【表 307】

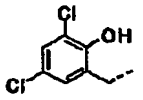
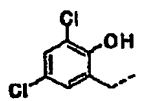
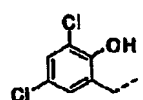
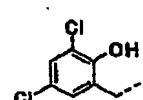
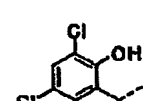
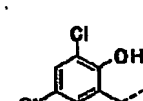
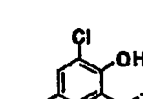
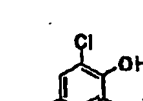
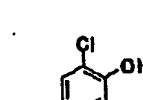
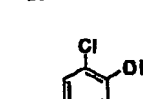
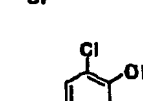
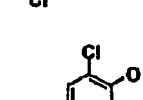
表7のつづき23

275		H	H	H	H	OMe	H
276		H	H	H	H	H	OMe
277		H	H	CF3	H	H	H
278		H	H	H	H	CF3	H
279		H	H	H	H	H	CF3
280		H	H	OH	H	H	H
281		H	H	H	H	OH	H
282		H	H	H	H	H	OH
283		H	H	OCF3	H	H	H
284		H	H	H	H	OCF3	H
285		H	H	H	H	H	OCF3
286		H	H	NO2	H	H	H

【0381】

【表 308】

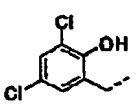
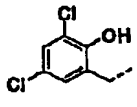
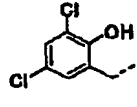
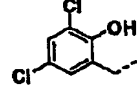
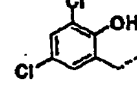
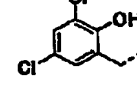
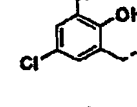
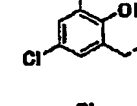
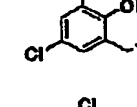
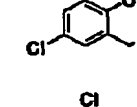
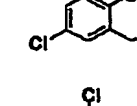
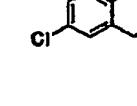
表7のつづき24

287		H	H	H	H	NO2	H
288		H	H	H	H	H	NO2
289		H	H	CN	H	H	H
290		H	H	H	H	CN	H
291		H	H	H	H	H	CN
292		H	H	Br	H	H	H
293		H	H	H	Br	H	H
294		H	H	H	H	Br	H
295		H	H	H	H	H	Br
296		H	H	COOH	H	H	H
297		H	H	H	COOH	H	H
298		H	H	H	H	COOH	H

【0382】

【表 309】

表7のつづき25

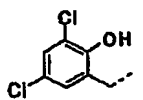
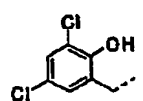
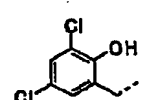
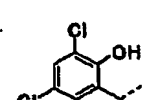
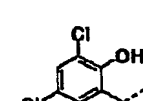
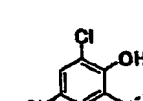
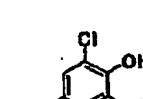
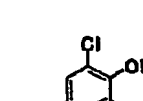
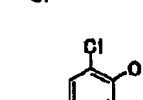
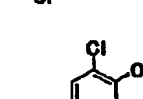
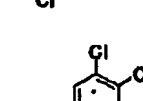
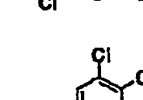
299		H	H	H	H	H	COOH
300		H	H	NHCOMe	H	H	H
301		H	H	H	NHCOMe	H	H
302		H	H	H	H	NHCOMe	
303		H	H	H	H	H	NHCOMe
304		H	H	SO2NH2	H	H	H
305		H	H	H	SO2NH2	H	H
306		H	H	H	H	SO2NH2	H
307		H	H	H	H	H	SO2NH2
308		H	H	Me	Me	H	H
309		H	H	Me	H	Me	H
310		H	H	H	Me	Me	H

【0383】



【表 310】

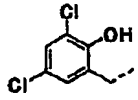
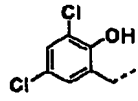
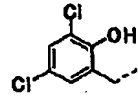
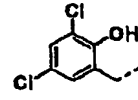
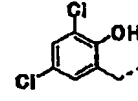
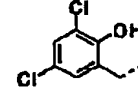
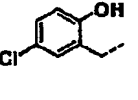
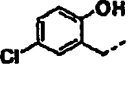
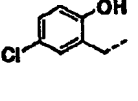
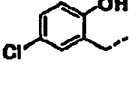
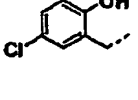
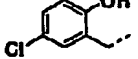
表7のつづき26

311		H	H	F	F	H	H
312		H	H	F	H	F	H
313		H	H	H	F	F	H
314		H	H	Cl	Cl	H	H
315		H	H	Cl	H	Cl	H
316		H	H	H	Cl	Cl	H
317		H	H	Me	F	H	H
318		H	H	Me	Cl	H	H
319		H	H	Me	OH	H	H
320		H	H	Me	OMe	H	H
321		H	H	F	Me	H	H
322		H	H	F	Cl	H	H

【0384】

【表 3 1 1】

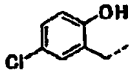
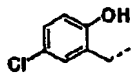
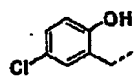
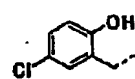
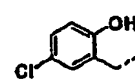
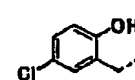
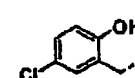
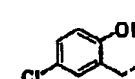
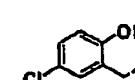
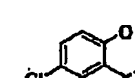
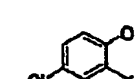
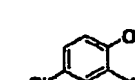
表7のつづき27

323		H	H	F	OH	H	H
324		H	H	F	OMe	H	H
325		H	H	Cl	Me	H	H
326		H	H	Cl	F	H	H
327		H	H	Cl	OH	H	H
328		H	H	Cl	OMe	H	H
329		H	H	H	H	H	OOMe
330		H	H	H	H	F	H
331		H	H	H	H	H	F
332		H	H	H	H	Me	H
333		H	H	H	H	H	Me
334		H	H	OMe	H	H	H

【0385】

【表 3 1 2】

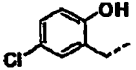
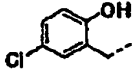
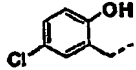
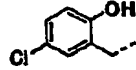
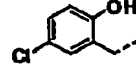
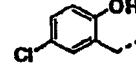
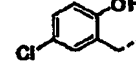
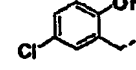
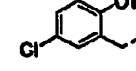
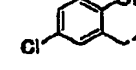
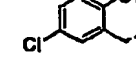
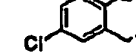
表7のつづき28

335		H	H	H	H	OMe	H
336		H	H	H	H	H	OMe
337		H	H	CF3	H	H	H
338		H	H	H	H	CF3	H
339		H	H	H	H	H	CF3
340		H	H	OH	H	H	H
341		H	H	H	H	OH	H
342		H	H	H	H	H	OH
343		H	H	OCF3	H	H	H
344		H	H	H	H	OCF3	H
345		H	H	H	H	H	OCF3
346		H	H	NO2	H	H	H

【0386】

【表 3 1 3】

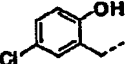
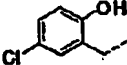
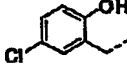
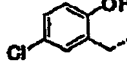
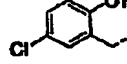
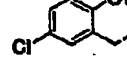
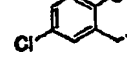
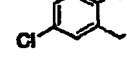
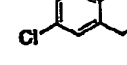
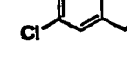
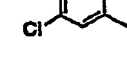

表7のつづき29

347		H	H	H	H	NO2	H
348		H	H	H	H	H	NO2
349		H	H	CN	H	H	H
350		H	H	H	H	CN	H
351		H	H	H	H	H	CN
352		H	H	Br	H	H	H
353		H	H	H	Br	H	H
354		H	H	H	H	Br	H
355		H	H	H	H	H	Br
356		H	H	COOH	H	H	H
357		H	H	H	COOH	H	H
358		H	H	H	H	COOH	H

【0387】

【表 3 1 4】

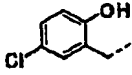
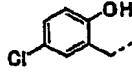
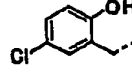
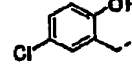
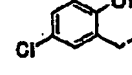
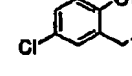
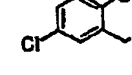
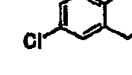
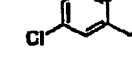
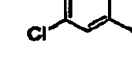
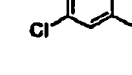
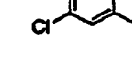
表7のつづき30

359		H	H	H	H	H	COOH
360		H	H	NHCOMe	H	H	H
361		H	H	H	NHCOMe	H	H
362		H	H	H	H	NHCOMe	
363		H	H	H	H	H	NHCOMe
364		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
365		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
366		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
367		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
368		H	H	Me	Me	H	H
369		H	H	Me	H	Me	H
370		H	H	H	Me	Me	H

【0388】

【表 3 1 5】

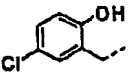
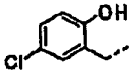
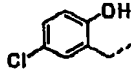
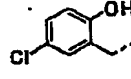
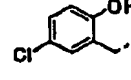
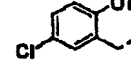
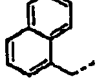
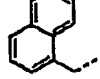
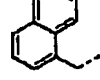
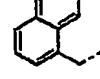
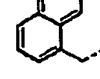
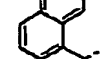
表7のつづき31

371		H	H	F	F	H	H
372		H	H	F	H	F	H
373		H	H	H	F	F	H
374		H	H	Cl	Cl	H	H
375		H	H	Cl	H	Cl	H
376		H	H	H	Cl	Cl	H
377		H	H	Me	F	H	H
378		H	H	Me	Cl	H	H
379		H	H	Me	OH	H	H
380		H	H	Me	OMe	H	H
381		H	H	F	Me	H	H
382		H	H	F	Cl	H	H

【0389】

【表 316】













表7のつづき32

383		H	H	F	OH	H	H
384		H	H	F	OMe	H	H
385		H	H	Cl	Me	H	H
386		H	H	Cl	F	H	H
387		H	H	Cl	OH	H	H
388		H	H	Cl	OMe	H	H
389		H	H	H	H	H	COOMe
390		H	H	H	H	F	H
391		H	H	H	H	H	F
392		H	H	H	H	Me	H
393		H	H	H	H	H	Me
394		H	H	OMe	H	H	H

【0390】

【表 3 1 7】

表7のつづき33

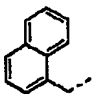
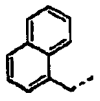
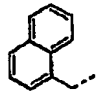
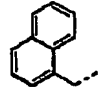
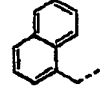
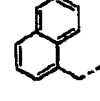
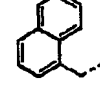
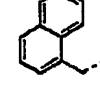
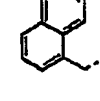
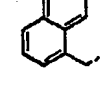
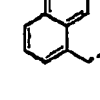
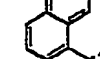
395		H	H	H	H	OMe	H
396		H	H	H	H	H	OMe
397		H	H	CF3	H	H	H
398		H	H	H	H	CF3	H
399		H	H	H	H	H	CF3
400		H	H	OH	H	H	H
401		H	H	H	H	OH	H
402		H	H	H	H	H	OH
403		H	H	OCF3	H	H	H
404		H	H	H	H	OCF3	H
405		H	H	H	H	H	OCF3
406		H	H	NO2	H	H	H

【 0 3 9 1 】



【表 3 1 8】













表7のつづき34

407		H	H	H	H	NO2	H
408		H	H	H	H	H	NO2
409		H	H	CN	H	H	H
410		H	H	H	H	CN	H
411		H	H	H	H	H	CN
412		H	H	Br	H	H	H
413		H	H	H	Br	H	H
414		H	H	H	H	Br	H
415		H	H	H	H	H	Br
416		H	H	COOH	H	H	H
417		H	H	H	COOH	H	H
418		H	H	H	H	COOH	H

【0392】

【表 3 1 9】













表 7 の つ づ き 35

419		H	H	H	H	H	COOH
420		H	H	NHCOMe	H	H	H
421		H	H	H	NHCOMe	H	H
422		H	H	H	H	NHCOMe	
423		H	H	H	H	H	NHCOMe
424		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
425		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
426		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
427		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
428		H	H	Me	Me	H	H
429		H	H	Me	H	Me	H
430		H	H	H	Me	Me	H

【 0 3 9 3 】

【表 320】













表7のつづき36

431		H	H	F	F	H	H
432		H	H	F	H	F	H
433		H	H	H	F	F	H
434		H	H	Cl	Cl	H	H
435		H	H	Cl	H	Cl	H
436		H	H	H	Cl	Cl	H
437		H	H	Me	F	H	H
438		H	H	Me	Cl	H	H
439		H	H	Me	OH	H	H
440		H	H	Me	OMe	H	H
441		H	H	F	Me	H	H
442		H	H	F	Cl	H	H

【0394】

【表 3 2 1】

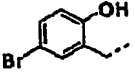
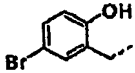
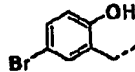
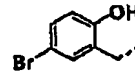
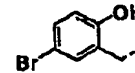
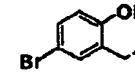
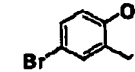
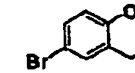
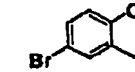
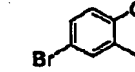
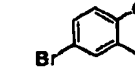
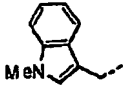
表7のつづき37

443		H	H	F	OH	H	H
444		H	H	F	OMe	H	H
445		H	H	Cl	Me	H	H
446		H	H	Cl	F	H	H
447		H	H	Cl	OH	H	H
448		H	H	Cl	OMe	H	H
449		H	H	Cl	H	H	H
450		H	H	H	OMe	H	H
451		H	H	H	COOMe	H	H
452		H	H	H	H	Cl	H
453		H	H	H	H	COOMe	H
454		H	H	H	H	H	Cl

【0 3 9 5】

【表 3 2 2】

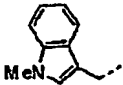
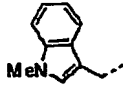
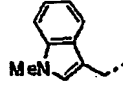
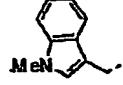
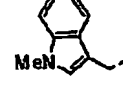
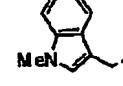
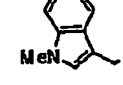
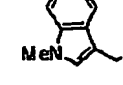
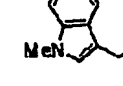
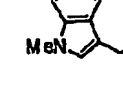
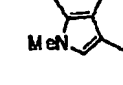

表7のつづき38

455		H	H	H	OCF <sub>3</sub>	H	H
456		H	H	COOMe	H	H	H
457		H	H	H	CF <sub>3</sub>	H	H
458		H	H	H	Me	H	H
459		H	H	H	F	H	H
460		H	H	H	OH	H	H
461		H	H	H	NO <sub>2</sub>	H	H
462		H	H	H	F	F	H
463		H	H	F	H	H	H
464		H	H	Me	H	H	H
465		H	H	H	CN	H	H
468		H	H	Cl	H	H	H

【0396】

【表 3 2 3】

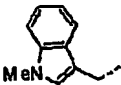
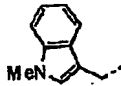
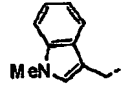
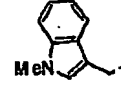
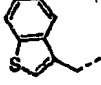
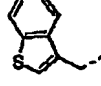
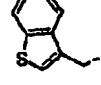
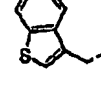
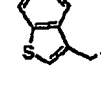
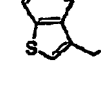
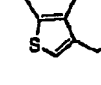

表7のつづき39

467		H	H	H	OMe	H	H
468		H	H	H	COOMe	H	H
469		H	H	H	H	Cl	H
470		H	H	H	H	COOMe	H
471		H	H	H	H	H	Cl
472		H	H	H	OCF3	H	H
473		H	H	COOMe	H	H	H
474		H	H	H	CF3	H	H
475		H	H	H	Me	H	H
476		H	H	H	F	H	H
477		H	H	H	OH	H	H
478		H	H	H	NO2	H	H

【0397】

【表 3 2 4】

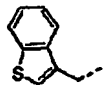
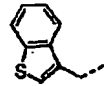
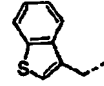
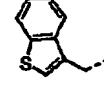
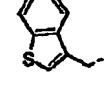
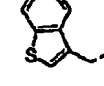
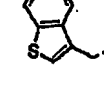
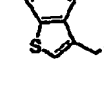
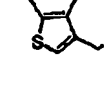
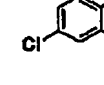
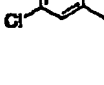
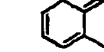
表7のつづき40

479		H	H	H	F	F	H
480		H	H	F	H	H	H
481		H	H	Me	H	H	H
482		H	H	H	CN	H	H
483		H	H	Cl	H	H	H
484		H	H	H	OMe	H	H
485		H	H	H	COOMe	H	H
486		H	H	H	H	Cl	H
487		H	H	H	H	COOMe	H
488		H	H	H	H	H	Cl
489		H	H	H	OCF3	H	H
490		H	H	COOMe	H	H	H

【0398】

【表 3 2 5】

表7のつづき41

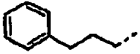
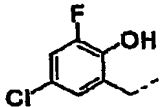
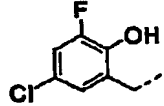
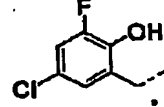
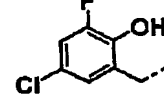
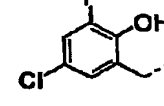
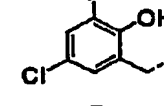
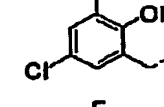
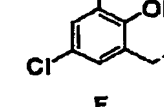
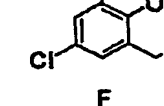
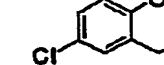
491		H	H	H	CF3	H	H
492		H	H	H	Me	H	H
493		H	H	H	F	H	H
494		H	H	H	OH	H	H
495		H	H	H	NO2	H	H
496		H	H	H	F	F	H
497		H	H	F	H	H	H
498		H	H	Me	H	H	H
499		H	H	H	CN	H	H
500		H	Me	H	H	H	H
501		H	Me	H	H	H	H
502		H	Me	H	H	H	H

【0399】



【表 3 2 6】

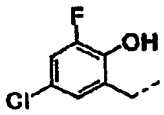
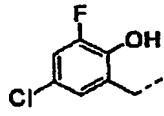
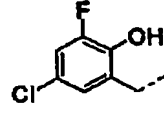
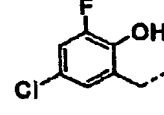
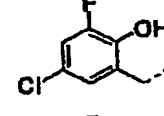
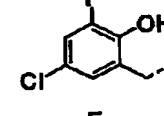
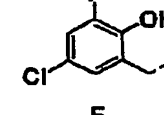
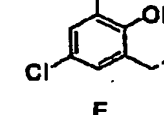
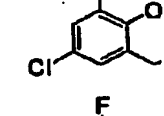
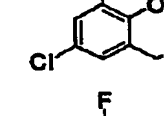
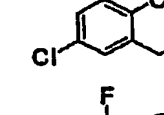
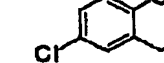
表7のつづき42

503		H	Me	H	H	H	H
504		H	H	H	H	H	H
505		H	H	F	H	H	H
508		H	H	Cl	H	H	H
507		H	H	Me	H	H	H
508		H	H	Et	H	H	H
509		H	H	OMe	H	H	H
510		H	H	OEt	H	H	H
511		H	H	OF3	H	H	H
512		H	H	OCF3	H	H	H
513		H	H	NO2	H	H	H

【0 4 0 0】

【表 3 2 7】

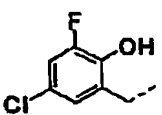
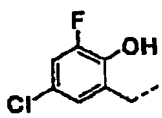
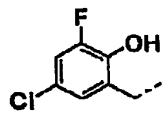
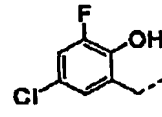
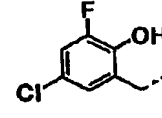
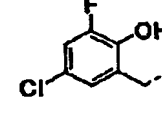
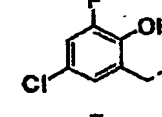
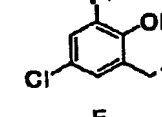
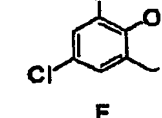
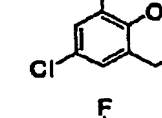
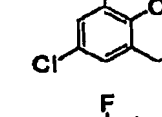
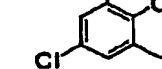
表 7 の つ づ き 4 3

514		H	H	NH <sub>2</sub>	H	H	H
515		H	H	OH	H	H	H
516		H	H	CN	H	H	H
517		H	H	COMe	H	H	H
518		H	H	COOMe	H	H	H
519		H	H	H	F	H	H
520		H	H	H	Cl	H	H
521		H	H	H	Me	H	H
522		H	H	H	Et	H	H
523		H	H	H	OMe	H	H
524		H	H	H	OEt	H	H
525		H	H	H	CF <sub>3</sub>	H	H

【 0 4 0 1 】

【表 328】

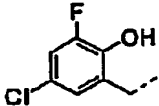
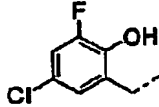
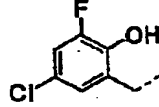
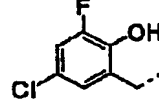
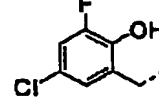
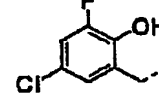
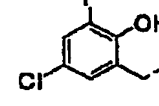
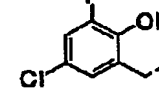
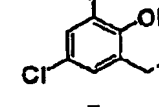
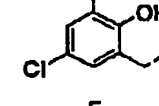
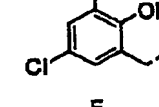
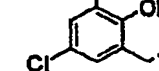
表7のつづき44

526		H	H	H	OCF3	H	H
527		H	H	H	NO2	H	H
528		H	H	H	NH2	H	H
529		H	H	H	OH	H	H
530		H	H	H	ON	H	H
531		H	H	H	COMe	H	H
532		H	H	H	OOMe	H	H
533		H	H	F	F	H	H
534		H	H	F	Cl	H	H
535		H	H	F	Me	H	H
536		H	H	F	Et	H	H
537		H	H	F	OMe	H	H

【0402】

【表 3 2 9】

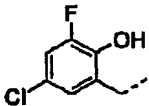
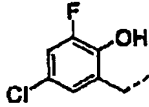
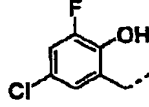
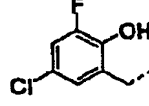
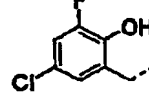
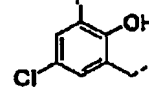
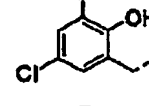
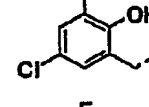
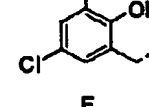
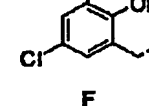
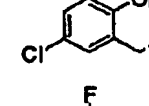
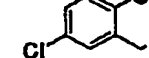
表7のつづき45

538		H	H	F	OEt	H	H
539		H	H	F	CF3	H	H
540		H	H	F	OCF3	H	H
541		H	H	Cl	F	H	H
542		H	H	Cl	Cl	H	H
543		H	H	Cl	Me	H	H
544		H	H	Cl	Et	H	H
545		H	H	Cl	OMe	H	H
546		H	H	Cl	OEt	H	H
547		H	H	Cl	CF3	H	H
548		H	H	Cl	OCF3	H	H
549		H	H	Me	F	H	H

【0403】

【表 3 3 0】

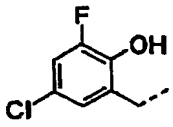
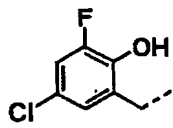
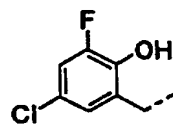
表7のつづき46

550		H	H	Me	Cl	H	H
551		H	H	Me	Me	H	H
552		H	H	Me	Et	H	H
553		H	H	Me	OMe	H	H
554		H	H	Me	OEt	H	H
555		H	H	Me	CF3	H	H
556		H	H	Me	OCF3	H	H
557		H	H	OMe	F	H	H
558		H	H	OMe	Cl	H	H
559		H	H	OMe	Me	H	H
560		H	H	OMe	Et	H	H
561		H	H	OMe	OMe	H	H

【 0 4 0 4 】

【表 3 3 1】

表7のつづき47

562		H	H	OMe	OEt	H	H
563		H	H	OMe	CF3	H	H
564		H	H	OMe	OCF3	H	H

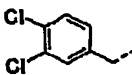
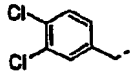



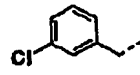
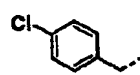
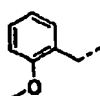
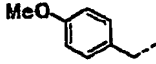
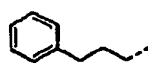
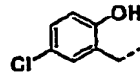
【0405】

表8は、 $X = -CS-$ 、 $q = 0$ 、 $r = 0$ 、かつ  $Y = -(R^4)C=C(R^5)-$  で表される化合物の好適な具体例である。

【表 3 3 2】

$$X = -CS-, q = 0, r = 0, Y = -(R_4)C=C(R_5)-$$

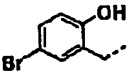
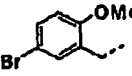
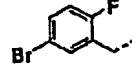
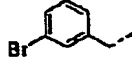
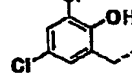
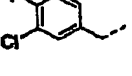
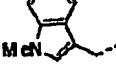
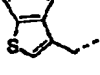
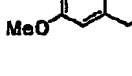
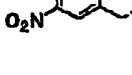
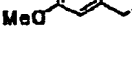
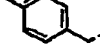
表 8

compnd NO.8-	R1-(CH <sub>2</sub> ) <sub>p</sub> -	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>
1		H	H	H	H	H	H
2		H	H	H	Cl	H	H
3		H	H	H	H	H	H
4		H	H	H	Cl	H	H
5		H	H	H	H	H	H
6		H	H	H	H	H	H
7		H	H	H	H	H	H
8		H	H	H	H	H	H
9		H	H	H	H	H	H
10		H	H	H	H	H	H
11		H	H	H	H	H	H

【0 4 0 6】

【表 3 3 3】

表8のつづき1


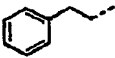
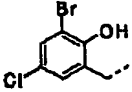
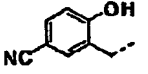
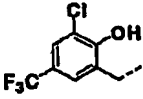
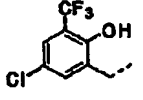
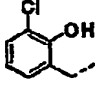
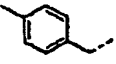
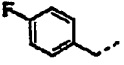
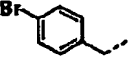
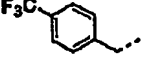
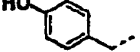
12		H	H	H	H	H	H
13		H	H	H	H	H	H
14		H	H	H	H	H	H
15		H	H	H	H	H	H
16		H	H	H	H	H	H
17		H	H	H	H	H	H
18		H	H	H	H	H	H
19		H	H	H	H	H	H
20		H	H	H	H	H	H
21		H	H	H	H	H	H
22		H	H	H	H	H	H
23		H	H	H	H	H	H

【 0 4 0 7 】



【表 3 3 4】

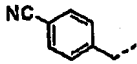
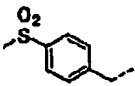
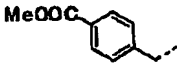
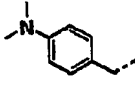
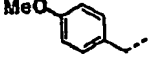
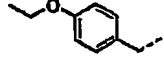
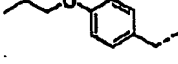
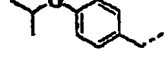
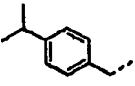
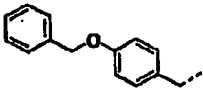
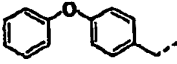
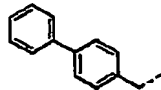
表8のつづき2

24		H	H	H	H	H	H
25		H	H	H	H	H	H
26		H	H	H	H	H	H
27		H	H	H	H	H	H
28		H	H	H	H	H	H
29		H	H	H	H	H	H
30		H	H	H	H	H	H
31		H	H	H	H	H	H
32		H	H	H	H	H	H
33		H	H	H	H	H	H
34		H	H	H	H	H	H
35		H	H	H	H	H	H

【0 4 0 8】

【表 3 3 5】

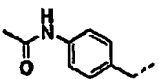
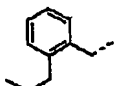
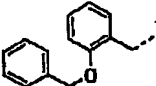

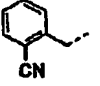
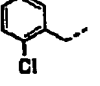

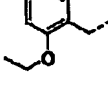
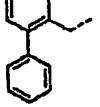
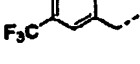
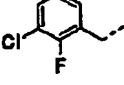
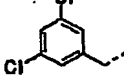
表8のつづき3

36		H	H	H	H	H	H
37		H	H	H	H	H	H
38		H	H	H	H	H	H
39		H	H	H	H	H	H
40		H	H	H	H	H	H
41		H	H	H	H	H	H
42		H	H	H	H	H	H
43		H	H	H	H	H	H
44		H	H	H	H	H	H
45		H	H	H	H	H	H
46		H	H	H	H	H	H
47		H	H	H	H	H	H

【0409】

【表 336】

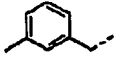
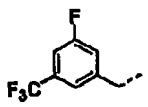
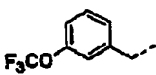
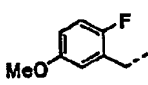
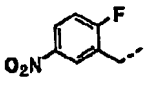
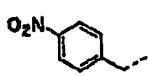
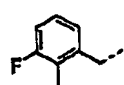
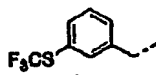
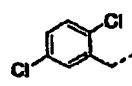
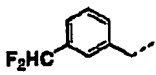
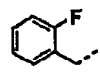
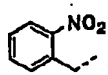
表8のつづき4

48		H	H	H	H	H	H
49		H	H	H	H	H	H
50		H	H	H	H	H	H
51		H	H	H	H	H	H
52		H	H	H	H	H	H
53		H	H	H	H	H	H
54		H	H	H	H	H	H
55		H	H	H	H	H	H
56		H	H	H	H	H	H
57		H	H	H	H	H	H
58		H	H	H	H	H	H
59		H	H	H	H	H	H

【0410】

【表 3 3 7】

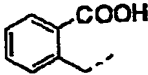
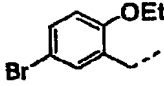
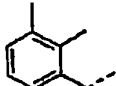
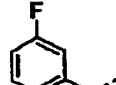
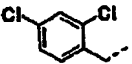
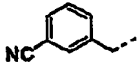
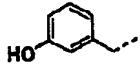
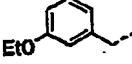
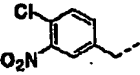
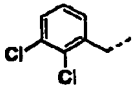
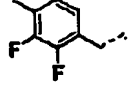
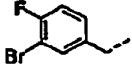
表8のつづき5

60		H	H	H	H	H	H
61		H	H	H	H	H	H
62		H	H	H	H	H	H
63		H	H	H	H	H	H
64		H	H	H	H	H	H
65		H	H	H	H	H	H
66		H	H	H	H	H	H
67		H	H	H	H	H	H
68		H	H	H	H	H	H
69		H	H	H	H	H	H
70		H	H	H	H	H	H
71		H	H	H	H	H	H

【0411】

【表 338】

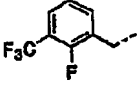
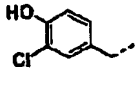
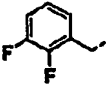
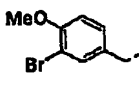
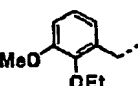
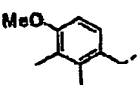
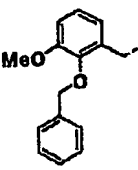
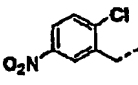
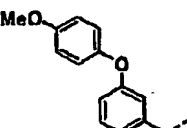
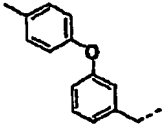
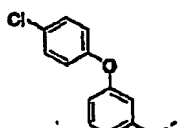
表8のつづき6

72		H	H	H	H	H	H
73		H	H	H	H	H	H
74		H	H	H	H	H	H
75		H	H	H	H	H	H
76		H	H	H	H	H	H
77		H	H	H	H	H	H
78		H	H	H	H	H	H
79		H	H	H	H	H	H
80		H	H	H	H	H	H
81		H	H	H	H	H	H
82		H	H	H	H	H	H
83		H	H	H	H	H	H

【0412】

【表 339】

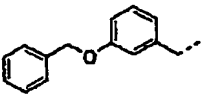
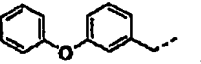
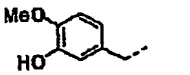
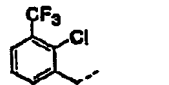
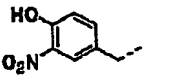
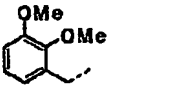
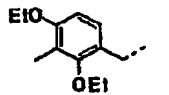
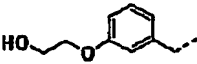
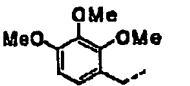
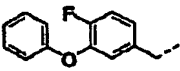
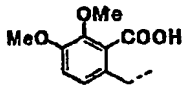
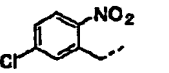
表8のつづき7

84		H	H	H	H	H	H
85		H	H	H	H	H	H
86		H	H	H	H	H	H
87		H	H	H	H	H	H
88		H	H	H	H	H	H
89		H	H	H	H	H	H
90		H	H	H	H	H	H
91		H	H	H	H	H	H
92		H	H	H	H	H	H
93		H	H	H	H	H	H
94		H	H	H	H	H	H

【0413】

【表 340】

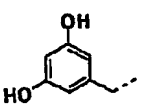
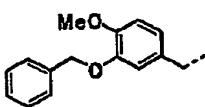
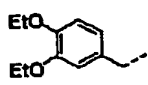
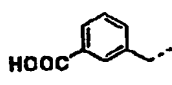
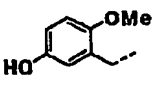
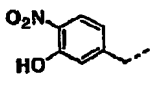
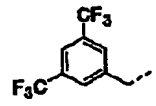
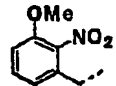
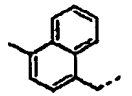
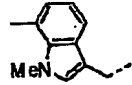
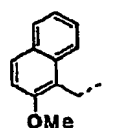
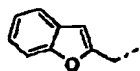
表8のつづき8

95		H	H	H	H	H	H
96		H	H	H	H	H	H
97		H	H	H	H	H	H
98		H	H	H	H	H	H
99		H	H	H	H	H	H
100		H	H	H	H	H	H
101		H	H	H	H	H	H
102		H	H	H	H	H	H
103		H	H	H	H	H	H
104		H	H	H	H	H	H
105		H	H	H	H	H	H
106		H	H	H	H	H	H

【0414】

【表 341】

表8のつづき9

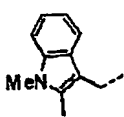
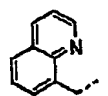
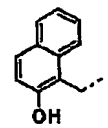
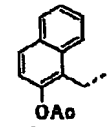
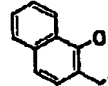
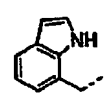
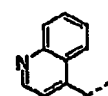
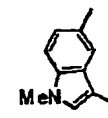
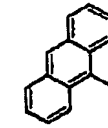
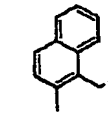
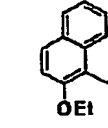
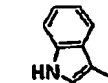
107		H	H	H	H	H	H
108		H	H	H	H	H	H
109		H	H	H	H	H	H
110		H	H	H	H	H	H
111		H	H	H	H	H	H
112		H	H	H	H	H	H
113		H	H	H	H	H	H
114		H	H	H	H	H	H
115		H	H	H	H	H	H
116		H	H	H	H	H	H
117		H	H	H	H	H	H
118		H	H	H	H	H	H

【0415】



【表 3 4 2】

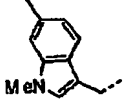
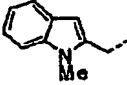
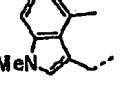
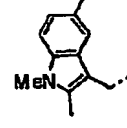
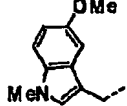
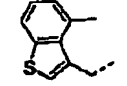
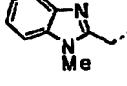
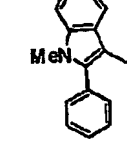
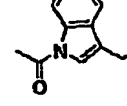
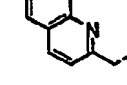
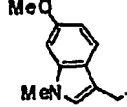
表8のつづき10

119		H	H	H	H	H	H
120		H	H	H	H	H	H
121		H	H	H	H	H	H
122		H	H	H	H	H	H
123		H	H	H	H	H	H
124		H	H	H	H	H	H
125		H	H	H	H	H	H
126		H	H	H	H	H	H
127		H	H	H	H	H	H
128		H	H	H	H	H	H
129		H	H	H	H	H	H
130		H	H	H	H	H	H

【 0 4 1 6 】

【表 343】

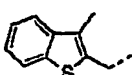
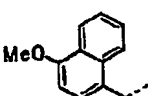
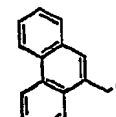
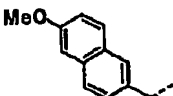
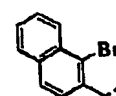
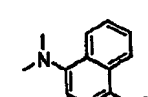
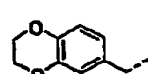
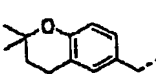
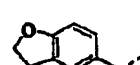
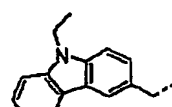

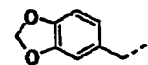
表8のつづき11

131		H	H	H	H	H	H
132		H	H	H	H	H	H
133		H	H	H	H	H	H
134		H	H	H	H	H	H
135		H	H	H	H	H	H
136		H	H	H	H	H	H
137		H	H	H	H	H	H
138		H	H	H	H	H	H
139		H	H	H	H	H	H
140		H	H	H	H	H	H
141		H	H	H	H	H	H

【0417】

【表 3 4 4】

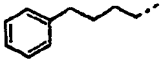


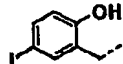
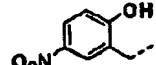
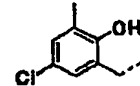
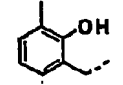
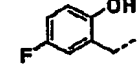
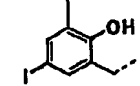
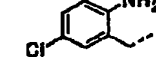
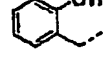
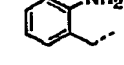
表 8 の つ づ き 12

142		H	H	H	H	H	H
143		H	H	H	H	H	H
144		H	H	H	H	H	H
145		H	H	H	H	H	H
146		H	H	H	H	H	H
147		H	H	H	H	H	H
148		H	H	H	H	H	H
149		H	H	H	H	H	H
150		H	H	H	H	H	H
151		H	H	H	H	H	H
152		H	H	H	H	H	H
153		H	H	H	H	H	H

【 0 4 1 8 】

【表 3 4 5】

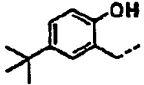
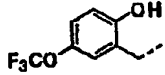
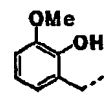
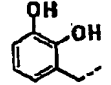
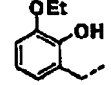
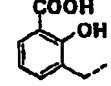
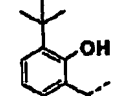


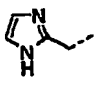
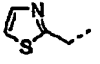

表8のつづき13

154		H	H	H	H	H	H
155		H	H	H	H	H	H
156		H	H	H	H	H	H
157		H	H	H	H	H	H
158		H	H	H	H	H	H
159		H	H	H	H	H	H
160		H	H	H	H	H	H
161		H	H	H	H	H	H
162		H	H	H	H	H	H
163		H	H	H	H	H	H
164		H	H	H	H	H	H
165		H	H	H	H	H	H

【0 4 1 9】

【表 346】

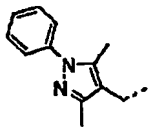
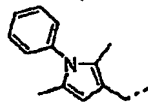
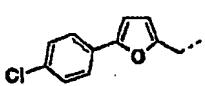





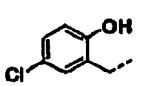
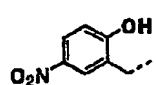
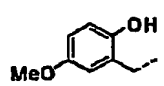
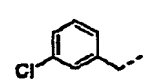
表8のつづき14

166		H	H	H	H	H	H
167		H	H	H	H	H	H
168		H	H	H	H	H	H
169		H	H	H	H	H	H
170		H	H	H	H	H	H
171		H	H	H	H	H	H
172		H	H	H	H	H	H
173		H	H	H	H	H	H
174		H	H	H	H	H	H
175		H	H	H	H	H	H
176		H	H	H	H	H	H
177		H	H	H	H	H	H

【0420】

【表 3 4 7】

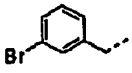
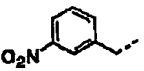
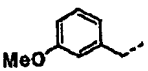
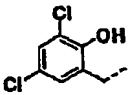
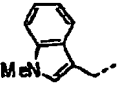
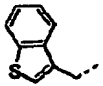
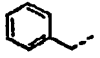
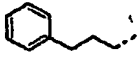
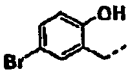
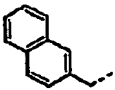
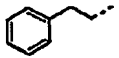
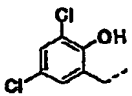
表8のつづき15

178		H	H	H	H	H	H
179		H	H	H	H	H	H
180		H	H	H	H	H	H
181		H	H	H	H	H	H
182		H	H	H	H	H	H
183		H	H	H	H	H	H
184		H	H	H	H	H	H
185		H	H	H	H	H	H
186		H	H	H	Cl	H	H
187		H	H	H	Cl	H	H
188		H	H	H	Cl	H	H
189		H	H	H	Cl	H	H

【0 4 2 1】

【表 3 4 8】

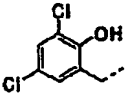
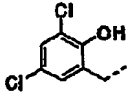
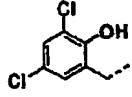
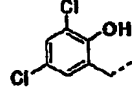
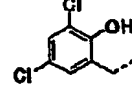
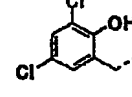
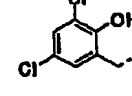
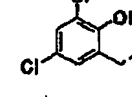
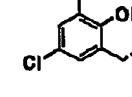
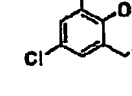
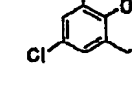
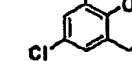
表8のつづき16

190		H	H	H	Cl	H	H
191		H	H	H	Cl	H	H
192		H	H	H	Cl	H	H
193		H	H	H	Cl	H	H
194		H	H	H	Cl	H	H
195		H	H	H	Cl	H	H
196		H	H	H	Cl	H	H
197		H	H	H	Cl	H	H
198		H	H	H	Cl	H	H
199		H	H	H	Cl	H	H
200		H	H	H	Cl	H	H
201		H	H	Cl	H	H	H

【0 4 2 2】

【表 349】

表8のつづき17

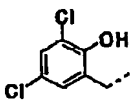
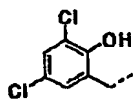
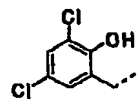
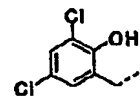
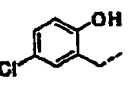
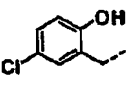
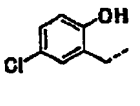
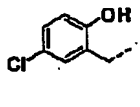
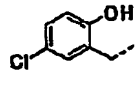
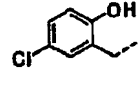
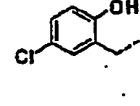
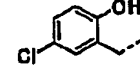
202		H	H	H	OMe	H	H
203		H	H	H	COOMe	H	H
204		H	H	H	H	Cl	H
205		H	H	H	H	COOMe	H
206		H	H	H	H	H	Cl
207		H	H	H	OCF3	H	H
208		H	H	COOMe	H	H	H
209		H	H	H	CF3	H	H
210		H	H	H	Me	H	H
211		H	H	H	F	H	H
212		H	H	H	OH	H	H
213		H	H	H	NO2	H	H

【0423】



【表 3 5 0】

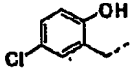
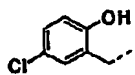
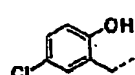
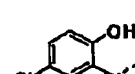


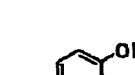





表 8 の つ づ き 18

214		H	H	H	F	F	H
215		H	H	F	H	H	H
216		H	H	Me	H	H	H
217		H	H	H	CN	H	H
218		H	H	Cl	H	H	H
219		H	H	H	OMe	H	H
220		H	H	H	COOMe	H	H
221		H	H	H	H	Cl	H
222		H	H	H	H	COOMe	H
223		H	H	H	H	H	Cl
224		H	H	H	OCF3	H	H
225		H	H	COOMe	H	H	H

【 0 4 2 4 】

【表 351】

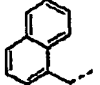
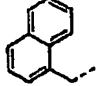
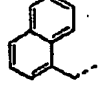
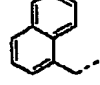
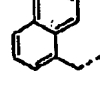
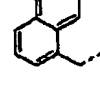
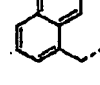
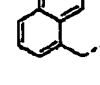
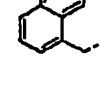
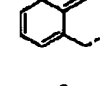
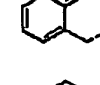
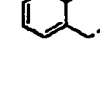
表8のつづき19

226		H	H	H	CF <sub>3</sub>	H	H
227		H	H	H	Me	H	H
228		H	H	H	F	H	H
229		H	H	H	OH	H	H
230		H	H	H	NO <sub>2</sub>	H	H
231		H	H	H	F	F	H
232		H	H	F	H	H	H
233		H	H	Me	H	H	H
234		H	H	H	CN	H	H
235		H	H	Cl	H	H	H
236		H	H	H	OMe	H	H
237		H	H	H	COOMe	H	H

【0425】

【表 3 5 2】



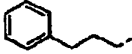
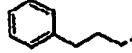
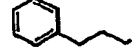
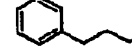
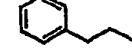
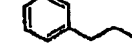
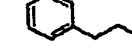
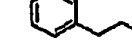
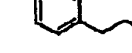
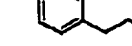
表8のつづき20

238		H	H	H	H	Cl	H
239		H	H	H	H	COOMe	H
240		H	H	H	H	H	Cl
241		H	H	H	OCF3	H	H
242		H	H	COOMe	H	H	H
243		H	H	H	CF3	H	H
244		H	H	H	Me	H	H
245		H	H	H	F	H	H
246		H	H	H	OH	H	H
247		H	H	H	NO2	H	H
248		H	H	H	F	F	H
249		H	H	F	H	H	H

【0 4 2 6】

【表 3 5 3】

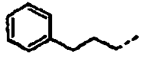
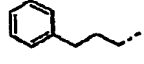
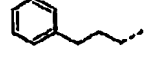
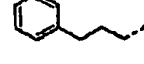
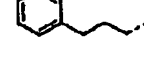
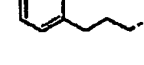
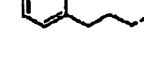
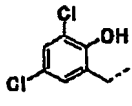
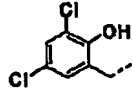
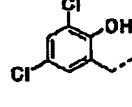
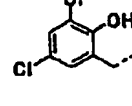
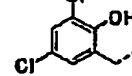
表8のつづき21

250		H	H	Me	H	H	H
251		H	H	H	CN	H	H
252		H	H	Cl	H	H	H
253		H	H	H	OMe	H	H
254		H	H	H	COOMe	H	H
255		H	H	H	H	Cl	H
256		H	H	H	H	COOMe	H
257		H	H	H	H	H	Cl
258		H	H	H	OCF3	H	H
259		H	H	COOMe	H	H	H
260		H	H	H	CF3	H	H
261		H	H	H	Me	H	H

【0 4 2 7】

【表 354】

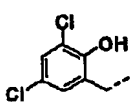
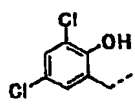
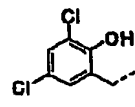
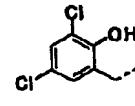
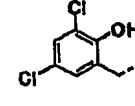
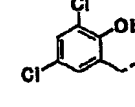
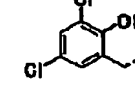
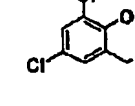
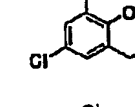
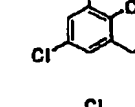
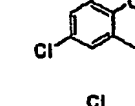
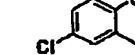
表8のつづき22

262		H	H	H	F	H	H
263		H	H	H	OH	H	H
264		H	H	H	NO2	H	H
265		H	H	H	F	F	H
266		H	H	F	H	H	H
267		H	H	Me	H	H	H
268		H	H	H	CN	H	H
269		H	H	H	H	H	COOMe
270		H	H	H	H	F	H
271		H	H	H	H	H	F
272		H	H	H	H	Me	H
273		H	H	H	H	H	Me

【0428】

【表 3 5 5】

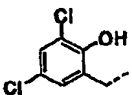
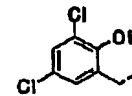
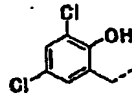
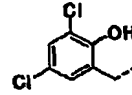
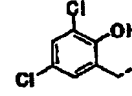
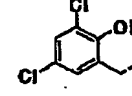
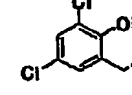
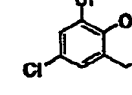
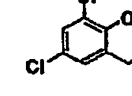
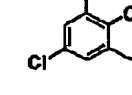
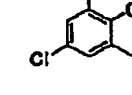
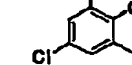
表 8 の つ づ き 2 3

274		H	H	OMe	H	H	H
275		H	H	H	H	OMe	H
276		H	H	H	H	H	OMe
277		H	H	CF3	H	H	H
278		H	H	H	H	CF3	H
279		H	H	H	H	H	CF3
280		H	H	OH	H	H	H
281		H	H	H	H	OH	H
282		H	H	H	H	H	OH
283		H	H	OCF3	H	H	H
284		H	H	H	H	OCF3	H
285		H	H	H	H	H	OCF3

【 0 4 2 9 】

【表 3 5 6】

表8のつづき24

286		H	H	NO2	H	H	H
287		H	H	H	H	NO2	H
288		H	H	H	H	H	NO2
289		H	H	CN	H	H	H
290		H	H	H	H	CN	H
291		H	H	H	H	H	CN
292		H	H	Br	H	H	H
293		H	H	H	Br	H	H
294		H	H	H	H	Br	H
295		H	H	H	H	H	Br
296		H	H	COOH	H	H	H
297		H	H	H	COOH	H	H

【0 4 3 0】

【表 3 5 7】

表8のつづき25

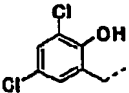
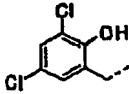
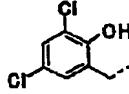
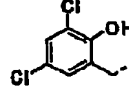
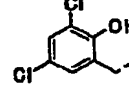
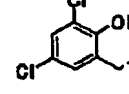
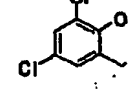
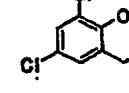
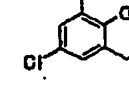
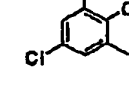
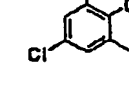
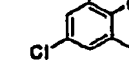
298		H	H	H	H	COOH	H
299		H	H	H	H	H	COOH
300		H	H	NHCOMe	H	H	H
301		H	H	H	NHCOMe	H	H
302		H	H	H	H	NHCOMe	
303		H	H	H	H	H	NHCOMe
304		H	H	SO2NH2	H	H	H
305		H	H	H	SO2NH2	H	H
306		H	H	H	H	SO2NH2	H
307		H	H	H	H	H	SO2NH2
308		H	H	Me	Me	H	H
309		H	H	Me	H	Me	H

【0 4 3 1】



【表 358】

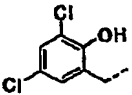
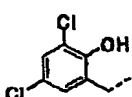
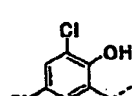
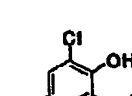
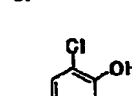
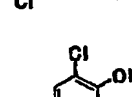
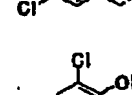
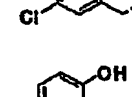
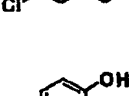
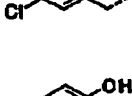
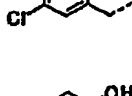
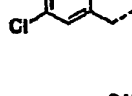
表8のつづき26

310		H	H	H	Me	Me	H
311		H	H	F	F	H	H
312		H	H	F	H	F	H
313		H	H	H	F	F	H
314		H	H	Cl	Cl	H	H
315		H	H	Cl	H	Cl	H
316		H	H	H	Cl	Cl	H
317		H	H	Me	F	H	H
318		H	H	Me	Cl	H	H
319		H	H	Me	OH	H	H
320		H	H	Me	OMe	H	H
321		H	H	F	Me	H	H

【0432】

【表 359】

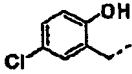
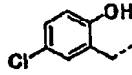
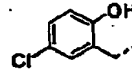
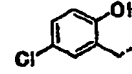
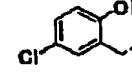
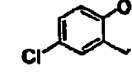
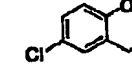
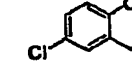
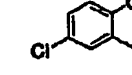
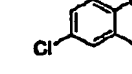
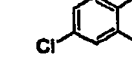
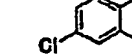
表8のつづき27

322		H	H	F	Cl	H	H
323		H	H	F	OH	H	H
324		H	H	F	OMe	H	H
325		H	H	Cl	Me	H	H
326		H	H	Cl	F	H	H
327		H	H	Cl	OH	H	H
328		H	H	Cl	OMe	H	H
329		H	H	H	H	H	COOMe
330		H	H	H	H	F	H
331		H	H	H	H	H	F
332		H	H	H	H	Me	H
333		H	H	H	H	H	Me

【0433】

【表 360】

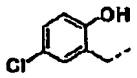
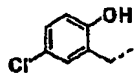
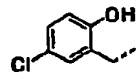
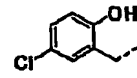
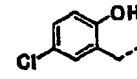
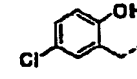
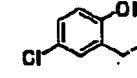
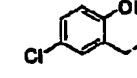
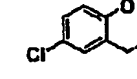
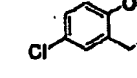
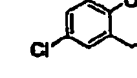
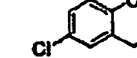
表8のつづき28

334		H	H	OMe	H	H	H
335		H	H	H	H	OMe	H
336		H	H	H	H	H	OMe
337		H	H	CF3	H	H	H
338		H	H	H	H	CF3	H
339		H	H	H	H	H	CF3
340		H	H	OH	H	H	H
341		H	H	H	H	OH	H
342		H	H	H	H	H	OH
343		H	H	OCF3	H	H	H
344		H	H	H	H	OCF3	H
345		H	H	H	H	H	OCF3

【0434】

【表 3 6 1】

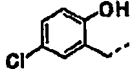
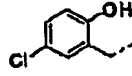
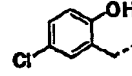
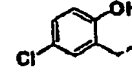
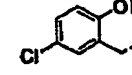
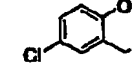
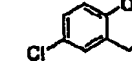
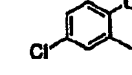
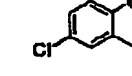
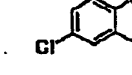
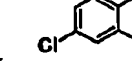
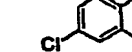
表8のつづき29

346		H	H	NO2	H	H	H
347		H	H	H	H	NO2	H
348		H	H	H	H	H	NO2
349		H	H	CN	H	H	H
350		H	H	H	H	CN	H
351		H	H	H	H	H	CN
352		H	H	Br	H	H	H
353		H	H	H	Br	H	H
354		H	H	H	H	Br	H
355		H	H	H	H	H	Br
356		H	H	COOH	H	H	H
357		H	H	H	COOH	H	H

【 0 4 3 5 】

【表 3 6 2】

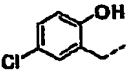
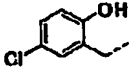
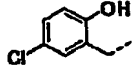
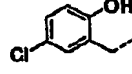
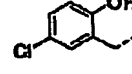
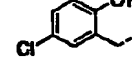
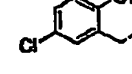
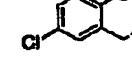
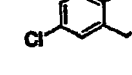
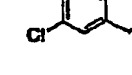
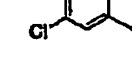
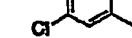
表 8 の つ づ き 30

358		H	H	H	H	COOH	H
359		H	H	H	H	H	COOH
360		H	H	NHCOMe	H	H	H
361		H	H	H	NHCOMe	H	H
362		H	H	H	H	NHCOMe	
363		H	H	H	H	H	NHCOMe
364		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
365		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
366		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
367		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
368		H	H	Me	Me	H	H
369		H	H	Me	H	Me	H

【 0 4 3 6 】

【表 3 6 3】

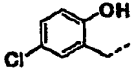
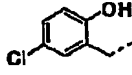
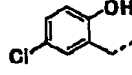
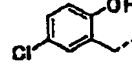
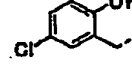
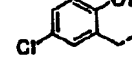
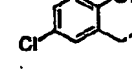





表8のつづき31

370		H	H	H	Me	Me	H
371		H	H	F	F	H	H
372		H	H	F	H	F	H
373		H	H	H	F	F	H
374		H	H	Cl	Cl	H	H
375		H	H	Cl	H	Cl	H
376		H	H	H	Cl	Cl	H
377		H	H	Me	F	H	H
378		H	H	Me	Cl	H	H
379		H	H	Me	OH	H	H
380		H	H	Me	OMe	H	H
381		H	H	F	Me	H	H

【0 4 3 7】

【表 364】













表8のつづき32

382		H	H	F	Cl	H	H
383		H	H	F	OH	H	H
384		H	H	F	OMe	H	H
385		H	H	Cl	Me	H	H
386		H	H	Cl	F	H	H
387		H	H	Cl	OH	H	H
388		H	H	Cl	OMe	H	H
389		H	H	H	H	H	COOMe
390		H	H	H	H	F	H
391		H	H	H	H	H	F
392		H	H	H	H	Me	H
393		H	H	H	H	H	Me

【0438】

【表 3 6 5】

表8のつづき33













394		H	H	OMe	H	H	H
395		H	H	H	H	OMe	H
396		H	H	H	H	H	OMe
397		H	H	CF3	H	H	H
398		H	H	H	H	CF3	H
399		H	H	H	H	H	CF3
400		H	H	OH	H	H	H
401		H	H	H	H	OH	H
402		H	H	H	H	H	OH
403		H	H	OCF3	H	H	H
404		H	H	H	H	OCF3	H
405		H	H	H	H	H	OCF3

【 0 4 3 9 】



【表 3 6 6】













表8のつづき34

406		H	H	NO2	H	H	H
407		H	H	H	H	NO2	H
408		H	H	H	H	H	NO2
409		H	H	CN	H	H	H
410		H	H	H	H	CN	H
411		H	H	H	H	H	CN
412		H	H	Br	H	H	H
413		H	H	H	Br	H	H
414		H	H	H	H	Br	H
415		H	H	H	H	H	Br
416		H	H	COOH	H	H	H
417		H	H	H	COOH	H	H

【0 4 4 0】

【表 3 6 7】













表8のつづき35

418		H	H	H	H	COOH	H
419		H	H	H	H	H	COOH
420		H	H	NHCOMe	H	H	H
421		H	H	H	NHCOMe	H	H
422		H	H	H	H	NHCOMe	
423		H	H	H	H	H	NHCOMe
424		H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H	H
425		H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H	H
426		H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>	H
427		H	H	H	H	H	SO <sub>2</sub> NH <sub>2</sub>
428		H	H	Me	Me	H	H
429		H	H	Me	H	Me	H

【 0 4 4 1 】

【表 368】








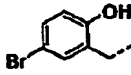
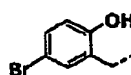
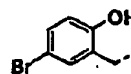
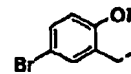
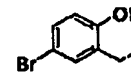
表8のつづき36

430		H	H	H	Me	Me	H
431		H	H	F	F	H	H
432		H	H	F	H	F	H
433		H	H	H	F	F	H
434		H	H	Cl	Cl	H	H
435		H	H	Cl	H	Cl	H
436		H	H	H	Cl	Cl	H
437		H	H	Me	F	H	H
438		H	H	Me	Cl	H	H
439		H	H	Me	OH	H	H
440		H	H	Me	OMe	H	H
441		H	H	F	Me	H	H

【0442】

【表 369】

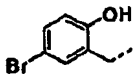
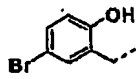
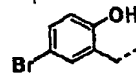
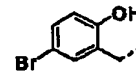
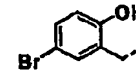
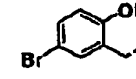
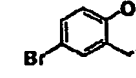
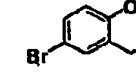
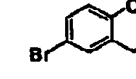
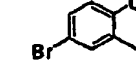
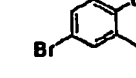
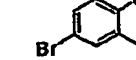
表8のつづき37

442		H	H	F	Cl	H	H
443		H	H	F	OH	H	H
444		H	H	F	OMe	H	H
445		H	H	Cl	Me	H	H
446		H	H	Cl	F	H	H
447		H	H	Cl	OH	H	H
448		H	H	Cl	OMe	H	H
449		H	H	Cl	H	H	H
450		H	H	H	OMe	H	H
451		H	H	H	COOMe	H	H
452		H	H	H	H	Cl	H
453		H	H	H	H	COOMe	H

【0443】

【表 3 7 0】

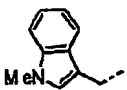
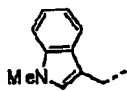
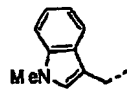
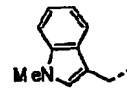
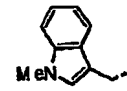
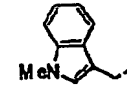
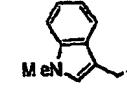
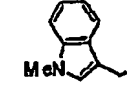
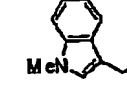
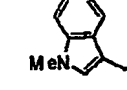
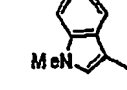
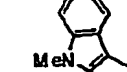
表 8 の つ づ き 3 8

454		H	H	H	H	H	Cl
455		H	H	H	OCF <sub>3</sub>	H	H
456		H	H	COOMe	H	H	H
457		H	H	H	CF <sub>3</sub>	H	H
458		H	H	H	Me	H	H
459		H	H	H	F	H	H
460		H	H	H	OH	H	H
461		H	H	H	NO <sub>2</sub>	H	H
462		H	H	H	F	F	H
463		H	H	F	H	H	H
464		H	H	Me	H	H	H
465		H	H	H	CN	H	H

【 0 4 4 4 】

【表 371】

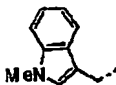
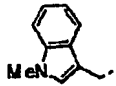
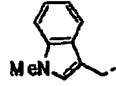
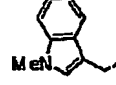
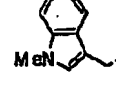
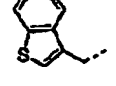
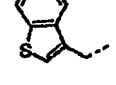
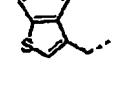
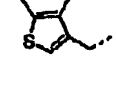
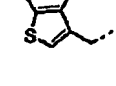
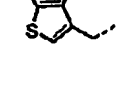
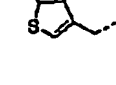
表8のつづき39

466		H	H	Cl	H	H	H
467		H	H	H	OMe	H	H
468		H	H	H	COOMe	H	H
469		H	H	H	H	Cl	H
470		H	H	H	H	COOMe	H
471		H	H	H	H	H	Cl
472		H	H	H	OCF3	H	H
473		H	H	COOMe	H	H	H
474		H	H	H	CF3	H	H
475		H	H	H	Me	H	H
476		H	H	H	F	H	H
477		H	H	H	OH	H	H

【0445】

【表 372】













表8のつづき40

478		H	H	H	NO2	H	H
479		H	H	H	F	F	H
480		H	H	F	H	H	H
481		H	H	Me	H	H	H
482		H	H	H	CN	H	H
483		H	H	Cl	H	H	H
484		H	H	H	OMe	H	H
485		H	H	H	COOMe	H	H
486		H	H	H	H	Cl	H
487		H	H	H	H	COOMe	H
488		H	H	H	H	H	Cl
489		H	H	H	OCF3	H	H

【0446】

【表 373】

表8のつづき41


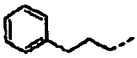
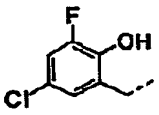
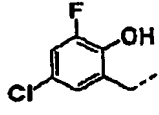
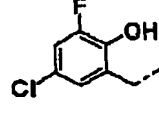
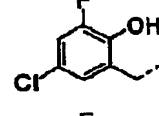
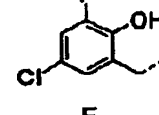
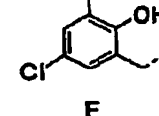
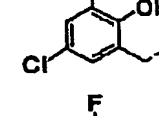
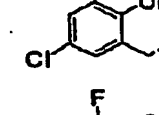
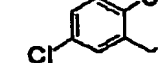
490		H	H	COOMe	H	H	H
491		H	H	H	CF3	H	H
492		H	H	H	Me	H	H
493		H	H	H	F	H	H
494		H	H	H	OH	H	H
495		H	H	H	NO2	H	H
496		H	H	H	F	F	H
497		H	H	F	H	H	H
498		H	H	Me	H	H	H
499		H	H	H	CN	H	H
500		H	Me	H	H	H	H
501		H	Me	H	H	H	H

【0447】



【表 374】

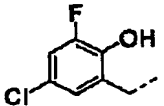
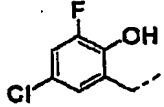
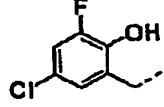
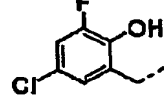
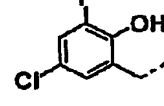
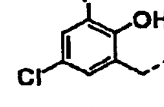
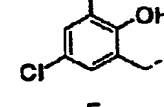
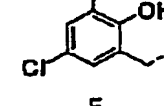
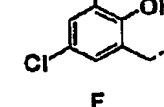
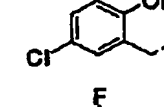
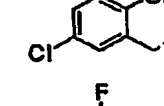
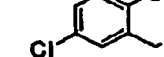
表8のつづき42

502		H	Me	H	H	H	H
503		H	Me	H	H	H	H
504		H	H	H	H	H	H
505		H	H	F	H	H	H
506		H	H	Cl	H	H	H
507		H	H	Me	H	H	H
508		H	H	Et	H	H	H
509		H	H	OMe	H	H	H
510		H	H	OEt	H	H	H
511		H	H	CF3	H	H	H
512		H	H	OOCF3	H	H	H

【0448】

【表 375】

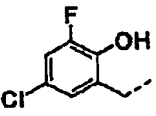
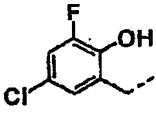
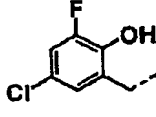
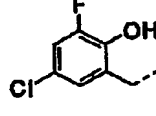
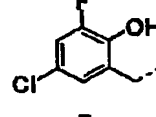
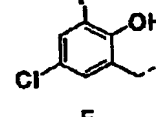
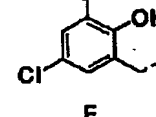
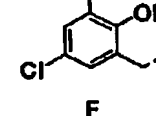
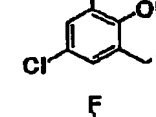
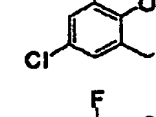
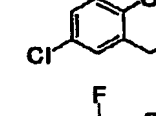
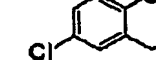
表8のつづき43

513		H	H	NO2	H	H	H
514		H	H	NH2	H	H	H
515		H	H	OH	H	H	H
516		H	H	CN	H	H	H
517		H	H	COMe	H	H	H
518		H	H	COOMe	H	H	H
519		H	H	H	F	H	H
520		H	H	H	Cl	H	H
521		H	H	H	Me	H	H
522		H	H	H	Et	H	H
523		H	H	H	OMe	H	H
524		H	H	H	OEt	H	H

【0449】

【表 376】

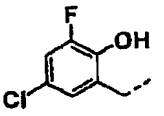
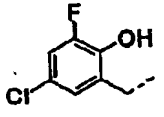
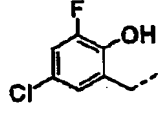
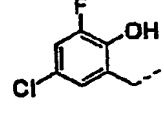
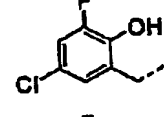
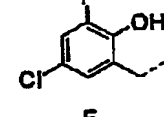
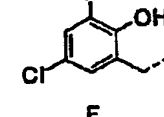
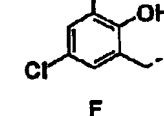
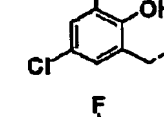
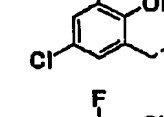
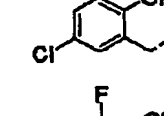
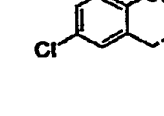
表8のつづき44

525		H	H	H	CF3	H	H
526		H	H	H	OCF3	H	H
527		H	H	H	NO2	H	H
528		H	H	H	NH2	H	H
529		H	H	H	OH	H	H
530		H	H	H	CN	H	H
531		H	H	H	COMe	H	H
532		H	H	H	COOMe	H	H
533		H	H	F	F	H	H
534		H	H	F	Cl	H	H
535		H	H	F	Me	H	H
536		H	H	F	Et	H	H

【0450】

【表 377】

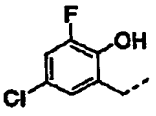
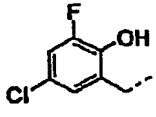
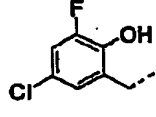
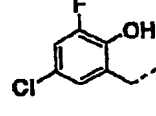
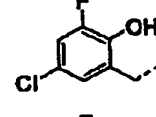
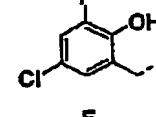
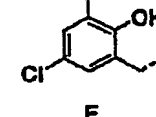
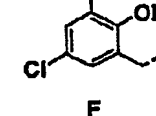
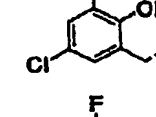

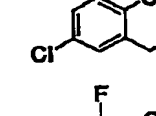
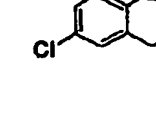
表8のつづき45

537		H	H	F	OMe	H	H
538		H	H	F	OEt	H	H
539		H	H	F	CF3	H	H
540		H	H	F	OCF3	H	H
541		H	H	Cl	F	H	H
542		H	H	Cl	Cl	H	H
543		H	H	Cl	Me	H	H
544		H	H	Cl	Et	H	H
545		H	H	Cl	OMe	H	H
546		H	H	Cl	OEt	H	H
547		H	H	Cl	CF3	H	H
548		H	H	Cl	OCF3	H	H

【0451】

【表 3 7 8】

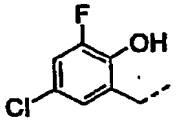
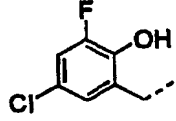
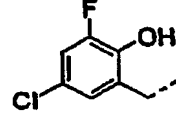
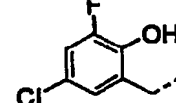
表 8 の つ づ き 4 6

549		H	H	Me	F	H	H
550		H	H	Me	Cl	H	H
551		H	H	Me	Me	H	H
552		H	H	Me	Et	H	H
553		H	H	Me	OMe	H	H
554		H	H	Me	OEt	H	H
555		H	H	Me	CF3	H	H
556		H	H	Me	OCF3	H	H
557		H	H	OMe	F	H	H
558		H	H	OMe	Cl	H	H
559		H	H	OMe	Me	H	H
560		H	H	OMe	Et	H	H

【 0 4 5 2 】

【表 3 7 9】

表8のつづき47

561		H	H	OMe	OMe	H	H
562		H	H	OMe	OEt	H	H
563		H	H	OMe	CF3	H	H
564		H	H	OMe	OCF3	H	H

【 0 4 5 3 】

本発明においては、ピペリジン化合物の薬学的に許容される酸付加体も含まれる。かかる酸として、例えば、塩酸、臭化水素酸、硫酸、リン酸、炭酸等の無機酸、又はマレイン酸、クエン酸、リンゴ酸、酒石酸、フマル酸、メタンスルホン酸、トリフルオロ酢酸、蟻酸等の有機酸が挙げられる。

【 0 4 5 4 】

さらに、本発明においては、例えばヨウ化 1 - (4 - クロロベンジル) - 1 - メチル - 4 - [ { 2 - ベンズイミダゾリル } アミノメチル ] ピペリジニウム等の、環状アミン化合物の C<sub>1</sub> ~ C<sub>6</sub> アルキル付加体も含まれる。C<sub>1</sub> ~ C<sub>6</sub> アルキル付加体のアルキル基としては、例えば、メチル、エチル、n - プロピル、n - ブチル、n - ペンチル、n - ヘキシル、n - ヘプチル、n - オクチル、イソプロピル、イソブチル、sec - ブチル、tert - ブチル、イソペンチル、ネオペンチル、tert - ペンチル、2 - メチルペンチル、1 - エチルブチルが好適な具体例として挙げられるが、特に好ましい例としては、メチル基、エチル基等が挙げられる。また、アンモニウム陽イオンの対陰イオンの好適な具体例としては、フッ化物、塩化物、臭化物、又はヨウ化物等のハロゲン化物陰イオンを挙げることができる。

【0455】

本発明の、式（I）で表わされる化合物は、光学活性炭素を含むことができるため、ラセミ体及び可能なすべての光学活性体も含まれる。

【0456】

式（I）で表される化合物の $R^3$ が水素の場合、式（I）で表される構造は、下記式（II）で表される構造と区別することはできず、同一の化合物である。従って本発明は、 $R^3$ が水素の場合には、式（I）と式（II）の両方の構造を含む。

【0457】

【化3】



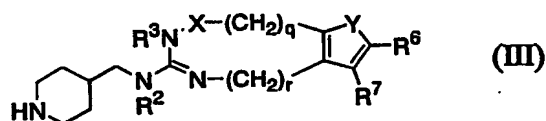
式（I）で表される化合物は、以下に示す一般的製造法のいずれかにより製造可能である。

【0458】

〈製造法1〉

式（III）

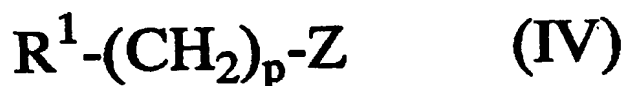
【化4】



( $R^2$ 、 $R^3$ 、X、q、r、Y、 $R^6$ 及び $R^7$ は、式（I）においてそれぞれ定義されたものと同様である）

で表される化合物1当量を、0.1-10当量の下記式（IV）

【化 5】



( $R^1$ 及び $p$ は、式(I)においてそれぞれ定義されたものと同様である。 $Z$ はハロゲン原子、アルキルスルホニルオキシ基又はアリアルスルホニルオキシ基を表す。)

で表されるアルキル化試薬で、溶媒の非存在下又は存在下で処理することによって、式(I)で表される化合物を製造する。

【0459】

この製造法1の反応は、炭酸カリウム、炭酸カルシウム、炭酸水素ナトリウム等の無機塩を含む塩基、トリエチルアミン、ジイソプロピルエチルアミン及びピリジン等のアミン類、又は(ピペリジノメチル)ポリスチレン、(モルホリノメチル)ポリスチレン、(ジエチルアミノメチル)ポリスチレン、ポリ(4-ビニルピリジン)等の高分子支持塩基を用いることによって円滑に実行することができる。

【0460】

この製造法1の反応は、ヨウ化カリウムやヨウ化ナトリウム等のヨウ化物を添加することによって促進される場合がある。

【0461】

〈製造法2〉

下記式V

【化 6】



( $R^1$ 及び $p$ は、式(I)においてそれぞれ定義されたものと同様である。)  
で表されるアルデヒドの1当量を、式(III)で表される化合物の0.1-1.0当量で、溶媒の非存在下又は存在下に処理することによって、式(I)で表さ



れる化合物を製造する。

【0462】

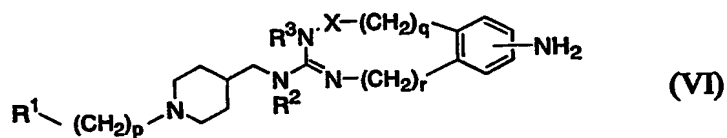
この製造法2の反応は、一般に還元的アミノ化反応と呼ばれるが、この反応条件はパラジウム、白金、ニッケル、若しくはロジウム等の金属を含む触媒、水素化リチウムアルミニウム、水素化ホウ素ナトリウム、水素化シアノホウ素ナトリウム、若しくは水素化トリアセトキシホウ素ナトリウム等の水素化物複合体、ボランによる接触水素化、又は電解還元等によって反応させてもよい。

【0463】

<製造法3>

式(VI)

【化7】



( $R^1$ 、 $p$ 、 $R^2$ 、 $R^3$ 、 $X$ 、 $q$ 及び $r$ は、式(I)において定義されたものと同様である。)

で表される化合物の1等量を、0.1-10当量のカルボン酸又はその反応活性誘導体と溶媒の非存在下又は存在下に処理することによって、式(I)で表される化合物を製造する。

【0464】

カルボン酸の反応活性誘導体には、有機合成化学で通常使用される反応性の高いカルボン酸誘導体、例えば、酸ハロゲン化物、酸無水物、混合無水物が含まれる。

【0465】

製造法3の反応は、適当量のモレキュラーシーブ等の脱水剤、ジシクロヘキシルカルボジイミド(DCC)、N-エチル-N'-(3-ジメチルアミノプロピル)カルボジイミド(EDCI又はWSC)、カルボジイミダゾール(CDI)、N-ヒドロキシスクシンイミド(HOSu)、N-ヒドロキシベンゾトリアゾール(HOBT)、ベンゾトリアゾール-1-イルオキシトリス(ピロリジノ)

ホスホニウム、ヘキサフルオロホスフェート (PyBOP)、2-(1H-ベンゾトリアゾール-1-イル)-1,1,3,3-テトラメチルウロニウム、ヘキサフルオロホスフェート (HBTU)、2-(1H-ベンゾトリアゾール-1-イル)-1,1,3,3-テトラメチルウロニウム、テトラフルオロボレート (TBTU)、2-(5-ノルボルネン-2,3-ジカルボキシイミド)-1,1,3,3-テトラメチルウロニウム、テトラフルオロボレート (TNTU)、O-(N-スクシンイミジル)-1,1,3,3-テトラメチルウロニウム、テトラフルオロボレート (TSTU)、プロモトリス (ピロリジノ) ホスホニウム又はヘキサフルオロホスフェート (PyBrop) 等の縮合剤を用いることによって、円滑に実行できる。

【0466】

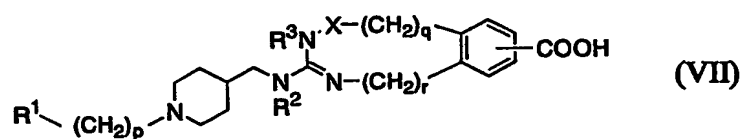
また、製造法3の反応は、製造法1に示す塩基を用いることによって円滑に実行できる。

【0467】

〈製造法4〉

下記式 (VII)

【化8】



( $R^1$ 、 $p$ 、 $R^2$ 、 $R^3$ 、 $X$ 、 $q$  及び  $r$  は、式 (I) において定義されたものと同様である。)

で表せる化合物の1等量を、0.1-10当量のアミンと溶媒の非存在下又は存在下に処理することによって、式 (I) で表される化合物を製造する。

【0468】

製造法4の反応は、製造法3で使用したものと同一脱水剤、縮合剤又は塩基の適当量を用いることによって、円滑に進行することができる。

【0469】

もし、製造法1-4のそれぞれに使用される基質が、各反応条件において反応

する、又は有機合成化学において一般に反応に悪影響を与えると考えられる置換基を含んでいる場合には、その官能基を既知の適当な保護基で保護し、その後、該製造法の反応と既知の工程を用いて脱保護を行い、式（I）で表す化合物を得てもよい。

## 【 0 4 7 0 】

さらに本発明の化合物は、アルキル化、アシル化、還元等の有機合成化学において通常使用される既知の反応を用いて、製造法 1 ～ 4 によって製造される化合物の置換基（単数又は複数）をさらに変換することによって製造してもよい。

## 【 0 4 7 1 】

製造法 1 ～ 4 のそれぞれにおいて、ジクロロメタン、クロロホルム等のハロゲン化炭素、ベンゼン、トルエン等の芳香族炭化水素、ジエチルエーテル、テトラヒドロフラン等のエーテル類、酢酸エチル等のエステル類、ジメチルホルムアミド、ジメチルスルホキシド、アセトニトリル等の非プロトン性極性溶媒、又はメタノール、エタノール、イソプロピルアルコール等のアルコール類を反応のために用いてもよい。

## 【 0 4 7 2 】

製造法 1 ～ 4 のいずれにおいても、反応温度は  $-78^{\circ}\text{C}$  ～  $+150^{\circ}\text{C}$  の範囲であり、好ましくは  $0^{\circ}\text{C}$  ～  $100^{\circ}\text{C}$  である。反応完了後、濃縮、ろ過、抽出、固相抽出、再結晶、クロマトグラフィー等の通常の分離又は精製操作を用いて、式（I）で表されるピペリジン誘導体を単離することができる。これら式（I）で表されるピペリジン誘導体は、通常の方法によって薬学的に許容される酸付加体又は  $\text{C}_1$  -  $\text{C}_6$  アルキル付加体に変換することができる。

## 【 0 4 7 3 】

式（I）で表わされる化合物、その薬学的に許容される酸付加体、又は、その薬学的に許容される  $\text{C}_1$  ～  $\text{C}_6$  アルキル付加体は、その治療有効量を製薬学的に許容される担体及び／又は希釈剤とともに医薬組成物とすることによって、本発明のエオタキシン等の  $\text{CCR3}$  のリガンドが標的細胞上の  $\text{CCR3}$  に結合することを阻害する医薬、あるいはエオタキシン等の  $\text{CCR3}$  のリガンドの標的細胞への生理的作用を阻害する作用をもつ医薬、さらには、 $\text{CCR3}$  が関与すると考えら

れる疾患の治療薬及び／又は予防薬とすることができる。すなわち式(I)で表わされるピペリジン誘導体、その薬学的に許容される酸付加塩体、又は、その薬学的に許容される $C_1 \sim C_6$ アルキル付加体は、経口的に、あるいは、静脈内、皮下、筋肉内、経皮、又は、直腸内等非経口的に投与することができる。

## 【0474】

経口投与の剤形としては、例えば錠剤、丸剤、顆粒剤、散剤、液剤、懸濁剤、カプセル剤等が挙げられる。

## 【0475】

錠剤の形態にするには、例えば乳糖、デンプン、又は結晶セルロース等の賦形剤、カルボキシメチルセルロース、メチルセルロース、又はポリビニルピロリドン等の結合剤、及びアルギン酸ナトリウム、炭酸水素ナトリウム、又はラウリル硫酸ナトリウム等の崩壊剤等を用いて、通常の方法により成形することができる。

## 【0476】

丸剤、散剤、顆粒剤も、錠剤と同様の賦形剤等を用いて通常の方法によって成形することができる。液剤、懸濁剤は、例えばトリカプリリン、トリアセチン等のグリセリンエステル類、エタノール等のアルコール類等を用いて通常の方法によって成形される。カプセル剤は、顆粒剤、散剤、あるいは液剤等をゼラチン等のカプセルに充填することによって成形される。

## 【0477】

皮下、筋肉内、静脈内投与の剤型としては、水性あるいは非水性溶液剤等の形態にある注射剤がある。水性溶液剤は、例えば生理食塩水等が用いられる。非水性溶液剤は、例えばプロピレングリコール、ポリエチレングリコール、オリーブ油、オレイン酸エチル等が用いられ、これらに必要な応じて防腐剤、安定剤等が添加される。注射剤は、バクテリア保留フィルターを通す濾過、殺菌剤の配合の処置を適宜行うことによって無菌化される。

## 【0478】

経皮投与の剤型としては、例えば軟膏剤、クリーム剤等が挙げられ、軟膏剤は、ヒマシ油、オリーブ油等の油脂類又はワセリン等を用いて、クリーム剤は、脂

肪油又はジエチレングリコールやソルビタンモノ脂肪酸エステル等の乳化剤を用いて通常の方法によって成形される。

【0479】

直腸内投与のためには、ゼラチンソフトカプセル等の通常の座剤が用いられる。

【0480】

本発明のピペリジン誘導体、その薬学的に許容される酸付加体、又は、その薬学的に許容される $C_1 \sim C_6$ アルキル付加体の投与量は、疾患の種類、投与経路、患者の年齢と性別、及び、疾患の程度等によって異なるが、通常成人一人当たり $1 \sim 500 \text{ mg/日}$ である。

【0481】

【実施例】

本発明を以下の実施例に基づいて具体的に説明する。しかしながら、本発明はこれら実施例によって限定されるものではない。この実施例における化合物番号は、表に好適な具体例として挙げた化合物に付けられたものを表す。実施例番号とその実施例で製造される化合物の化合物番号は同一である。

【0482】

[参考例 1-1-1]

C-[1-(3, 4-ジクロロベンジル)-ピペリジン-4-イル]-メチルアミンの合成

4-アミノメチルピペリジン(10 g)をアセトニトリル(250 ml)に溶かし、室温で3, 4-ジクロロベンジルクロリド(5.8 g)と炭酸カリウム(5 g)を加えた後、60℃で終夜攪拌した。反応溶液を濾過、溶媒を減圧下に除去し、得られた残渣を、薄層シリカゲルクロマトグラフィー(ジクロロメタン/メタノール/トリエチルアミン=85/7/7)により精製し、C-[1-(3, 4-ジクロロベンジル)-ピペリジン-4-イル]-メチルアミンを得た。LC-MSにより同定した。

収量6 g、収率75%、純度100%、実測値ESI/MS  $m/e$  273.

2。

## 【0483】

## [参考例 1-1-2]

1-(2-アミノフェニル-3-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-チオウレアの合成

C-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イル]-メチルアミン(80mg)をアセトニトリル(2ml)に溶かし、0℃でチオカルボニルジイミダゾール(80mg)とイミダゾール(6mg)を加えた。室温で2時間30分攪拌後、3-ニトロ-1,2-フェニレンジアミン(66mg)を加え、50℃に昇温し、12時間攪拌した。溶媒を減圧下に除去し、得られた残渣を薄層シリカゲルクロマトグラフィー(ヘキサン/酢酸エチルエステル/ジクロロメタン/メタノール=60/25/10/5)により精製し、1-(2-アミノフェニル-3-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-チオウレアを得た。LC-MSにより同定した。

収量75mg、収率61%、純度100%、実測値ESI/MS m/e 423.1。

## 【0484】

## [実施例 1-1-1]

(1H-ベンゾイミダゾール-2-イル)-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミンの合成

1-(2-アミノフェニル-3-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-チオウレア(11mg、0.025mmol)にエタノール(1ml)を加えた後、酸化水銀(II)赤色(16mg、0.074mmol)と硫黄(0.3mg、0.0094mmol)を、室温に加え、7時間還流した。水銀をセライト濾過し、溶媒を減圧下に除去した。残渣をシリカゲルカラムクロマトグラフィー(ヘキサン/ジクロロメタン/メタノール/トリエチルルアミン=40/25/20/10/5)により精製し、(1H-ベンゾイミダゾール-2-イル)-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミンを得た。LC-MSにより同定した。

収量8mg、収率83%、純度100%、実測値ESI/MS m/e 389

. 1.

【0485】

[実施例1-1-2から実施例1-1-11]

化合物番号1-1-2から化合物番号1-1-11は、参考例1-1-1、参考例1-1-2、実施例1-1-1に従い、対応する原料より合成した。結果を表9に示す。

【0486】

【表380】

表9

化合物No.1-1-	収量(mg)	収率(%)	MW	M+1
1	8	24	389.3	389.1
2	30	68	434.3	434.2
3	13	32	403.4	403.2
4	5	12	423.8	423.1
5	7	16	407.3	407.1
6	13	28	457.3	457.2
7	4	9	433.3	433.2
8	23	50	458.2	458.9
9	4	10	403.4	403.1
10	13	32	419.4	419.0
11	9	21	434.3	434.1

【0487】

[参考例1-2-1]

4-アミノメチルピペリジン-1-カルボン酸tert-ブチルエステルの合成

4-アミノメチルピペリジン(5.00g、43.8mmol)をトルエン(90mL)に溶解し、ベンズアルデヒド(4.45mL、43.8mmol)を加え、Dean-Starkトラップを取り付け、2時間加熱還流した。反応液を室温まで冷却し、二炭酸ジ-tert-ブチル(11.5mL、43.8mmol)を5回に分けて加え、室温で4時間攪拌した。反応液を減圧濃縮した後に、氷浴下、硫酸水素カリウム水溶液(1.0M、70mL、70mmol)を加え、

1 時間激しく攪拌した。これをジエチルエーテル (3 0 m L × 2 回) で洗浄し、水層に 2 規定水酸化ナトリウム水溶液を加えて、p H 約 7 に調製した。この水溶液を酢酸エチルエステル (3 0 m L × 3 回) で洗浄し、水層に 2 規定水酸化ナトリウムを加えて、p H 約 1 2 に調製した。この水溶液を酢酸エチルエステル (5 0 m L × 4 回) で抽出し、得られた有機層を無水硫酸マグネシウムで乾燥させた。これを減圧濃縮して 4 - アミノメチルーピペリジン - 1 - カルボン酸 t e r t - ブチルエステルを得た。

収量 6 . 4 9 g、収率 7 0 %。

【 0 4 8 8 】

[参考例 1 - 2 - 2]

4 - [ ( 1 H - ベンズイミダゾール - 2 - イルアミノ ) - メチル ] - ピペリジン - 1 - カルボン酸 t e r t - ブチルエステルの合成

4 - アミノメチルーピペリジン - 1 - カルボン酸 t e r t - ブチルエステル ( 3 . 1 8 g、1 4 . 8 m m o l ) をアセトニトリル ( 2 0 m L ) に溶解し、氷浴下でチオカルボニルジイミダゾール ( 3 . 1 7 g、1 7 . 8 m m o l )、イミダゾール ( 3 0 2 m g、4 . 4 5 m m o l ) のアセトニトリル懸濁液 ( 3 0 m L ) を滴下した。室温まで昇温して 9 0 分間攪拌し、これに o - フェニレンジアミン ( 1 . 9 3 g、1 7 . 8 m m o l ) を加え、5 0 ° C で 2 時間攪拌した。さらにジイソプロピルカルボジイミド ( 3 . 4 m L、2 2 . 2 m m o l ) を加え、8 0 ° C で 3 時間攪拌した。反応液を冷却後、減圧濃縮した後に、酢酸エチルエステル ( 2 0 0 m L ) に溶解し、水 ( 1 0 0 m L × 2 回 ) 及び飽和食塩水 ( 1 0 0 m L ) で洗浄した。これを無水硫酸マグネシウムで乾燥させ、減圧濃縮した。濃縮残渣をシリカゲルカラムクロマトグラフィー ( ジクロロメタン / メタノール = 1 9 / 1 → ジクロロメタン / メタノール / トリエチルアミン = 1 0 / 1 / 1 ) で精製し、4 - [ ( 1 H - ベンズイミダゾール - 2 - イルアミノ ) - メチル ] - ピペリジン - 1 - カルボン酸 t e r t - ブチルエステルを得た。

収量 4 . 3 3 g、収率 8 9 %。

【 0 4 8 9 】

[参考例 1 - 2 - 3]



(1H-ベンズイミダゾール-2-イル) - ピペリジン-4-イルメチル-アミンの合成

4- [(1H-ベンズイミダゾール-2-イルアミノ) - メチル] - ピペリジン-1-カルボン酸 tert-ブチルエステル (4.33 g、13.1 mmol) をメタノール (10 mL) に溶解し、氷浴下で4規定塩化水素-1, 4-ジオキサン溶液 (33 mL、131 mmol) を少しずつ加え、室温で3時間攪拌した。反応液を氷冷し、2規定水酸化ナトリウム水溶液を加えて、pH約11とした。この水溶液に食塩を加えて飽和させ、1-ブタノール (100 mL×3回) で抽出して得られた有機層を、飽和食塩水で洗浄し、無水硫酸ナトリウムで乾燥させた。溶媒を減圧濃縮し、(1H-ベンズイミダゾール-2-イル) - ピペリジン-4-イルメチル-アミンを得た。

収量 3.0 g、収率 100%。

【0490】

[実施例 1-2-1]

(1H-ベンズイミダゾール-2-イル) - [1-(1-メチル-1H-インドル-2-イルメチル) - ピペリジン-4-イルメチル] - アミンの合成

(1H-ベンズイミダゾール-2-イル) - ピペリジン-4-イルメチル-アミン (20.0 mg、0.09 mmol) のジメチルホルムアミド-酢酸 (10:1) 溶液 (1.0 mL) に、1-メチル-1H-インドル-2-カルボアルデヒド (0.26 mmol) とナトリウムトリアセトキシボロヒドリド (0.26 mmol) を加え、室温で終夜攪拌した。反応溶液にメタノール (1.0 mL) を加え、反応を停止し、1時間攪拌後、溶液をSCX (ボンドエルト SCX 500 MG: カチオン性イオン交換樹脂、バリアン製) に付した。メタノール、続いてクロロホルム/メタノール (1/1) 混合溶液で、SCXを洗浄後、2規定アンモニアメタノール溶液で溶出した。溶媒を減圧下に留去し (1H-ベンズイミダゾール-2-イル) - [1-(1-メチル-1H-インドル-2-イルメチル) - ピペリジン-4-イルメチル] - アミンを得た。LC-MSにより同定した。

収量 18 mg、収率 54%、純度 86%、実測値 ESI/MS m/e 374

2 (M+1)。

【0491】

[実施例 1-2-2 から実施例 1-2-169]

化合物番号 1-2-2 から 1-2-169 の化合物は、実施例 1-2-1 に従い、対応する原料より合成した。結果を表 10 に示す。

【0492】

[参考例 1-2-4]

#### 4-フェニルブチルアルデヒドの合成

ニクロム酸ピリジニウム (451.5 mg、1.20 mmol) のジクロロメタン溶液 (3.33 ml) に、モレキュラーシーブス MS4A (商品名、乾燥剤、和光純薬製) (451.5 mg) を加え、1 時間攪拌した。懸濁溶液に、4-フェニルブタノール (154  $\mu$ l、1.00 mmol) を加え、室温で 1.5 時間攪拌した。反応懸濁溶液をシリカゲルろ過し、濾液を減圧下に濃縮し、4-フェニルブチルアルデヒドを得た。収量 38.45 mg、収率 26%。

【0493】

[実施例 1-2-170]

(1H-ベンズイミダゾール-2-イル) - [1-(4-フェニルブチル) - ピペリジン-4-イルメチル] - アミンの合成

(1H-ベンズイミダゾール-2-イル) - ピペリジン-4-イルメチルアミン (30.32 mg、0.10 mmol) と、得られた 4-フェニルブチルアルデヒド (38.45 mg) のジクロロエタン (1.0 ml) とジメチルホルムアミド (0.5 ml) 混合溶液に、酢酸 (28.6  $\mu$ l) とナトリウムトリアセトキシボロヒドリド (52.99 mg、0.25 mmol) を加え、室温で終夜攪拌した。反応懸濁溶液を SCX (ボンドエルト SCX500MG) に付し、SCX をクロロホルム-メタノール (1:1) 混合溶液で洗浄した。次いで、2 規定アンモニアメタノール溶液で溶出し、溶媒を減圧下に留去し、残渣を得た。残渣を、HPLC 分取により精製し、(1H-ベンズイミダゾール-2-イル) - [1-(4-フェニルブチル) - ピペリジン-4-イルメチル] - アミンを得た。LC-MS により同定した。

収量 19.44 mg、収率 54%、純度 89.8%、実測値 ESI/MS m/e 363.2 (M+1)。

【0494】

[実施例 1-2-171]

化合物番号 1-2-171 の化合物は、参考例 1-2-4 に従い、合成した原料アルデヒドを用いて、実施例 1-2-170 に従い合成した。結果を表 10 に示す。

【0495】

[実施例 1-2-172]

(1H-ベンズイミダゾール-2-イル) - [1-(6-メトキシ-1-メチル-1H-インドール-3-イルメチル) - ピペリジン-4-イルメチル] - アミンの合成

(1H-ベンズイミダゾール-2-イル) - ピペリジン-4-イルメチル-アミン (20 mg、0.09 mmol)、(6-メトキシ-1-メチル-1H-インドール-3-イルメチル) - トリメチルアンモニウムヨウ化物 (0.1 mmol)、無水炭酸カリウム (5 mg、0.11 mmol) に、無水アセトニトリル (2 ml) を加え、50℃で 12 時間攪拌した。室温に冷却し、シリカゲルショートカラムに付した後、分取 HPLC により精製し、(1H-ベンズイミダゾール-2-イル) - [1-(6-メトキシ-1-メチル-1H-インドール-3-イルメチル) - ピペリジン-4-イルメチル] - アミンを得た。LC-MS により同定した。

収量 5.66 mg、収率 13%、純度 96.3%、実測値 ESI/MS m/e 404.4 (M+1)。

【0496】

[実施例 1-2-173 から実施例 1-2-180]

化合物番号 1-2-173 から化合物番号 1-2-180 までの化合物は、実施例 1-2-172 に従い、対応する各種ハロゲン化物、又は 4 級アンモニウムハロゲン化物より合成した。結果を表 10 に示す。

【0497】

【表381】

表10

化合物No.1-2-	収量(mg)	収率(%)	MW	M+1
1	28	68	373.5	374.2
2	29	54	370.5	371.2
3	11	41	320.4	321.2
4	23	76	354.9	355.2
5	9	29	334.5	335.2
6	28	82	388.4	389.2
7	23	74	362.5	363.2
8	21	71	345.4	346.2
9	33	96	398.5	399.2
10	16	48	378.5	379.2
11	21	68	350.5	351.2
12	16	82	326.5	327.2
13	8	40	334.5	335.2
14	19	91	348.5	349.3
15	25	78	359.5	360.2
16	18	54	373.5	374.2
17	12	42	310.4	311.2
18	21	70	326.5	327.1
19	22	62	390.6	391.2
20	14	48	321.4	322.2
21	15	53	321.4	322.2
22	15	46	371.5	372.2
23	14	41	371.5	372.2
24	17	57	327.5	328.1
25	21	75	310.4	311.2
26	22	79	310.4	311.2
27	24	65	414.6	415.2
28	6	17	413.6	414.2
29	23	69	374.5	375.2
30	20	70	334.5	335.6
31	15	50	345.4	346.5
32	22	63	396.5	397.2
33	21	68	350.5	351.2

【0498】

【表 382】

表10のつづき1

34	18	58	354.9	355.3
35	15	43	389.3	389.4
36	21	68	354.9	355.3
37	16	51	365.4	366.3
38	15	45	388.4	389.4
39	15	43	399.3	399.1
40	16	54	334.5	335.4
41	15	53	336.4	337.2
42	22	74	336.4	337.2
43	13	41	363.5	364.2
44	18	54	377.5	378.2
45	21	68	364.5	365.2
46	11	33	378.5	379.2
47	15	46	378.5	379.2
48	17	45	426.6	427.2
49	23	63	426.6	427.2
50	22	69	370.5	371.4
51	21	66	364.5	365.3
52	18	57	360.5	361.2
53	21	57	420.9	421.5
54	21	55	396.5	397.4
55	7	20	388.5	389.3
56	10	41	403.5	404.2
57	3	13	387.5	388.2
58	22	100	338.4	339.2
59	22	67	321.4	322.2
60	19	56	338.4	339.1
61	24	68	350.5	351.2
62	23	100	378.5	379.2
63	30	100	412.5	413.2
64	17	70	404.4	405.1
65	28	100	389.3	389.1
66	14	57	406.4	407.1
67	30	83	364.4	365.1
68	20	43	456.4	457.1

【0499】

【表 3 8 3】

表10のつづき2

69	28	78	352.4	353.2
70	29	69	412.5	413.2
71	33	78	426.6	427.2
72	34	86	399.3	400.1
73	28	82	345.4	346.2
74	24	54	442.6	443.2
75	25	68	365.4	366.2
76	35	81	426.6	427.2
77	26	57	447.0	447.2
78	28	72	380.5	381.2
79	22	58	380.5	381.2
80	17	78	362.5	363.2
81	20	90	370.9	371.1
82	20	90	372.9	373.1
83	19	69	456.6	457.2
84	8	32	417.3	417.1
85	12	47	429.4	429.2
86	17	69	408.5	409.3
87	18	79	381.4	382.2
88	20	87	381.4	382.2
89	11	46	399.9	400.1
90	20	88	378.5	379.2
91	16	73	364.4	365.2
92	15	58	430.5	431.3
93	16	67	400.5	401.3
94	20	81	413.6	414.3
95	12	50	400.5	401.3
96	13	52	414.6	415.3
97	19	78	408.5	409.3
98	22	62	350.5	351.5
99	10	27	380.4	381.2
100	29	80	366.5	367.1
101	3	6	456.6	457.3
102	13	37	352.4	353.2
103	15	40	366.5	367.2

【0 5 0 0】

【表 3 8 4】

表10のつづき3

104	15	56	449.4	450.2
105	15	61	410.5	411.3
106	16	69	389.3	389.2
107	11	51	356.4	357.2
108	10	39	422.9	423.2
109	10	41	406.4	407.2
110	2	8	392.5	393.7
111	4	15	395.5	396.3
112	6	27	359.5	360.3
113	16	47	376.5	377.3
114	19	50	420.6	421.4
115	4	11	420.6	421.4
116	14	40	401.5	402.4
117	17	54	370.9	371.2
118	14	39	415.3	417.1 (Br)
119	8	25	381.4	382.2
120	7	21	383.4	384.2
121	10	32	354.4	355.2
122	6	17	392.5	393.3
123	18	56	368.5	369.2
124	22	61	417.3	419.1 (Br)
125	26	69	429.4	429.2
126	21	67	366.5	367.3
127	27	85	443.4	445.2 (Br)
128	23	66	399.9	400.2
129	31	91	394.5	395.3
130	20	61	370.4	371.2
131	30	91	372.9	373.2
132	22	60	422.6	423.2
133	22	70	364.5	365.2
134	22	72	352.5	353.2
135	19	57	399.9	400.1
136	24	74	378.5	379.2
137	3	91	420.4	421.3
138	11	35	348.5	349.3

【0 5 0 1】

【表 3 8 5】

表10のつづき4				
139	10	28	424.5	425.3
140	8	25	380.5	381.2
141	20	51	455.6	456.3
142	13	37	404.6	405.3
143	13	38	389.3	389.1
144	17	43	450.5	451.3
145	20	58	400.5	401.3
146	24	63	437.6	438.3
147	21	61	390.6	391.2
148	5	17	336.4	337.1
149	11	33	364.4	365.1
150	7	19	405.3	405.1
151	2	6	386.5	387.1
152	5	14	386.5	387.1
153	1	4	428.5	429.2
154	8	8	369.9	370.1
155	6	20	365.4	366.1
156	5	16	365.4	366.1
157	6	20	338.4	366.1
158	10	12	335.5	336.1
159	11	29	420.5	421.1
160	9	23	462.3	463.1
161	17	53	364.4	365.1
162	10	26	449.8	451.0 (Br)
163	23	23	371.5	372.1
164	17	17	386.4	387.1
165	7	20	384.9	385.1
166	5	10	588.2	589.0
167	14	38	438.9	439.2
168	9	23	438.9	439.1
169	15	46	370.9	371.1
170	19	54	362.5	363.2
171	31	82	376.5	377.3
172	6	13	403.5	404.4
173	3	8	387.5	388.3

【0 5 0 2】



【表 386】

表10のつづき5

174	6	18	387.5	388.2
175	23	70	384.5	385.2
176	9	27	384.5	385.2
177	8	22	401.6	402.3
178	5	13	387.5	388.2
179	7	20	387.5	388.4
180	2	5	449.6	450.5

【0503】

[参考例 1-3-1]

4-[(4-ニトロ-1H-ベンズイミダゾール-2-イルアミノ)-メチル]-  
 -ピペリジン-1-カルボン酸 tert-ブチルエステルの合成

4-アミノメチル-ピペリジン-1-カルボン酸 tert-ブチルエステル (2 g) をアセトニトリル (100 ml) に溶かし、0℃で、チオカルボニルジイミダゾール (2 g) とイミダゾール (0.2 g) を加えた。室温で2時間30分攪拌後、3-ニトロ-1,2-フェニレンジアミン (2.1 g) を加え、50℃に昇温し、12時間攪拌した。ジイソプロピルカルボジイミド (2.4 g) を加え、3時間30分還流させた後、溶媒を減圧下に除去し、得られた残渣をシリカゲルクロマトグラフィー (ジクロロメタン/ヘキサン=7/3→1/0) により精製し、4-[(4-ニトロ-1H-ベンズイミダゾール-2-イルアミノ)-メチル]-ピペリジン-1-カルボン酸 tert-ブチルエステル得た。LC-MSにより同定した。

収量 3.5 g、収率 100%、純度 95%、実測値 ESI/MS m/e 376.4 (M+1)。

【0504】

[参考例 1-3-2]

(4-ニトロ-1H-ベンズイミダゾール-2-イル)-ピペリジン-4-イル  
 メチル-アミンの合成

4-[(4-ニトロ-1H-ベンズイミダゾール-2-イルアミノ)-メチル

] -ピペリジン-1-カルボン酸 *tert*-ブチルエステル (13 mg) をメタノール (1 ml) に溶かし、4 規定塩化水素-1, 4-ジオキサン溶液 (1 ml) を加え、60℃で1時間攪拌した。溶媒を減圧下に留去し、得られた残渣に水酸化ナトリウム水溶液とジクロロメタンを加え、ジクロロメタンで抽出を行った。溶媒を減圧下に留去し、(4-ニトロ-1H-ベンズイミダゾール-2-イル)-ピペリジン-4-イルメチル-アミンを得た。LC-MSにより同定した。収量8 mg、収率83%、純度100%、実測値ESI/MS  $m/e$  276.1 (M+1)。

## 【0505】

## [参考例1-3-3]

(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (4-ニトロ-1H-ベンズイミダゾール-2-イル) -アミンの合成

(4-ニトロ-1H-ベンズイミダゾール-2-イル) -ピペリジン-4-イルメチル-アミン (450 mg、1 mmol) のジメチルホルムアミド-酢酸 (10:1) 溶液 (7 mL) に、1-ナフトアルデヒド (3 mmol) とナトリウムトリアセトキシボロヒドリド (3 mmol) を加え、室温で終夜攪拌した。水とジクロロメタンを加え、ジクロロメタンで抽出を行った。溶媒を減圧下に留去した後、シリカゲルカラムクロマトグラフィー (酢酸エチルエステル/トリエチルルアミン=100/0→98/2) により精製し、(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (4-ニトロ-1H-ベンズイミダゾール-2-イル) -アミンを得た。LC-MSにより同定した。

収量500 mg、収率100%、純度100%、実測値ESI/MS  $m/e$  394.0 (M+1)。

## 【0506】

## [参考例1-3-4]

4-アミノ-2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-ベンズイミダゾール-1-カルボン酸 *tert*-ブチルエステルの合成

(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (4-

ニトロ-1H-ベンズイミダゾール-2-イル)-アミン (500 mg) を、1, 4-ジオキサン (15 ml) に溶かし、二炭酸-tert-ブチル (1 g) を加え、50℃で2時間攪拌した。溶媒を減圧下に除去し、得られた残渣をヘキサン (5 ml × 5) で洗浄した。残渣をテトラヒドロフラン (10 ml) に溶かし、ラネーニッケル (500 mg) を加え、水素気流下室温で終夜攪拌した。反応液をセライト濾過した後、溶媒を減圧下に留去し、4-アミノ-2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-ベンズイミダゾール-1-カルボン酸 tert-ブチルエステルを得た。LC-MSにより同定した。

収量 200 mg、収率 39%、純度 100%、実測値 ESI/MS m/e 464.3 (M+1)。

【0507】

[実施例 1-3-1]

3-アセチルアミノ-N-{2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-イル}-プロピオンアミドの合成

4-アミノ-2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-ベンズイミダゾール-1-カルボン酸 tert-ブチルエステル (0.02 mmol) をテトラヒドロフラン (1 ml) に溶かし、ジイソプロピルカルボジイミド (0.05 mmol) と1-ヒドロキシベンゾトリアゾール-水和物 (0.05 mmol) と3-アセチルアミノプロピオン酸 (0.05 mmol) を加え、室温で終夜攪拌した。反応液に、4規定塩化水素-1, 4-ジオキサン溶液 (1 ml) を加え、50℃で1時間攪拌後、溶媒を減圧下に除去し、得られた残渣にジクロロメタンと5規定水酸化ナトリウム水溶液を加え攪拌した。有機層をSCX (ボンドエルト SCX 500 MG) に付し、メタノールでSCXを洗浄後、2規定アンモニアメタノール溶液で溶出した。溶媒を減圧下に留去し、3-アセチルアミノ-N-{2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-イル}-プロピオンアミドを得た。LC-MSにより同定した。

収量 3. 6 mg、収率 78%、純度 100%、実測値 ESI/MS  $m/e$  463. 3 (M+1)。

【0508】

[実施例 1-3-2 から実施例 1-3-8]

化合物番号 1-3-2 から 1-3-8 の化合物は、参考例 1-3-1 から参考例 1-3-4、及び実施例 1-3-1 に従い、対応する原料より合成した。結果を表 11 に示す。

【0509】

[実施例 1-3-9]

N-(2-{[1-(3, 4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-イル)-ブチルアミドの合成

4-アミノ-2-{[1-(3, 4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-ベンズイミダゾール-1-カルボン酸 tert-ブチルエステル (10 mg、0. 02 mmol) を、テトラヒドロフラン (1 ml) に溶かし、トリエチルアミン (5. 6  $\mu$ l、0. 04 mmol) とブチルクロリド (8. 3  $\mu$ l、0. 08 mmol) を加え、室温で 1 時間 30 分攪拌した。反応液に 4 規定塩化水素-1, 4-ジオキサン溶液 (1 ml) を加え、50℃で 2 時間攪拌した。溶媒を減圧下に除去し、得られた残渣にジクロロメタンと 5 規定水酸化ナトリウム水溶液を加え、攪拌後、有機層を SCX (ボンドエルト SCX 500 MG) に付した。メタノールで SCX を洗浄後、2 規定アンモニアメタノール溶液で溶出した。溶媒を減圧下に留去し、N-(2-{[1-(3, 4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-イル)-ブチルアミドを得た。LC-MS により同定した。

収量 4. 9 mg、収率 52%、純度 100%、実測値 ESI/MS  $m/e$  474. 0 (M+1)。

なお、原料である 4-アミノ-2-{[1-(3, 4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-ベンズイミダゾール-1-カルボン酸 tert-ブチルエステルは、参考例 1-3-1 から参考例 1-3-4 に従い、対応する原料より合成した。

## 【 0 5 1 0 】

[実施例 1 - 3 - 1 0 から実施例 1 - 3 - 5 6]

化合物番号 1 - 3 - 1 0 から 1 - 3 - 5 6 の化合物は、実施例 1 - 3 - 9 に従い、対応する原料より合成した。結果を表 1 1 に示す。

## 【 0 5 1 1 】

[実施例 1 - 3 - 5 7]

プロパン-1-スルホン酸 (2 - { [1 - (3, 4-ジクロロ-ベンジル) - ピペリジン-4-イルメチル] - アミノ} - 1H-ベンズイミダゾール-4-イル) - アミドの合成

4-アミノ-2 - { [1 - (3, 4-ジクロロ-ベンジル) - ピペリジン-4-イルメチル] - アミノ} - ベンズイミダゾール-1-カルボン酸 tert-ブチルエステル (10 mg、0.02 mmol) を、テトラヒドロフラン (1 ml) に溶かし、トリエチルアミン (0.04 mmol) とプロパン-1-スルホニルクロリド (0.08 mmol) を加え、室温で終夜攪拌した。反応液に 4 規定塩化水素-1, 4-ジオキサン溶液 (1 ml) を加え、50℃で 1 時間攪拌した。溶媒を減圧下に除去し、得られた残渣にジクロロメタンと 5 規定水酸化ナトリウム水溶液を加え、攪拌後、有機層を SCX (ボンドエルト SCX 500 MG) に付した。メタノールで SCX を洗浄後、2 規定アンモニアメタノール溶液で溶出した。溶媒を減圧下に留去し、プロパン-1-スルホン酸 (2 - { [1 - (3, 4-ジクロロ-ベンジル) - ピペリジン-4-イルメチル] - アミノ} - 1H-ベンズイミダゾール-4-イル) - アミドを得た。LC-MS により同定した。

収量 0.8 mg、収率 8%、純度 100%、実測値 ESI/MS m/e 510.1 (M+1)。

## 【 0 5 1 2 】

[実施例 1 - 3 - 5 8]

化合物番号 1 - 3 - 5 8 の化合物は、実施例 1 - 3 - 5 7 に従い、対応する原料より合成した。結果を表 1 1 に示す。

## 【 0 5 1 3 】

## [実施例 1-3-59]

1-(2-{[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-イル)-3-エチル-ウレアの合成

4-アミノ-2-{[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-ベンズイミダゾール1-カルボン酸 *tert*-ブチルエステル (10 mg, 0.02 mmol) をアセトニトリル (1 ml) に溶かし、エチルイソシアナート (0.08 mmol) を加え、室温で終夜攪拌した。反応液に、4 規定塩化水素-1,4-ジオキサン溶液 (1 ml) を加え、50℃で1時間攪拌後、反応液を SCX (ボンドエルト SCX 500 MG) に付した。メタノールで SCX を洗浄後、2 規定アンモニアメタノール溶液で溶出した。溶媒を減圧下に留去し、1-(2-{[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-イル)-3-エチル-ウレアを得た。LC-MS により同定した。

収量 1.6 mg、収率 17%、純度 96%、実測値 ESI/MS m/e 475.0 (M+1)。

## 【0514】

## [実施例 1-3-60]

化合物番号 1-3-60 の化合物は、実施例 1-3-59 に従い、対応する原料より合成した。結果を表 11 に示す。

## 【0515】

## [実施例 1-3-61]

N2-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-1H-ベンズイミダゾール-2,4-ジアミンの合成

4-アミノ-2-{[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-アミノ}-ベンズイミダゾール1-カルボン酸 *tert*-ブチルエステル (10 mg, 0.02 mmol) を、メタノール (1 ml) に溶かし、4 規定塩化水素-1,4-ジオキサン溶液 (1 ml) を加え、50℃で1時間攪拌した。反応液を SCX (ボンドエルト SCX 500 MG) に付し、メタノ

ールでSCXを洗浄後、2規定アンモニアメタノール溶液で溶出した。溶媒を減圧下に留去し、N2-[1-(3,4-ジクロロベンジル)-ピペリジン-4-イルメチル]-1H-ベンズイミダゾール-2,4-ジアミンを得た。LC-MSにより同定した。

収量6.5mg、収率80%、純度100%、実測値ESI/MS m/e 404.1 (M+1)。

【0516】

[実施例1-3-62]

化合物番号1-3-62の化合物は、実施例1-3-61に従い、対応する原料より合成した。結果を表11に示す。

【0517】

【表 3 8 7】

表11

化合物No.1-3-	収量(mg)	収率(%)	MW	M+1
1	0.4	4	498.6	499.3
2	2	24	490.4	489.9
3	4	39	462.6	463.3
4	5	50	476.6	477.1
5	1	12	484.6	485.4
6	2	23	499.0	499.1
7	3	29	490.4	490.1
8	3	30	475.4	475.1
9	5	52	474.4	474.0
10	4	16	488.5	488.4
11	4	12	565.5	565.4
12	4	14	557.5	557.5
13	24	92	520.5	520.4
14	1	3	551.5	551.5
15	39	100	514.5	514.4
16	2	8	499.4	499.4
17	28	100	509.4	509.5
18	30	100	506.5	506.4
19	3	11	488.5	488.4
20	1	4	546.5	546.4
21	30	100	509.4	509.5
22	31	100	509.4	509.5
23	29	100	552.5	552.4
24	1	4	553.4	553.4
25	14	53	528.5	528.4
26	17	67	514.5	514.4
27	2	8	498.4	498.4
28	19	73	514.5	514.4
29	15	57	528.5	528.4
30	17	68	500.5	500.5
31	4	15	486.4	486.4
32	21	89	472.4	472.4
33	20	86	460.4	460.4

【0 5 1 8】



【表 388】

表11のつづき1

34	40	100	458.4	458.4
35	16	66	476.4	476.3
36	19	69	552.5	538.4
37	19	80	474.4	474.2
38	19	76	488.5	488.3
39	19	71	522.5	522.5
40	1	4	538.5	538.4
41	3	11	542.9	542.4
42	17	65	508.5	508.4
43	5	22	446.4	446.1
44	7	68	518.4	518.0
45	8	76	522.5	522.0
46	9	88	536.5	536.3
47	2	6	504.4	504.0
48	2	7	503.4	503.3
49	3	10	476.4	476.0
50	2	6	475.4	475.2
51	5	19	517.5	517.1
52	6	67	446.4	446.1
53	6	55	536.5	536.3
54	5	47	474.4	474.0
55	2	23	522.5	522.0
56	1	10	504.4	503.9
57	1	8	510.5	510.1
58	4	37	510.5	510.2
59	2	19	475.4	475.1
60	2	17	475.4	475.0
61	7	80	404.3	404.1
62	9	72	404.3	404.1

【0519】

[参考例 1-4-1]

3-ニトロフタル酸の合成

4-ニトロイソベンゾフラン-1, 3-ジオン (20.0g、0.104m

o 1) を、50℃に加温したアンモニア水溶液 (28%、28 ml) に少しずつ加えた。30分間攪拌した後に、反応液を氷冷して、析出物を濾取、乾燥することによりアンモニウム塩を得た。この塩を水 (40 ml) に懸濁し、濃塩酸を滴下して、pH 約 2 に調製した。沈殿した固体を濾取、乾燥して、3-ニトロフタル酸を得た。NMRにより同定した。

収量 12.3 g、収率 56%。

$^1\text{H-NMR}$  (270 MHz,  $\text{CD}_3\text{OD}$ ) :  $\delta$  8.28 (1H, dd,  $J=7.6, 1.2$  Hz), 8.25 (1H, dd,  $J=7.8, 1.2$  Hz), 7.72 (1H, dd,  $J=7.8, 7.6$  Hz) ppm.

【0520】

[参考例 1-4-2]

#### 2-アミノ-3-ニトロ-安息香酸の合成

水酸化カリウム (4.27 g、76.2 mmol) を水 (22 ml) に溶解し、氷冷しながら、臭素 (0.463 ml、9.50 mmol) を滴下した。これに3-ニトロフタル酸 (2.00 g、9.52 mmol) を加え、すべて溶解した後に、60℃で3時間攪拌し、さらに室温で終夜攪拌を続けた。反応液を氷冷し、橙色の析出物を濾取した。これを水 20 ml に溶解し、濃塩酸を滴下して、pH 約 4 に調製した。氷冷後、黄色の析出物を濾取して乾燥し、2-アミノ-3-ニトロ-安息香酸を得た。NMRにより同定した。

収量 1.03 g、収率 59%。

$^1\text{H-NMR}$  (270 MHz,  $\text{CD}_3\text{OD}$ ) :  $\delta$  8.33 (1H, dd,  $J=8.4, 1.7$  Hz), 8.27 (1H, dd,  $J=7.6, 1.7$  Hz), 6.67 (1H, dd,  $J=8.7, 7.6$  Hz) ppm.

【0521】

[参考例 1-4-3]

#### 2-アミノ-3-ニトロ-安息香酸メチルエステルの合成

2-アミノ-3-ニトロ-安息香酸 (1.00 g、5.49 mmol) をメタノール (40 ml) に溶解し、硫酸 (0.50 ml) を加えて、2日間加熱還流した。反応液を室温に冷却後、飽和炭酸水素ナトリウム水溶液で、pH 約 9 に調

製して、約10mlに減圧濃縮した。水(20ml)を加えてから、酢酸エチルエステル(10ml×3回)で抽出し、得られた有機層を無水硫酸マグネシウムで乾燥させた。これを減圧濃縮して生じた結晶を乾燥し、2-アミノ-3-ニトロ-安息香酸メチルエステルを得た。NMRにより同定した。

収量661.4mg、収率61%。

$^1\text{H-NMR}$  (270MHz,  $\text{CDCl}_3$ ) :  $\delta$  8.50 (br), 8.37 (1H, dd,  $J=8.6, 1.4$  Hz), 8.23 (1H, dd,  $J=7.6, 1.4$  Hz), 6.65 (1H, dd,  $J=8.6, 7.6$  Hz), 3.92 (3H, s) ppm.

【0522】

[参考例1-4-4]

#### 2, 3-ジアミノ-安息香酸メチルエステルの合成

2-アミノ-3-ニトロ-安息香酸メチルエステル(661mg, 3.37mmol)をメタノール(30ml)に溶解し、窒素気流下で、10%パラジウム-カーボン粉末(5mol%)を加え、水素雰囲気下で1時間攪拌した。反応液をセライトを通じて濾過し、得られた濾液を減圧濃縮した。残渣をシリカゲルカラムクロマトグラフィー( $n$ -ヘキサン/酢酸エチルエステル=2/1)で精製して、2, 3-ジアミノ-安息香酸メチルエステルを得た。NMRにより同定した。

収率517.2mg、収量92%。

$^1\text{H-NMR}$  (270MHz,  $\text{CDCl}_3$ ) :  $\delta$  7.46 (1H, dd,  $J=8.2$  Hz, 1.5 Hz), 6.85 (1H, dd,  $J=8.2$  Hz, 1.5 Hz), 6.60 (1H, t,  $J=8.2$  Hz), 5.53 (br), 3.87 (3H, s), 3.35 (br) ppm.

【0523】

[参考例1-4-5]

#### 2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステルの合成

4-アミノメチル-ピペリジン-1-カルボン酸tert-ブチルエステル(

3. 29 g、15.4 mmol) をアセトニトリル (40 ml) に溶解し、氷浴で冷却した。これに1, 1-チオカルボニルジイミダゾール (3.28 g、18.4 mmol) 及びイミダゾール (314 mg、4.6 mmol) のアセトニトリル溶液 (30 ml) を滴下し、室温まで昇温しながら2時間攪拌した。反応液に2, 3-ジアミノ安息香酸メチルエステル (3.07 g、18.5 mmol) を加え、50℃で終夜攪拌した。その後に、ジイソプロピルカルボジイミド (2.84 ml、18.5 mmol) を加えて80℃で2時間攪拌した。反応液を減圧濃縮して得られた残渣を、シリカゲルカラムクロマトグラフィー (n-ヘキサン/酢酸エチルエステル=3/2→酢酸エチルエステル/メタノール/トリエチルアミン=10/1/0.1) で精製して、2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステルを得た。LC-MSにより同定した。収量5.47 g、収率91.4%、 $[M+1] = 389.2$ 。

## 【0524】

## [参考例1-4-6]

2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステルの合成

2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステル (2.28 g、5.87 mmol) をメタノール (3 ml) に溶解し、4規定塩化水素-1, 4-ジオキサン溶液 (10 ml、40 mmol) を加え、室温で終夜攪拌した。析出した結晶を濾取し、酢酸エチルエステルで洗浄後に乾燥して、2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステルを得た。LC-MSにより同定した。

収量1.19 g、収率56.1%、 $[M+1] = 289.2$ 。

## 【0525】

## [実施例1-4-1]

2-{[1-(3, 5-ジクロロ-2-ヒドロキシベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-カルボン酸メチ

## ルエステルの合成

2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステル(20mg、0.055mmol)をジメチルスルホキシド-酢酸(10:1)に溶解し、2-ヒドロキシ-3,5-ジクロロベンズアルデヒド(32.0mg、0.166mmol)及びナトリウムトリアセトキシボロヒドリド(35.0mg、0.166mg)を加え、50℃で2日間攪拌した。反応液にメタノール(1ml)を加えて、1分間攪拌した後に、SCX固相抽出(ボンドエルトSCX500MG)にて精製した。これをさらに分取HPLCで精製して、2-{[1-(3,5-ジクロロ-2-ヒドロキシ-ベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-カルボン酸メチルエステルを得た。LC-MSにより同定した。収量10.1mg、収率39.3%、純度94.0%、 $[M+1]=463.1$

【0526】

[実施例1-4-2から実施例1-4-9]

化合物番号1-4-2から1-4-9までの化合物は、実施例1-4-1に従い、対応する原料より合成した。結果を表12に示す。

【0527】

[参考例1-4-7]

2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸の合成

2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸メチルエステル(5.47g、14.1mmol)をメタノール(60ml)に溶解し、水酸化リチウム水溶液(4mol/L、20ml、80mmol)を加え、50℃で終夜攪拌した。反応液を氷浴で冷却し、6規定塩酸(5ml)を滴下した。さらに1規定塩酸を徐々に加えながら、pH約7.5に調製し、氷浴中1時間攪拌を続けた。析出物を濾取して、酢酸エチルエステル及び水で洗浄した。これを減圧乾燥して2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸を得た。LC-MSによ

り同定した。

収量 3.68 g、収率 69.7%、 $[M+1] = 375.2$ 。

【0528】

[参考例 1-4-8]

4- { [4- (2-メトキシエチルカルバモイル) -1H-ベンズイミダゾール-2-イルアミノ] -メチル} -ピペリジン-1-カルボン酸 tert-ブチルエステルの合成

2- [ (1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-4-カルボン酸 (1.20 g、3.20 mmol) を、ジメチルホルムアミドとテトラヒドロフランの混合溶媒 (1:1, 20 ml) に懸濁させ、1-ヒドロキシベンゾトリアゾール-水和物 (737 mg、4.81 mmol) 及び 2-メトキシエチルアミン (0.42 ml、4.8 mmol) を加えた。さらに 1-エチル-3- (3-ジメチルアミノプロピル) カルボジイミド塩酸塩 (1.90 g、6.40 mmol) を加えた後、室温で 4 時間攪拌した。反応液に水 (100 ml) を加え、酢酸エチルエステル (150 ml × 3 回) で抽出し、有機層を飽和食塩水 (100 ml) で洗浄後、無水硫酸マグネシウムで乾燥させた。これを減圧濃縮して得られた残渣を、シリカゲルカラムクロマトグラフィー (酢酸エチルエステル/メタノール = 30/1) で精製して、4- { [4- (2-メトキシエチルカルバモイル) -1H-ベンズイミダゾール-2-イルアミノ] -メチル} -ピペリジン-1-カルボン酸 tert-ブチルエステルを得た。LC-MS により同定した。

収量 1.30 g、収率 94.1%、純度:  $[M+1] = 432.2$ 。

【0529】

[参考例 1-4-9]

2- [ (ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-4-カルボン酸 (2-メトキシエチル) -アミドの合成

4- { [4- (2-メトキシエチルカルバモイル) -1H-ベンズイミダゾール-2-イルアミノ] -メチル} -ピペリジン-1-カルボン酸 tert-ブチルエステル (1.30 g、3.01 mmol) をメタノール (1 ml) に溶解

し、4 規定塩化水素-1, 4-ジオキサン溶液 (7.0 ml、28.0 mmol) を加え、50℃で1時間攪拌した。反応液を減圧濃縮、真空乾燥して、2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸 (2-メトキシエチル)-アミドを得た。LC-MSにより同定した。

収量1.23 g、収率100%、純度:  $[M+1] = 332.2$ 。

#### 【0530】

##### [実施例1-4-10]

2-{[1-(5-クロロ-2-ヒドロキシベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-カルボン酸 (2-メトキシエチル)-アミドの合成

2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-4-カルボン酸 (2-メトキシエチル)-アミド (20 mg、0.049 mmol) を、ジメチルスルホキシド-酢酸 (10:1、0.50 ml) に溶解し、2-ヒドロキシ-5-クロロベンズアルデヒド (23 mg、0.15 mmol)、及びナトリウムトリアセトキシボロヒドリド (31 mg、0.15 mmol) を加え、50℃で2日間攪拌した。反応液にメタノール (1 ml) を加えて、1分間攪拌した後に、SCX固相抽出 (ボンドエルト SCX500 MG) にて精製した。これをさらに分取HPLCで精製して、2-{[1-(5-クロロ-2-ヒドロキシベンジル)-ピペリジン-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-カルボン酸 (2-メトキシエチル)-アミドを得た。LC-MSにより同定した。

収量9.4 mg、収率40.6%、純度94.0%、 $[M+1] = 472.2$ 。

#### 【0531】

##### [実施例1-4-11から実施例1-4-17]

化合物番号1-4-11から1-4-17の化合物の合成は、実施例1-4-10に従い、対応する原料より合成した。結果を表12に示す。

#### 【0532】

##### [参考例1-4-10]

2- { [1- (3, 5-ジクロロ-2-ヒドロキシーベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-4-カルボン酸の合成

2- { [1- (3, 5-ジクロロ-2-ヒドロキシーベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-4-カルボン酸メチルエステル (993 mg, 2.14 mmol) をメタノール (10 ml) に懸濁し、水酸化リチウム水溶液 (4M, 5.4 ml, 21.4 mmol) を加えた。反応液を 50℃ で 2 時間攪拌した後に、室温に冷却した。これに 1 規定塩酸を滴下して、pH 約 6.0 に調製した。酢酸エチルエステル (1 ml) を加えて 3 時間攪拌した後に、析出物を濾取し、2- { [1- (3, 5-ジクロロ-2-ヒドロキシーベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-4-カルボン酸を得た。LC-MS により同定した。

収量 802.6 mg、収率 83.5%、 $[M+1] = 449.1$ 。

【0533】

[実施例 1-4-18]

2- { [1- (3, 5-ジクロロ-2-ヒドロキシーベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-4-カルボン酸イソプロピルアミドの合成

2- { [1- (3, 5-ジクロロ-2-ヒドロキシーベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-4-カルボン酸 (30.0 mg, 0.0668 mmol) を、ジメチルホルムアミド (0.50 ml) に懸濁させた。これに 1-ヒドロキシベンゾトリアゾール-水和物 (30.6 mg, 0.200 mmol)、イソプロピルアミン (11.8 mg, 0.200 mmol)、ジイソプロピルカルボジイミド (30.8  $\mu$ l, 0.200 mmol) を加え、40℃ で終夜攪拌した。反応液にメタノール (2 ml) を加えて、10 分間攪拌した後に、反応液を SCX (ボンドエルト SCX500MG) に付し、メタノールで SCX を洗浄した。2 規定アンモニアメタノール溶液で溶出後、溶媒を減圧下に留去し、残渣を得た。残渣を分取 HPLC により精製して、2- { [1- (3, 5-ジクロロ-2-ヒドロキシーベンジル) -ピペリジン



-4-イルメチル]-アミノ}-1H-ベンズイミダゾール-4-カルボン酸イソプロピルアミドを得た。LC-MSにより同定した。

収量25.6mg、収率78.1%、純度97.3%、 $[M+1]=490.1$

【0534】

[実施例1-4-19から実施例1-4-30]

化合物番号1-4-19から1-4-30の化合物は、実施例1-4-18に従い、対応する原料より合成した。結果を表12に示す。

【0535】

【表 3 8 9】

表12				
化合物No.1-4-	収量(mg)	収率(%)	MW	M+1
1	10	39	463.4	463.1
2	19	80	428.9	429.1
3	28	100	473.4	473.1
4	18	74	439.5	440.1
5	26	100	428.5	429.2
6	28	100	431.5	432.2
7	28	100	434.6	435.1
8	24	98	406.5	407.2
9	294	44	447.4	447.1
10	9	41	472.0	472.2
11	11	42	516.4	518.1(Br)
12	17	74	482.5	483.2
13	12	49	506.4	506.1
14	6	27	471.6	472.2
15	10	43	474.6	475.2
16	14	59	477.6	478.2
17	22	96	449.6	450.2
18	26	78	490.4	490.1
19	18	56	476.4	476.1
20	24	68	520.5	520.2
21	23	66	518.4	518.1
22	4	22	517.5	517.3
23	9	51	503.5	503.3
24	13	67	575.5	575.3
25	2	12	518.4	518.3
26	21	116	518.4	518.3
27	11	62	490.4	490.2
28	11	61	522.5	522.3
29	13	69	536.5	536.3
30	7	84	475.4	475.2

【0 5 3 6】

[参考例 1 - 5 - 1]

## 3, 4-ジアミノ安息香酸エチルエステルの合成

3, 4-ジアミノ安息香酸 (2. 0 0 3 g、1 3. 1 7 m m o l)、トリフェニルホスフィン (4. 2 4 8 g、1 6. 2 0 m m o l) をトルエン (2 0 m l) とテトラヒドロフラン (1 0 m l) に懸濁させた。エタノール (2 m l) を加えて得られた茶褐色懸濁液に、アゾジカルボン酸ジイソプロピル (2. 5 m l、9. 9 6 m m o l) を滴下し、室温で 3. 5 時間攪拌した。さらにアゾジカルボン酸ジイソプロピル (1. 5 m l、5. 9 8 m m o l) を滴下して、室温で 1 時間攪拌し、得られた反応混合物から 1 規定塩酸 (1 0 0 m l × 2 回) により抽出し、水層を酢酸エチルエステル 5 0 m l で洗浄した。水層へ 2 規定水酸化ナトリウム水溶液を加えて、p H > 1 1 とした後、析出物を酢酸エチルエステル (1 0 0 m l で 2 回) 抽出した。有機層を飽和食塩水 (5 0 m l) で洗浄し、無水硫酸ナトリウム上で終夜乾燥させた。乾燥剤の濾別、濾液の濃縮の後、3, 4-ジアミノ安息香酸エチルエステルを薄黄色固体として得た。LC-MSにより同定した。

収量 1. 5 4 7 g、収率 6 5 %、実測値 E S I / M S m / e 1 8 1. 1 (M + 1)。

## 【 0 5 3 7 】

下記の化合物についても、参考例 1-5-1 と同様に、対応する原料を使用して合成した。

3, 4-ジアミノ安息香酸イソプロピルエステル：収量 1. 3 0 2 g、収率 4 9 %

3, 4-ジアミノ安息香酸イソブチルエステル：収量 2. 0 1 4 g、収率 7 2 %

3, 4-ジアミノ安息香酸ベンジルエステル：収量 0. 3 3 1 g、収率 1 0 %

3, 4-ジアミノ安息香酸シクロヘキシルエステル：収量 0. 2 4 5 g、収率 8 %

## 【 0 5 3 8 】

## [参考例 1-5-2]

2-[(1-tert-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸エチルエステル合成

4-アミノメチル-ピペリジン-1-カルボン酸 *tert*-ブチルエステル (0.394 g、1.84 mmol) を、アセトニトリル (3 ml) に溶解した。0℃で、チオカルボニルジイミダゾール (0.340 g、1.91 mmol) とイミダゾール (0.052 g、0.77 mmol) のアセトニトリル溶液 (6 ml) を、3分間かけて滴下した。室温で1時間攪拌後、反応液へ3,4-ジアミノ安息香酸エチルエステル (0.371 g、2.06 mmol) を加え、50℃で5.5時間攪拌した。更に、ジイソプロピルカルボジイミド (0.32 ml) を加え、50℃で終夜攪拌した。得られた反応混合物へ飽和食塩水を加えて、酢酸エチルエステル (100 ml) で抽出し、有機層を無水硫酸ナトリウム上で終夜乾燥させた。乾燥剤の濾別、濾液の濃縮の後に得られた茶褐色油状物を、シリカゲルカラムクロマトグラフィー (ジクロロメタン/メタノール=49/1→19/1) にて精製し、黄色アモルファス状固体の2-[(1-*tert*-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸エチルエステルを得た。

収量0.838 g、収率%、実測値ESI/MS  $m/e$  403.2 ( $M+1$ )。

【0539】

[参考例1-5-3]

2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸エチルエステルの合成

2-[(1-*tert*-ブトキシカルボニル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸エチルエステルをテトラヒドロフラン (2 ml) に溶解し、4規定塩化水素/1,4-ジオキサン溶液 (3 ml) を加えた。不溶物が析出したため、エタノール (5 ml) を加えて溶解し、室温で終夜攪拌した。反応液を濃縮して、赤色アモルファス状固体の2-[(ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸エチルエステルを得た。LC-MSにより同定した。

収量0.942 g、収率100%、実測値ESI/MS  $m/e$  303.1 ( $M+1$ )。

## 【0540】

## [実施例1-5-1]

2- { [1- (3, 5-ジクロロ-2-ヒドロキシベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-5-カルボン酸エチルエステルの合成

2- [ (ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-5-カルボン酸エチルエステル (0.1 mmol) のジメチルホルムアミド-酢酸 (10:1) 溶液 (1.0 ml) に、3, 5-ジクロロ-2-ヒドロキシベンズアルデヒド (0.3 mmol) とナトリウムトリアセトキシボロヒドリド (0.3 mmol) を加え、室温で終夜攪拌した。反応溶液にメタノール (1.0 ml) を加え反応を停止し、1時間攪拌後、溶液をSCX (ボンドエルトSCX500MG) に付した。メタノール、続いてクロロホルム/メタノール (1/1) 混合溶液で、SCXを洗浄後、0.5規定アンモニアジオキサン溶液で溶出した。溶媒を減圧下に留去し、得られた残渣を分取HPLCに付し、2- { [1- (3, 5-ジクロロ-2-ヒドロキシベンジル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-5-カルボン酸エチルエステル得た。LC-MSにより同定した。

収量1.6 mg、収率3.4%、純度98%、実測値ESI/MS m/e 477.1 (M+1)。

## 【0541】

## [実施例1-5-2から実施例1-5-8]

化合物番号1-5-2から1-5-8の化合物は、参考例1-5-1から参考例1-5-3、実施例1-5-1に従い、対応する原料より合成した。結果を表13に示す。

## 【0542】

## [参考例1-5-4]

3, 4-ジアミノ安息香酸メチルエステルの合成

3, 4-ジアミノ安息香酸 (25.0 g、164 mmol) のメタノール溶液 (164 ml) に、0℃でゆっくりと塩化チオニル (13.0 ml、180 mmol)

o 1) を滴下した。室温で終夜攪拌後、更に 80℃ で終夜攪拌した。反応溶液を室温まで冷却し、析出した固体を濾別しメタノールで洗浄した。濾液は減圧下に濃縮して得られた固体を濾別し、メタノールで洗浄した。得られた固体全てを減圧下 60℃ で乾燥させ、3, 4-ジアミノ安息香酸メチルエステルを得た。NMR により同定した。

収量 31.16 g、収率 79%。

$^1\text{H-NMR}$  (270 MHz,  $\text{CDCl}_3$ ) : 3.76 (s, 3H), 6.85 (d, 1H,  $J=8.6\text{ Hz}$ ), 7.63 (dd, 1H,  $J=1.9, 8.6\text{ Hz}$ ), 7.78 (d, 1H,  $J=1.9\text{ Hz}$ )。

【0543】

[実施例 1-5-9 から実施例 1-5-13]

化合物番号 1-5-9 から 1-5-13 の化合物は、参考例 1-5-4、参考例 1-5-2、参考例 1-5-3、実施例 1-5-1 に従い対応する原料より合成した。結果を表 13 に示す。

【0544】

[参考例 1-5-5]

4-メチルアミノ-3-ニトロ-安息香酸メチルエステルの合成

4-フルオロ-3-ニトロ-安息香酸メチルエステル (507.3 mg, 2.55 mmol) をテトラヒドロフラン (1 ml) に溶解し、氷浴中でメチルアミン (2.0 M テトラヒドロフラン溶液、2.55 ml, 5.09 mmol) を加え、室温で終夜攪拌した。反応液を減圧濃縮して、酢酸エチルエステル (20 ml) に溶解し、飽和炭酸水素ナトリウム水溶液、飽和食塩水で洗浄した後に、無水硫酸マグネシウムで乾燥させた。これを減圧濃縮して得られた残渣を、メチルアミン-テトラヒドロフラン溶液 (2.0 M, 3 ml) に溶解し、密封して 50℃ で 5 時間攪拌した。反応液を減圧濃縮して酢酸エチルエステル (30 ml) に溶解し、飽和炭酸水素ナトリウム水溶液、飽和食塩水で洗浄した後に、無水硫酸マグネシウムで乾燥させた。これを減圧濃縮して、4-メチルアミノ-3-ニトロ-安息香酸メチルエステルを得た。LC-MS により同定した。

収量 540 mg、収率 100%、 $[M+1] = 211.1$ 。

## 【 0 5 4 5 】

## [参考例 1-5-6]

3-アミノ-4-メチルアミノ-安息香酸メチルエステルの合成

4-メチルアミノ-3-ニトロ-安息香酸メチルエステル (540 mg、2.5 mmol) を酢酸エチルエステル/メタノール (2:1) (20 ml) に溶解し、窒素雰囲気下で 10% パラジウム-カーボン粉末 (5 mol%) を加えた。水素雰囲気下で 4 時間攪拌した後、反応液をセライトを通じて濾過した。濾液を減圧濃縮して、3-アミノ-4-メチルアミノ-安息香酸メチルエステルを得た。LC-MS により同定した。

収量 441 mg、収率 100%、 $[M+1] = 181.1$ 。

## 【 0 5 4 6 】

## [実施例 1-5-14 から実施例 1-5-16]

化合物番号 1-5-14 から 1-5-16 の化合物は、参考例 1-5-5、参考例 1-5-6、参考例 1-5-2、参考例 1-5-3、実施例 1-5-1 に従い、対応する原料より合成した。結果を表 13 に示す。

## 【 0 5 4 7 】

## [参考例 1-5-7]

4-tert-ブトキシカルボニルアミノ-3-ニトロ-安息香酸メチルエステルの合成

4-アミノ-3-ニトロ-安息香酸メチルエステル (1.03 g、5.25 mmol) をテトラヒドロフラン (50 ml) に溶解し、ナトリウムビス(トリメチルシリル)アミド (1.0 M テトラヒドロフラン溶液、10.5 ml、10.5 mmol) を加え、室温で 15 分間攪拌した。これに二炭酸ジブチル (1.44 ml、6.30 mmol) のテトラヒドロフラン溶液 (10 ml) を滴下して、室温で 1 時間攪拌した。反応液を減圧濃縮し、残渣に 1 規定塩酸を加えて、pH 約 6 に調製した。これを酢酸エチルエステル (100 ml × 3) で抽出し、得られた有機層を飽和食塩水で洗浄した後に、無水硫酸マグネシウムで乾燥させた。減圧濃縮後の残渣をシリカゲルカラムクロマトグラフィー (n-ヘキサン/酢酸エチルエステル = 9/1) で精製して、4-tert-ブトキシカルボニルア

ミノ-3-ニトロ-安息香酸メチルエステルを得た。LC-MSにより同定した。

収量 1.11 g、収率 71.4%、 $[M+1] = 297.1$ 。

【0548】

[参考例 1-5-8]

3-アミノ-4-tert-ブトキシカルボニルアミノ-安息香酸メチルエステルの合成

4-tert-ブトキシカルボニルアミノ-3-ニトロ-安息香酸メチルエステル (1.11 g、3.75 mmol) を酢酸エチルエステルメタノール (1:1) (30 ml) に溶解した。窒素雰囲気下で 10%パラジウム-カーボン粉末 (200 mg、5 mol%) を加え、水素雰囲気下で終夜攪拌した。反応液をセライト濾過し、濾液を減圧濃縮して 3-アミノ-4-tert-ブトキシカルボニルアミノ-安息香酸メチルエステルを得た。LC-MSにより同定した。

収量 924.1 mg、収率 92.3%、 $[M+1] = 267.3$ 。

【0549】

[参考例 1-5-9]

4-tert-ブトキシカルボニルアミノ-3-(2-ニトロ-ベンゼンスルホンルアミノ)-安息香酸メチルエステルの合成

3-アミノ-4-tert-ブトキシカルボニルアミノ-安息香酸メチルエステル (817.3 mg、3.07 mmol) をジクロロメタン (10 ml) に溶解し、氷浴下でピリジン (0.373 ml、4.60 mmol)、2-ニトロベンゼンスルホニルクロリド (815 mg、3.68 mmol) を加え、室温で 4 時間攪拌した。ピリジン (0.050 ml)、2-ニトロベンゼンスルホニルクロリド (135 mg) を加え、さらに 2 時間攪拌した。反応液を減圧濃縮して、水 (30 ml) を加えた後に、酢酸エチルエステル (20 ml × 3) で抽出した。得られた有機層を飽和食塩水で洗浄後、無水硫酸マグネシウムで乾燥させた。減圧濃縮後に結晶化した残渣を、n-ヘキサン-酢酸エチルエステル (4:1) に懸濁した後に、濾取、乾燥させて 4-tert-ブトキシカルボニルアミノ-3-(2-ニトロ-ベンゼンスルホンルアミノ)-安息香酸メチルエステルを



得た。LC-MSにより同定した。

収量 1. 23 g、収率 88. 7%。

【0550】

[参考例 1-5-10]

4-tert-ブトキシカルボニルアミノ-3-[メチル-(2-ニトロベンゼンスルホニル)-アミノ]-安息香酸メチルエステルの合成

4-tert-ブトキシカルボニルアミノ-3-(2-ニトロベンゼンスルホニルアミノ)-安息香酸メチルエステル (1. 23 g、2. 73 mmol) をジメチルホルムアミド (10 ml) に溶解し、氷浴中で炭酸カリウム (1. 13 g、8. 16 mmol) とヨウ化メチル (0. 254 ml、4. 09 mmol) を加え、室温で2時間攪拌した。反応液に水 (100 ml) を加え、これを酢酸エチルエステル (40 ml×4) で抽出した。得られた有機層を飽和食塩水で洗浄し、無水硫酸マグネシウムで乾燥させた。減圧濃縮後に結晶化した残渣を乾燥させて4-tert-ブトキシカルボニルアミノ-3-[メチル-(2-ニトロベンゼンスルホニル)-アミノ]-安息香酸メチルエステルを得た。LC-MSにより同定した。

収率 1. 41 g、収率 100%。

【0551】

[参考例 1-5-11]

4-tert-ブトキシカルボニルアミノ-3-メチルアミノ-安息香酸メチルエステルの合成

4-tert-ブトキシカルボニルアミノ-3-[メチル-(2-ニトロベンゼンスルホニル)-アミノ]-安息香酸メチルエステル (1. 41 g、2. 73 mmol) をジメチルホルムアミド (10 ml) に溶解し、氷浴中で炭酸カリウム (1. 13 g、8. 16 mmol) 及びチオフェノール (0. 307 ml、2. 99 mmol) を加え、室温で1時間攪拌した。反応液に水 (100 ml) を加え、これを酢酸エチルエステル (40 ml×3) で抽出した。得られた有機層を飽和食塩水で洗浄し、無水硫酸マグネシウムで乾燥させた。減圧濃縮後の残渣を、シリカゲルカラムクロマトグラフィー (n-ヘキサン/酢酸エチルエス

ル = 85 / 15) で精製して、4-tert-ブトキシカルボニルアミノ-3-メチルアミノ-安息香酸メチルエステルを得た。LC-MSにより同定した。収量 794.3 mg、収率 62.7%、 $[M+1] = 281.1$ 。

## 【0552】

## [参考例 1-5-12]

## 4-アミノ-3-メチルアミノ-安息香酸メチルエステルの合成

4-tert-ブトキシカルボニルアミノ-3-メチルアミノ-安息香酸メチルエステル (794.3 mg、2.83 mmol) をメタノール (7.0 ml) に溶解し、氷浴中で 4 規定塩化水素-1, 4-ジオキサン溶液 (3.54 ml、14.3 mmol) を加え、室温で 30 分間攪拌した。さらに同量の 4 規定塩化水素-1, 4-ジオキサン溶液を加え、40℃で 30 分間攪拌した。反応液を氷冷した飽和炭酸水素ナトリウム水溶液に注ぎ、これを酢酸エチルエステル (30 ml × 3) で抽出した。得られた有機層を飽和食塩水で洗浄し、無水硫酸マグネシウムで乾燥させた。減圧濃縮後の残渣を、シリカゲルカラムクロマトグラフィー (n-ヘキサン/酢酸エチルエステル = 4 / 1 → 3 / 2 → 1 / 1) で精製して、4-アミノ-3-メチルアミノ-安息香酸メチルエステルを得た。LC-MSにより同定した。

収量 342.7 mg、収率 67.2%、 $[M+1] = 181.1$ 。

## 【0553】

## [実施例 1-5-17 から実施例 1-5-19]

化合物番号 1-5-17 から 1-5-19 の化合物は、参考例 1-5-7 から参考例 1-5-12、参考例 1-5-2、参考例 1-5-3、実施例 1-5-1 に従い、対応する原料より合成した。結果を表 13 に示す。

## 【0554】

## [実施例 1-5-20]

2- { [1- (3-フェニルプロピル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-5-カルボン酸の合成

2- { [1- (3-フェニルプロピル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-5-カルボン酸メチルエステル (3.2

mmol) をメタノール (10 ml) に懸濁し、4 規定水酸化リチウム水溶液 (5.4 ml、21.4 mmol) を加えた。反応液を 50℃ で 2 時間攪拌した後、室温に冷却した。これに 1 規定塩酸を滴下して、pH 約 6.0 に調製した。酢酸エチルエステル (1 ml) を加えて 3 時間攪拌した後に、析出物を濾取し、2- { [1- (3-フェニルプロピル) -ピペリジン-4-イルメチル] -アミノ} -1H-ベンズイミダゾール-5-カルボン酸を得た。LC-MS により同定した。

収量 1.01 g、収率 79.9%、純度 98.5%、 $[M+1] = 393.1$ 。

#### 【0555】

[実施例 1-5-21 から実施例 1-5-22]

化合物番号 1-5-21 から 1-5-22 の化合物は、実施例 1-5-20 に従い、対応する原料より合成した。結果を表 13 に示す。

#### 【0556】

[実施例 1-5-23]

2- [ (1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-5-カルボン酸 (2-ジメチル) -アミドの合成

2- [ (1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-5-カルボン酸 13 (20.0 mg、0.0480 mmol)、1-ヒドロキシベンゾトリアゾール-水和物 (22.0 mg、0.145 mmol) をテトラヒドロフラン-ジメチルホルムアミド (1:1, 0.500 ml) に溶解した。これに、N, N-ジメチルエチレンジアミン (0.0160 ml、0.145 mmol)、及び N, N-ジイソプロピルカルボジイミド (0.0220 ml、0.145 mmol) を加え、室温で終夜攪拌した。反応液に水 (2 ml) を加えて、10 分間攪拌し、酢酸エチルエステル (1 ml × 3 回) で抽出した。得られた酢酸エチルエステル層を、SCX 固相抽出で精製した後に、HPLC 分取で精製して、2- [ (1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-5-カルボン酸 (2-ジメチル) -アミドを得た。LC-MS により同定し

た。

収量 10.5 mg、収率 45.1%、純度 100%、 $[M+1] = 485.4$

【0557】

[実施例 1-5-24 から実施例 1-5-190]

化合物番号 1-5-24 から 1-5-190 までの化合物は、実施例 1-5-23 に従い、対応する原料より合成した。結果を表 13 に示す。

【0558】

[参考例 1-5-13]

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-ベンズイミダゾール-1,5-ジカルボン酸 1-tert-ブチルエステル 5-メチルエステルの合成

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸メチルエステル (1 g、2.33 mmol) を 1,4-ジオキサン (25 ml) に溶解し、二炭酸-tert-ブチル (1017 mg、4.66 mmol) を加え、80℃で 11 時間攪拌した。溶媒を減圧下に留去し、得られた残渣をシリカゲルカラムクロマトグラフィー (ジクロロメタン/メタノール/TEA = 85/10/5) により精製し、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-ベンズイミダゾール-1,5-ジカルボン酸 1-tert-ブチルエステル 5-メチルエステルを得た。LC-MS により同定した。

収量 1.1 g、収率 96%、LCMS (529.2 m/z  $M+1$ )。

【0559】

[実施例 1-5-191]

{2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-イル}-メタノールの合成

窒素気流下で、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-ベンズイミダゾール-1,5-ジカルボン酸 1-tert-ブチルエステル 5-メチルエステル (940 mg、1.78 mmol) を、乾燥テトラヒドロフラン (18 ml) に溶解し、0℃でリチウム水素化アルミ

ニウム (135 mg、3.56 mmol) 加え、3 時間攪拌した。飽和硫酸ナトリウム水溶液を加えた後、溶媒を減圧下に留去した。水が残っていたため、残渣を酢酸エチルエステルに溶解し、飽和食塩水で洗浄後、溶媒を減圧下に留去し、残渣を得た。残渣をシリカゲルカラムクロマトグラフィー (ジクロロメタン/メタノール/TEA=90/5/5) により精製し、{2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-イル}-メタノールを得た。

収量 822 mg、収率 91%、純度 89.3%、LCMS (401.2 m/z M+1)。

## 【0560】

## [実施例 1-5-192]

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボアルデヒドの合成

1-ヒドロキシ-1-オキソ-1H-1λ<sup>5</sup>-ベンゾ[d][1,2]ヨードキゾール-3-オン (846 mg、3.02 mmol) のジメチルスルホキシド溶液 (10 ml) を、{2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-イル}-メタノール (807 mg、2.01 mmol) のジメチルスルホキシド溶液 (10 ml) に加え、室温で 9 時間攪拌した。氷水 (200 ml) に反応溶液を流し込み、室温で 30 分攪拌後、酢酸エチルエステルを加え、10 分間激しく攪拌し抽出を行った。飽和炭酸水素ナトリウム水溶液、飽和食塩水で洗浄後、無水硫酸ナトリウムで乾燥した後、溶媒を減圧下に留去し、得られた残渣をシリカゲルカラムクロマトグラフィー (酢酸エチルエステル/メタノール=9/1) により精製した。さらに分取 HPLC で精製し、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボアルデヒドを得た。

収量 34 mg、収率 4%、純度 100%、LCMS (399.2 m/z M+1)。

## 【0561】

## [参考例 1-5-193]

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボニトリルの合成

窒素気流下で、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボアルデヒド(2.7mg、0.0570mmol)を無水ジメチルホルムアミド(1ml)に溶解し、ヒドロキシルアミン塩酸塩(8mg、0.115mmol)と6規定塩酸一滴を加えた後、80℃で2時間30分攪拌した。5規定水酸化ナトリウム水溶液2滴を加え、酢酸エチルで抽出後、ジクロロメタンで抽出した。有機層を飽和食塩水で洗浄後、無水硫酸ナトリウムで乾燥し、溶媒を減圧下に留去し、残渣を得た。残渣に、無水ジメチルホルムアミド(1ml)と4規定塩化水素/1,4-ジオキサン溶液を9滴加え、100℃で12時間攪拌した。5規定水酸化ナトリウム水溶液で中和後、同様の抽出処理を行い、得られた残渣を薄層シリカゲルクロマトグラフィー(ジクロロメタン/メタノール/トリエチルアミン=85/10/5)で精製し、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボニトリルを得た。

収率29%、純度99.3%、収量6.6mgで得た。LCMS(396.3m/z M+1)。

【0562】

## [参考例 1-5-14]

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-カルボン酸メチルエステルの合成

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-カルボン酸メチルエステル(1g、2.33mmol)を、窒素気流下で、無水テトラヒドロフラン(30ml)に溶解し、0℃に冷却した。60%水素化ナトリウム(187mg、4.89mmol)

o 1) を加え、0℃で72分間攪拌した。2-(トリメチルシリル)エトキシメチルクロリド(815.8mg、4.89mmol)を加え、0℃で30分攪拌後水を加えた。この溶液を、酢酸エチル続いてジクロロメタンで抽出し、それぞれを飽和食塩水で洗浄後、合わせて無水硫酸ナトリウムで乾燥した。溶媒を減圧下に留去し、得られた残渣をシリカゲルカラムクロマトグラフィー(酢酸エチル/ヘキサン=2/3→3/2→1/0(随時変更した展開溶媒の比率。))により精製し、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシリル-エトキシメチル)-アミノ]-1-(2-トリメチルシリル-エトキシメチル)-1H-ベンズイミダゾール-5-カルボン酸メチルエステルを得た。LC-MSにより同定した。収量624mg、収率39%、純度95.1%、LCMS(689.3m/z M+1)。

## 【0563】

## [参考例1-5-15]

[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシリル-エトキシメチル)-アミノ]-1-(2-トリメチルシリル-エトキシメチル)-1H-ベンズイミダゾール-5-イル]-メタノールの合成

窒素気流下で、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシリル-エトキシメチル)-アミノ]-1-(2-トリメチルシリル-エトキシメチル)-1H-ベンズイミダゾール-5-カルボン酸メチルエステル(624mg、0.91mmol)を無水テトラヒドロフラン(10ml)に溶解し、0℃でリチウム水素化アルミニウム(72.4mg、1.82mmol)を加え、そのまま2時間攪拌した。飽和硫酸ナトリウム水溶液を加えた後、酢酸エチル続いてジクロロメタンで抽出した。それぞれを飽和食塩水で洗浄後、合わせて、無水硫酸ナトリウムで乾燥した。溶媒を減圧下に留去し[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシリル-エトキシメチル)-アミノ]-1-(2-トリメチルシリル-エトキシメチル)-1H-ベンズイミダゾール-5-イ

ル] - メタノールを得た。LC-MSにより同定した。

収量 568 mg、収率 95%、純度 89.4%、LCMS (661.4 m/z M+1)。

#### 【0564】

##### [参考例 1-5-16]

2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (2-トリメチルシラニル-エトキシメチル) - アミノ] - 1- (2-トリメチルシラニル-エトキシメチル) - 1H-ベンズイミダゾール-5-カルボアルデヒドの合成

[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (2-トリメチルシラニル-エトキシメチル) - アミノ] - 1- (2-トリメチルシラニル-エトキシメチル) - 1H-ベンズイミダゾール-5-イル] - メタノール 3 (467 mg、0.71 mmol) のジメチルスルホキシド溶液 (5 ml) を、1-ヒドロキシ-1-オキソ-1H-1λ<sup>5</sup>-ベンゾ[d][1,2]ヨードキソール-3-オン 297 mg (1.06 mmol) のジメチルスルホキシド溶液 (5 ml) に加え、室温で 18 時間攪拌した。氷水 (200 ml) に反応溶液を流し込み、室温で 30 分攪拌後、酢酸エチルエステルを加え 10 分間激しく攪拌し、抽出を行った。飽和炭酸水素ナトリウム水溶液、飽和食塩水で洗浄後、無水硫酸ナトリウムで乾燥した後、溶媒を減圧下に留去し、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (2-トリメチルシラニル-エトキシメチル) - アミノ] - 1- (2-トリメチルシラニル-エトキシメチル) - 1H-ベンズイミダゾール-5-カルボアルデヒドを得た。  
収量 475 mg、収率 100%、純度 83.2%、LCMS (659.3 m/z M+1)。

#### 【0565】

##### [参考例 1-5-17]

1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (2-トリメチルシラニル-エトキシメチル) - アミノ] - 1- (2-トリメチルシラニル-エトキシメチル) - 1H-ベンズイミダゾール-5-イル] -



## プロパン-1-オールの合成

窒素気流下に、2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)- (2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-カルボアルデヒド(86mg、0.131mmol)を無水テトラヒドロフラン(1.2ml)に溶解し、0℃でエチルマグネシウムブロミド(0.26ml、1Mテトラヒドロフラン溶液)を加え、添加後室温で13分間攪拌した。飽和塩化アンモニウム水溶液を加えた後、酢酸エチルエステルで抽出を行った。有機層を飽和食塩水で洗浄した後、硫酸ナトリウムで乾燥し、次に溶媒を減圧下に留去し、1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)- (2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-イル]-プロパン-1-オールを得た。

収量92.5mg、収率100%、純度88%、LCMS(689.3m/z M+1)。

【0566】

[実施例1-5-194]

1-{2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-イル}-プロパン-1-オールの合成

1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)- (2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-イル]-プロパン-1-オール(50mg、0.073mmol)を無水ジメチルホルムアミド(2ml)に溶解し、フッ化テトラブチルアンモニウム(0.5ml、1.0Mテトラヒドロフラン溶液)を加え、100℃で13時間攪拌した。酢酸エチルエステル、水を加えた後、水層をpH11にして、酢酸エチルエステルで抽出した。有機層を飽和食塩水で洗浄し、次に無水硫酸ナトリウムによる乾燥を行い、溶媒を減圧下に留去し、得られた残渣を薄層シリカゲルクロマトグラフィー

(酢酸エチルエステル/メタノール=4/1)で精製した。さらにHPLC分取により精製し、アモルファス結晶の1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ]-1H-ベンズイミダゾール-5-イル]-プロパン-1-オールを得た。

収量1.08 mg、収率3%、純度100%、LCMS (429.2 m/z M+1)。

## 【0567】

## [参考例1-5-18]

1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-イル]-プロパン-1-オンの合成

1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-イル]-プロパン-1-オール(72 mg、0.10 mmol)のジメチルスルホキシド溶液(1 ml)を、1-ヒドロキシ-1-オキソ-1H-1λ<sup>5</sup>-ベンゾ[d]

[1, 2]ヨードキソール-3-オン(44 mg、0.157 mmol)のジメチルスルホキシド溶液(1 ml)に加え、室温で18時間攪拌した。氷水(50 ml)に反応溶液を流し込み、室温で30分攪拌後、酢酸エチルエステルを加え、10分間激しく攪拌し抽出を行った。飽和炭酸水素ナトリウム水溶液、飽和食塩水で洗浄後、無水硫酸ナトリウムで乾燥した後、溶媒を減圧下に留去し、1-[2-[(1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-(2-トリメチルシラニル-エトキシメチル)-アミノ]-1-(2-トリメチルシラニル-エトキシメチル)-1H-ベンズイミダゾール-5-イル]-プロパン-1-オンを得た。

収量64 mg、収率89%、純度92.8%、LCMS (687.4 m/z M+1)。

## 【0568】

## [実施例 1-5-195]

1- {2- [ (1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル)-アミノ] -1H-ベンズイミダゾール-5-イル} -プロパン-1-オンの合成

1- [2- [ (1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) - (2-トリメチルシラニル-エトキシメチル) -アミノ] -1- (2-トリメチルシラニル-エトキシメチル) -1H-ベンズイミダゾール-5-イル] -プロパン-1-オン (32 mg, 0.047 mmol) を、無水ジメチルホルムアミド (1 ml) に溶解し、フッ化テトラブチルアンモニウム (0.8 ml, 1.0 M テトラヒドロフラン溶液) と水 (5  $\mu$ l) を加え、100℃で2時間30分間攪拌した。水と酢酸エチルエステルを加え、酢酸エチルエステル抽出を行った。有機層を飽和食塩水で洗浄し、次に無水硫酸ナトリウムによる乾燥を行い、溶媒を減圧下に留去し得られた残渣を、薄層シリカゲルクロマトグラフィー (ジクロロメタン/メタノール/TEA = 85/10/1) により精製した。さらに HPLC 分取と薄層シリカゲルクロマトグラフィー (ジクロロメタン/メタノール = 8/2) により精製し、1- {2- [ (1-ナフタレン-1-イルメチル-ピペリジン-4-イルメチル) -アミノ] -1H-ベンズイミダゾール-5-イル} -プロパン-1-オンを得た。

収量 2.04 mg、収率 10%、純度 100%、LCMS (427.2 m/z M+1)。

## 【0569】

[実施例 1-5-196 と実施例 1-5-197]

化合物番号 1-5-196 と 1-5-197 の化合物は、実施例 1-5-195 に従い、対応する原料より合成した。結果を表 13 に示す。

## 【0570】

【表 3 9 0】

表13

化合物No.1-5-	収量(mg)	収率(%)	MW	M+1
1	2	3	477.4	477.1
2	14	31	442.9	443.1
3	15	35	442.6	443.2
4	12	29	420.6	421.2
5	1	2	491.4	491.2
6	4	9	457.0	457.2
7	11	26	456.6	457.2
8	21	52	434.6	435.2
9	48	92	428.5	429.1
10	51	100	406.5	407.2
11	8	20	463.4	463.1
12	13	36	439.5	440.1
13	500	58	434.6	435.1
14	19	28	477.4	477.1
15	41	100	442.6	443.2
16	45	100	420.6	421.2
17	6	24	477.4	477.1
18	23	99	442.6	443.2
19	24	100	420.6	421.2
20	1010	80	392.5	393.1
21	994	93	417.5	418.1
22	458	67	459.3	459.4
23	11	45	484.6	485.4
24	10	43	498.6	499.4
25	39	100	556.7	557.5
26	13	53	499.6	500.3
27	13	57	471.6	472.3
28	12	51	503.6	504.4
29	4	16	517.7	518.4
30	17	51	455.6	456.4
31	12	35	469.6	470.3
32	8	23	483.7	484.4
33	3	10	469.6	470.4

【 0 5 7 1 】

【表 3 9 1】

表13のつづき1

34	7	18	499.6	500.4
35	17	52	457.6	458.4
36	15	43	471.6	472.3
37	6	19	456.6	457.4
38	25	100	433.6	434.2
39	10	43	462.6	463.2
40	4	16	476.6	477.2
41	7	27	534.7	535.3
42	9	36	477.6	478.2
43	8	36	435.6	436.2
44	29	100	477.6	478.2
45	27	100	449.6	450.2
46	29	100	481.6	482.3
47	29	100	495.7	496.3
48	14	61	458.6	459.2
49	9	39	487.7	488.3
50	11	47	502.6	503.3
51	10	42	474.6	475.2
52	9	39	506.7	507.2
53	10	38	520.7	521.3
54	11	24	456.0	456.2
55	13	27	485.0	485.2
56	8	16	499.0	499.2
57	9	16	557.1	557.2
58	16	32	500.0	500.1
59	10	20	500.0	500.2
60	15	32	472.0	472.2
61	17	34	504.0	504.1
62	19	37	518.1	518.2
63	21	48	440.0	440.2
64	8	17	469.0	469.1
65	23	48	483.0	483.2
66	19	35	541.1	541.2
67	9	19	484.0	484.2
68	2	5	442.0	442.1

【0 5 7 2】

【表 3 9 2】

表13のつづき2

69	11	23	484.0	484.1
70	26	53	488.0	488.2
71	29	58	502.1	502.2
72	21	46	456.0	456.1
73	14	31	457.6	458.2
74	15	34	435.6	436.2
75	5	11	460.6	461.2
76	14	31	458.0	458.1
77	11	25	442.0	442.1
78	14	32	441.6	442.2
79	12	29	419.6	420.2
80	10	22	444.6	445.2
81	15	34	442.0	442.2
82	10	23	426.0	426.1
83	9	21	427.5	428.2
84	10	25	405.5	406.2
85	2	5	430.6	431.2
86	10	23	427.9	428.1
87	23	56	411.9	412.2
88	12	45	522.5	522.0
89	13	52	518.4	518.1
90	6	29	474.4	474.0
91	11	47	503.5	503.1
92	10	41	517.5	517.1
93	6	26	518.4	518.1
94	5	20	490.4	490.0
95	11	42	575.5	575.1
96	9	37	536.5	536.0
97	18	60	475.4	475.2
98	24	92	490.4	490.0
99	12	28	476.4	476.0
100	8	15	557.5	557.3
101	5	10	543.5	543.3
102	5	9	526.5	526.3
103	5	8	538.5	538.1

【 0 5 7 3 】

【表 3 9 3】

表13のつづき3

104	5	10	516.5	516.3
105	5	10	542.6	542.3
106	8	16	514.4	514.2
107	2	5	486.4	486.2
108	4	9	478.4	484.3
109	4	7	544.5	544.2
110	11	20	546.5	546.3
111	3	5	560.5	560.3
112	10	20	517.5	517.2
113	12	22	530.5	531.3
114	10	21	471.4	471.1
115	3	5	532.5	532.3
116	7	14	472.4	473.3
117	10	18	516.5	516.3
118	9	18	502.5	502.0
119	7	14	543.5	543.3
120	3	6	486.4	486.3
121	4	8	502.5	502.3
122	10	19	528.5	528.2
123	7	14	502.5	502.0
124	9	18	488.5	488.2
125	7	13	570.6	570.2
126	33	70	472.4	473.3
127	20	38	542.6	543.3
128	33	60	545.6	545.3
129	24	47	516.5	517.3
130	31	56	545.6	545.4
131	28	55	502.5	503.3
132	32	70	460.4	461.2
133	23	45	518.5	519.3
134	25	48	530.5	531.3
135	23	45	502.5	503.3
136	23	44	518.5	519.3
137	19	37	520.5	521.3
138	16	30	528.5	529.3

【0 5 7 4】

【表 3 9 4】

表13のつづき4

139	19	36	528.5	529.3
140	33	70	470.4	471.3
141	19	34	556.6	557.4
142	15	30	517.5	517.3
143	17	34	488.5	489.3
144	20	41	488.5	489.3
145	20	41	488.5	489.3
146	7	14	516.5	517.3
147	22	41	531.5	529.3
148	17	30	559.5	559.3
149	16	34	474.4	475.2
150	21	41	500.5	501.3
151	15	29	514.5	515.3
152	9	18	504.5	505.3
153	34	58	573.6	573.4
154	28	56	504.5	504.3
155	35	61	571.6	571.4
156	31	59	529.5	529.3
157	28	48	587.6	587.4
158	20	36	546.5	547.3
159	3	6	500.5	500.2
160	2	3	502.4	502.3
161	2	4	528.5	528.2
162	1	2	516.5	516.3
163	1	2	532.5	532.3
164	2	4	517.5	517.3
165	36	71	503.4	503.3
166	19	38	489.4	489.2
167	6	10	550.5	550.3
168	3	6	503.4	503.3
169	2	4	485.4	485.2
170	1	2	502.5	502.1
171	2	3	508.9	508.1
172	4	6	559.6	559.3
173	3	6	502.5	502.0

【0 5 7 5】



【表 3 9 5】

表13のつづき5

174	22	37	589.6	589.4
175	21	35	603.6	603.3
176	5	10	523.5	523.2
177	6	12	523.5	523.2
178	5	10	523.5	523.2
179	5	11	504.5	504.3
180	7	14	508.5	508.2
181	2	3	520.9	520.2
182	2	3	488.5	488.2
183	4	7	538.5	538.1
184	821	100	400.5	401.2
185	34	4	398.5	399.2
186	7	29	395.5	396.3
187	1	3	428.6	429.2
188	2	9	426.6	427.2
189	4	1	412.5	413.2
190	3	1	440.6	441.2
196	7	18	85.0	500.4
197	871	41	90.0	429.2

【 0 5 7 6 】

## 【実施例 1 - 6 - 1】

〔1 - (3, 4 - ジクロロ - ベンジル) - ピペリジン - 4 - イルメチル〕 - (1 - エチル - 1 H - ベンズイミダゾール - 2 - イル) - アミンの合成

(1 H - ベンズイミダゾール - 2 - イル) - [1 - (3, 4 - ジクロロ - ベンジル) - ピペリジン - 4 - イルメチル] - アミン (20 mg、0.05 mmol) をジメチルホルムアミド (1 ml) に溶かし、臭素化エチル (0.075 mmol) と水素化ナトリウム (0.1 mmol) を加え、室温で3時間30分攪拌した。反応溶液に氷、希塩酸を加え反応を停止し、溶液をSCX (ボンドエルトSCX500MG) に付した。SCXをメタノールで洗浄後、2規定アンモニアメタノール溶液で溶出し、得られた溶出液を減圧下に留去した。残渣を薄層シリカゲルクロマトグラフィー (ヘキサン/酢酸エチルエステル/ジクロロメタン

／メタノール＝60／25／10／5）により精製し、[1-（3，4-ジクロロベンジル）-ピペリジン-4-イルメチル]-（1-エチル-1H-ベンズイミダゾール-2-イル）-アミンと[1-（3，4-ジクロロベンジル）-ピペリジン-4-イルメチル]-エチル-（1-エチル-1H-ベンズイミダゾール-2-イル）-アミンを得た。LC-MSにより同定した。

収量7.7mg、収率35%、純度100%、実測値ESI/MS m/e 445.1（M+1）。

【0577】

[実施例1-6-2]

化合物番号1-6-2の化合物、[1-（3，4-ジクロロベンジル）-ピペリジン-4-イルメチル]-エチル-（1-エチル-1H-ベンズイミダゾール-2-イル）-アミンは、実施例1-6-1に従い、対応する原料より合成した。

収量5.8mg、収率28%、純度100%、実測値ESI/MS m/e 417.0（M+1）。

【0578】

[実施例1-6-3から実施例1-6-15]

化合物番号1-6-3から1-6-15の化合物は、実施例1-6-1に従い、対応する原料より合成した。結果を表14に示す。

【0579】

【表 396】

表14

化合物No.1-6-	収量(mg)	収率(%)	MW	M+1
1	6	28	417.4	417.0
2	8	35	445.4	445.1
3	15	52	569.6	569.3
4	6	23	479.5	479.3
5	6	20	557.6	557.2
6	6	26	473.5	473.1
7	1	3	625.7	625.4
8	9	34	507.5	507.3
9	2	7	461.4	461.2
10	6	24	517.5	517.2
11	3	13	446.4	446.2
12	3	15	460.4	460.1
13	8	33	461.4	461.2
14	4	20	442.4	443.1
15	6	24	489.4	489.2

【0580】

## 《キナゾリノン誘導体の合成法 その1》

## [参考例 2-1]

{1-[(3,4-ジクロロフェニル)メチル]-4-ピペリジル}メチルアミンの合成

4-アミノメチルピペリジン(13.7g、120mmol)をアセトニトリル(200ml)に溶解し、炭酸カリウム(11.057g、80mmol)と3,4-ジクロロベンジルクロリド(7.818g、40mmol)を加えて、60℃で終夜攪拌した。反応終了後、ろ別を行い、溶媒を留去した。シリカゲルカラムクロマトグラフィー(ジクロロメタン/メタノール/トリエチルアミン=90/5/5)により精製し、{1-[(3,4-ジクロロフェニル)メチル]-4-ピペリジル}メチルアミンを得た。LC-MS測定により同定した。

収量10.8g、収率定量的、M+1=273.1。

【0581】

## [参考例 2-2]

N- { [ ( { 1- [ ( 3, 4-ジクロロフェニル) メチル] ( 4-ピペリジル) } メチル) アミノ] チオキソメチル} (フルオレン-9-イルメトキシ) カルボキシアミドの合成

{ 1- [ ( 3, 4-ジクロロフェニル) メチル] - 4-ピペリジル} メチルアミン (1325 mg、4.84 mmol) をテトラヒドロフラン (20 ml) に溶解し、FmocNCS (9-フルオレニルメトキシカルボニルイソチオシアネート) (1498 mg、5.32 mmol) を加えて、室温で終夜攪拌した。反応終了後、濃縮し、シリカゲルカラムクロマトグラフィー (ヘキサン/酢酸エチル=85/15) により精製し、N- { [ ( { 1- [ ( 3, 4-ジクロロフェニル) メチル] ( 4-ピペリジル) } メチル) アミノ] チオキソメチル} (フルオレン-9-イルメトキシ) カルボキシアミドを得た。LC-MS測定により同定した。

収量 2624 mg、収率 98%、 $M+1=554.1$ 。

【0582】

## [参考例 2-3]

アミノ [ ( { 1- [ ( 3, 4-ジクロロフェニル) メチル] ( 4-ピペリジル) } メチル) アミノ] メタン-1-チオンの合成

N- { [ ( { 1- [ ( 3, 4-ジクロロフェニル) メチル] ( 4-ピペリジル) } メチル) アミノ] チオキソメチル} (フルオレン-9-イルメトキシ) カルボキシアミド (553 mg、1 mmol) をDMF (4 ml) に溶解し、ピペリジン (0.989 ml、10 mmol) を加えて、室温で終夜攪拌した。反応終了後、水 (20 ml) を加えて、酢酸エチル (20 ml×3) で抽出した。抽出した有機層を水 (100 ml×2)、飽和食塩水で洗浄し、無水硫酸ナトリウムで乾燥後、ろ別し濃縮した。シリカゲルカラムクロマトグラフィー (酢酸エチル/メタノール=1/0→4/1) により精製し、アミノ [ ( { 1- [ ( 3, 4-ジクロロフェニル) メチル] ( 4-ピペリジル) } メチル) アミノ] メタン-1-チオンを得た。LC-MS測定により同定した。

収量 284 mg、収率 86%、 $M+1=332.0$ 。

## 【0583】

## [参考例2-4]

( {1- [ (3, 4-ジクロロフェニル) メチル] (4-ピペリジル) } メチル ) (イミノメチルチオメチル) アミンの合成

アミノ [ ( {1- [ (3, 4-ジクロロフェニル) メチル] (4-ピペリジル) } メチル) アミノ] メタン-1-チオン (148 mg、0.446 mmol) をテトラヒドロフラン (5 ml) に溶解し、ヨウ化メチル (71 mg、0.491 mmol) を加えて、室温で終夜攪拌した。反応終了後、濃縮し、デシケータ中で減圧乾燥し、( {1- [ (3, 4-ジクロロフェニル) メチル] (4-ピペリジル) } メチル) (イミノメチルチオメチル) アミンを得た。LC-MS測定により同定した。

収量 211 mg、収率定量的、 $M+1=346$ 。1。

## 【0584】

## [実施例2-1]

2- [ ( {1- [ (3, 4-ジクロロフェニル) メチル] -4-ピペリジル} メチル) アミノ] ヒドロキナゾリン-4-オンの合成

( {1- [ (3, 4-ジクロロフェニル) メチル] (4-ピペリジル) } メチル) (イミノメチルチオメチル) アミン (70 mg、0.148 mmol) とイサト酸無水物 (27 mg、0.163 mmol) をDMF (1.5 ml) に溶解し、80℃で2時間攪拌した。2N水酸化ナトリウム水溶液 (1 ml) を加えて、反応を停止した。水 (15 ml) を加え、酢酸エチル (15 ml × 3) で抽出した。抽出した有機層を水 (50 ml × 2)、飽和食塩水で洗浄し、無水硫酸ナトリウムで乾燥後、ろ別し濃縮した。シリカゲルカラムクロマトグラフィー (酢酸エチル/メタノール=1/0→4/1) により精製し、2- [ ( {1- [ (3, 4-ジクロロフェニル) メチル] -4-ピペリジル} メチル) アミノ] ヒドロキナゾリン-4-オンを得た。LC-MS測定により同定した。

収量 27 mg、収率 44%、 $M+1=417$ 。1。

## 【0585】

## [実施例2-2~2-3]

化合物番号 2-2 から 2-3 の化合物は、それぞれ対応する反応物を用いて、実施例 2-1 の方法に従い合成した。結果を表 15 に示す。

【0586】

《キナゾリノン誘導体の合成法 その2》

[参考例 2-5]

2-メチルチオヒドロキナゾリン-4 オンの合成

2-メルカプト-4 (3H) キナゾリノン (25 mmol, 4.45 g) を水 (100 ml) と 2N-NaOH (1.1 eq, 14 ml) の混合水溶液に溶解し、MeI (1.1 eq, 1.72 ml) を加えて、室温で 2 時間 30 分撹拌した。反応終了後、ろ別し、水 180 ml を加えて洗浄し、減圧下、デシケーター中で 4 時間乾燥した。LC-MS により同定した。

収率定量的、収量 5.5 g、M+1=192.9。

【0587】

[実施例 2-4]

2-({[1-(ナフチルメチル)-4-ピペリジル]メチル}アミノ)ヒドロキナゾリン-4-オンの合成

[1-(ナフチルメチル)-4-ピペリジル]メチルアミン (4.4 mmol, 1122 mg) を DMA (15 ml) に溶解し、NEt<sub>3</sub> (1.5 eq, 920 L)、2-メチルチオヒドロキナゾリン-4 オン (2 eq, 1690 mg) を加えた。100℃で終夜撹拌し、反応終了後、酢酸エチル (50 ml×3) で抽出し、水 (150 ml×2) で洗浄後、硫酸ナトリウムで乾燥した。濃縮後、カラムクロマトグラフィー (Hex/AcOEt=1/9, AcOEt 2) により精製した。LC-MS により同定した。

収率 10%、収量 159 mg、M+1=399.2。

【0588】

《キナゾリノン誘導体の合成法 その3》

[2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩の合成

[参考例 2-6]

## 1-Boc-4-アミノメチルピペリジンの調整

4-アミノメチルピペリジン (10.0 g, 87.6 mmol) をトルエン (175 mL) に溶解し、ベンズアルデヒド (8.90 mL, 87.6 mmol) を加え、Dean-Starkトラップを備えて、1時間加熱還流した。反応液を室温に冷却後、二炭酸ジ-tert-ブチル (20.1 mL, 87.6 mmol) を4回に分けて1時間で加え、一晚攪拌した。反応液を減圧濃縮した残渣に、氷浴中で硫酸水素カリウム水溶液 (1.0 M, 140 mL, 140 mmol) を加えて、2時間攪拌した。この水溶液をジエチルエーテル (100 mL) で洗浄後、1規定水酸化ナトリウム水溶液を加えて、pH約7に調整した。この水溶液を酢酸エチル (200 mL) で洗浄した後に、1規定水酸化ナトリウム水溶液を加えて、pH約12に調整し、酢酸エチル (100 mL×3回) で抽出した。得られた有機層を飽和食塩水で洗浄し、無水硫酸ナトリウムで乾燥させた。これを減圧濃縮、真空乾燥した。LC-MS測定により同定した。

収量 16.04 g、収率 85%、 $M+23=237.1$ 。

【0589】

[参考例 2-7]

[ ( { [フルオレン-9-イルメトキシ] カルボニルアミノ } チオキソメチル) アミノ] メチル] ピペリジンカルボン酸 tert-ブチルエステルの合成

1-Boc-4-アミノメチルピペリジン (2140 mg, 10 mmol) をテトラヒドロフラン (25 mL) に溶解し、FmocNCS (3091 mg, 11 mmol) を加えて、室温で終夜攪拌した。反応終了後、濃縮し、シリカゲルカラムクロマトグラフィー (ヘキサン/酢酸エチル=85/15→4/1) により精製し、[ ( { [フルオレン-9-イルメトキシ] カルボニルアミノ } チオキソメチル) アミノ] メチル] ピペリジンカルボン酸 tert-ブチルエステルを得た。LC-MS測定により同定した。

収量 4445 mg、収率 90%、 $M+1=496.2$ 。

【0590】

[参考例 2-8]

4- { [ (アミノチオキソメチル) アミノ] メチル } ピペリジンカルボン酸 te

## tert-ブチルエステルの合成

( { [フルオレン-9-イルメトキシ] カルボニルアミノ } チオキソメチル) アミノ] メチル} ピペリジンカルボン酸 tert-ブチルエステル (2000 mg、4.04 mmol) を DMF (20 ml) に溶解し、ピペリジン (7.99 ml、80.8 mmol) を加えて、室温で終夜攪拌した。反応終了後、水 (100 ml) を加えて、酢酸エチル (100 ml × 3) で抽出した。抽出した有機層を水 (300 ml × 2)、飽和食塩水で洗浄し、無水硫酸ナトリウムで乾燥後、ろ別し濃縮した。シリカゲルカラムクロマトグラフィー (ヘキサン/酢酸エチル = 1/1、酢酸エチル) により精製し、4- { [ (アミノチオキソメチル) アミノ] メチル} ピペリジンカルボン酸 tert-ブチルエステルを得た。LC-MS 測定により同定した。

収量 1075 mg、収率 98%、 $M+1=274.1$ 。

【0591】

[参考例 2-9]

4- { [ (イミノメチルチオメチル) アミノ] メチル} ピペリジンカルボン酸 tert-ブチルエステルヨウ化水素塩の合成

4- { [ (アミノチオキソメチル) アミノ] メチル} ピペリジンカルボン酸 tert-ブチルエステル (1075 mg、3.94 mmol) をテトラヒドロフラン (30 ml) に溶解し、ヨウ化メチル (616 mg、4.33 mmol) を加えて、室温で終夜攪拌した。反応終了後、濃縮し、デシケーター中で減圧乾燥し、4- { [ (イミノメチルチオメチル) アミノ] メチル} ピペリジンカルボン酸 tert-ブチルエステルヨウ化水素塩を得た。LC-MS 測定により同定した。

収量 1597 mg、収率 98%、 $M+1=288.1$ 。

【0592】

[参考例 2-10]

4- { [ (4-オキソヒドロキナゾリン-2-イル) アミノ] メチル} ピペリジンカルボン酸 tert-ブチルエステルの合成

4- { [ (イミノメチルチオメチル) アミノ] メチル} ピペリジンカルボン酸



tert-ブチルエステルヨウ化水素塩 (1722 mg、4.15 mmol) を DMF (20 ml) に溶解し、トリエチルアミン (0.868 ml、6.23 mmol) とイサト酸無水物 (2029 mg、12.45 mmol) を加えて、80℃で2時間攪拌した。2N水酸化ナトリウム水溶液 (10 ml) を加えて反応を停止した。水 (100 ml) を加え、酢酸エチル (100 ml×3) で抽出した。抽出した有機層を水 (100 ml×2)、飽和食塩水で洗浄し、無水硫酸ナトリウムで乾燥後、ろ別し濃縮した。シリカゲルカラムクロマトグラフィー (ヘキサン/酢酸エチル=1/1→1/2) により精製し、4- { [ (4-オキシヒドロキナゾリン-2-イル) アミノ] メチル } ピペリジンカルボン酸 tert-ブチルエステルを得た。LC-MS測定により同定した。

収量 685 mg、収率 46%、 $M+1=359.1$ 。

【0593】

[参考例 2-11]

2- [ (4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オン塩酸塩の合成

4- { [ (4-オキシヒドロキナゾリン-2-イル) アミノ] メチル } ピペリジンカルボン酸 tert-ブチルエステル (685 mg、1.91 mmol) をメタノール (5 ml) に溶解し、4N-塩酸ジオキサン溶液 (5 ml) に加えて、室温で終夜攪拌した。反応終了後、濃縮し、デシケーター中で減圧乾燥し、2- [ (4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オン塩酸塩を得た。LC-MS測定により同定した。

収量 581 mg、収率定量的、 $M+1=259.1$ 。

[2- [ (4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オンの合成

【0594】

[参考例 2-12]

(1-ベンジル-4-ピペリジル) メチルアミンの合成

4-アミノメチルピペリジン (5.754 ml、50 mmol) をアセトニトリル (200 ml) に溶解し、炭酸カリウム (13.82 g、100 mmol) とベンジルクロリド (17.13 g、150 mmol) を加えて、60℃で終夜

攪拌した。反応終了後、ろ別を行い、溶媒を留去した。展開溶媒 ( $\text{CH}_2\text{Cl}_2$  /  $\text{MeOH}$  /  $\text{NEt}_3 = 90/5/5$ ) を用いて、シリカゲルカラムクロマトグラフィーにより精製し、(1-ベンジル-4-ピペリジル) メチルアミンを得た。LC-MS測定により同定した。

収率 91%、収量 9.277 g、 $M+1=205.2$ 。

【0595】

[参考例 2-13]

2-({[1-ベンジル-4-ピペリジル] メチル} アミノ) ヒドロキナゾリン-4-オンの合成

(1-ベンジル-4-ピペリジル) メチルアミンを、参考例 2-7 (収率 84%)、2-8 (収率 73%)、2-9 (収率定量的) 及び 2-10 (収率 73%) に従い、2-({[1-ベンジル-4-ピペリジル] メチル} アミノ) ヒドロキナゾリン-4-オンを合成した。

【0596】

[参考例 2-14]

2-[(4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オンの合成

2-({[1-ベンジル-4-ピペリジル] メチル} アミノ) ヒドロキナゾリン-4-オン (880 mg, 2.53 mmol) をメタノール (80 mL) に溶解し、窒素置換した。これに水酸化パラジウム (100 mg) を加え、水素雰囲気下、60℃で4時間攪拌した。反応液を室温に冷却して窒素置換し、セライトを通じて濾過した。濾液を減圧濃縮して、2-[(4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オンを得た。LC-MSにより同定した。

収量 588 mg, 収率 86%、 $M+1=259.1$ 。

【0597】

[実施例 2-5]

2-[(1-[(2-クロロフェニル) メチル] -4-ピペリジル) メチル] アミノ] ヒドロキナゾリン-4-オンの合成

2-[(4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オン塩酸塩 (0.1 mmol, 33 mg, 参考例 2-11) 又は 2-[(4-ピペリジルメ

チル) アミノ] ヒドロキナゾリン-4-オン (0.1 mmol) を DMF/酢酸 (10/1, 1 ml) に溶解し、2-クロロベンズアルデヒド (0.3 mmol, 0.034 ml) と  $\text{NaBH}(\text{OAc})_3$  (0.3 mmol, 64 mg) を加えて、室温で終夜撹拌した。MeOH 1 ml を加えて反応を停止した。反応溶液を SCX (ボンドエルト SCX500MG) に注入した。CHCl<sub>3</sub>/MeOH (=1/1, 5 ml 2回) で洗浄した後、2N-NH<sub>3</sub>/MeOH 溶液 5 ml で溶離した。遠心濃縮器を用いて溶媒を留去し、2-[ (4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オンを得た。

収率、収量、純度 92~96%  $M+1=383.1$ 。

【0598】

[実施例 2-6~2-30、実施例 2-186~2-200]

化合物番号 2-6~2-30、化合物番号 2-186~2-200 の化合物は、それぞれ対応する反応物を用いて、実施例 2-5 の方法に従い、合成した。結果を表 15 に示す。

【0599】

[2-[ (4-ピペリジルメチル) アミノ] ヒドロキナゾリン-4-オン塩酸塩類縁体の合成

[参考例 2-15]

2-アミノ-5-(メトキシカルボニル) 安息香酸の合成

2-アミノ-5-ヨード安息香酸 (4 mmol, 1052 mg) を DMF (10 ml) と MeOH (5 ml) に溶解し、NEt<sub>3</sub> (3 eq, 1.67 ml) を加えた。続いて、酢酸パラジウム (0.1 eq, 90 mg)、dppp (0.1 eq, 165 mg) を加えて、一酸化炭素に置換し、80℃で5時間撹拌した。反応終了後、酢酸 (2.5 ml) を加えて反応を停止した。水 (50 ml) を加えて、酢酸エチル (50 ml × 3回) で抽出した。有機層を、水 (100 ml × 2回) で洗浄後、硫酸ナトリウムで乾燥した。濃縮後、展開溶媒 (Hex/AcOEt = 4/1-1/1) を用いて、シリカゲルクロマトグラフィーにより精製し、2-アミノ-5-(メトキシカルボニル) 安息香酸を得た。LC-MS測定

により同定した。

収率79%、収量618mg、 $M+1=196.0$ 。

【0600】

[参考例2-16]

2-(Boc)アミノ-6-クロロ安息香酸の合成

2-アミノ-6-クロロ安息香酸(1.13g, 6.59mmol)をテトラヒドロフラン(5.0mL)に溶解し、ナトリウムビストリメチルシリルアミド/1.0M in THF(19.8mL, 19.8mmol)を滴下した。これを15分間攪拌した後に、 $(Boc)_2O$ (1.82mL, 7.91mmol)のテトラヒドロフラン溶液(2.0mL)を滴下し、3時間攪拌した。反応液に水(20mL)及び1規定塩酸(約25mL)を加えて、pH約4に調製した。これを酢酸エチル(40mL×3回)で抽出し、得られた有機層を水(50mL×2回)、飽和食塩水(50mL)で洗浄し、無水硫酸マグネシウムで乾燥させた。これを減圧濃縮して得られた濃縮残渣を、シリカゲルカラムクロマトグラフィー(塩化メチレン:メタノール:酢酸=95:5:1)で精製して、2-(Boc)アミノ-6-クロロ安息香酸を得た。LC-MSとNMRにより同定した。収量1.62g、収率90%、 $M+23=294.0$ 。

$^1H$ -NMR(270MHz,  $CDCl_3$ ):  $\delta$  8.40(1H, s), 8.04(1H, d,  $J=8.2$  Hz), 7.35(1H, t,  $J=8.2$  Hz), 7.13(1H, d,  $J=8.2$  Hz), 1.52(9H, s) ppm.

【0601】

以下の中間体を、それぞれ対応する反応物を用いて、参考例2-16の方法に従い合成した。

2-(Boc)アミノ-3-クロロ安息香酸: 収量3.58g、収率70%、 $M+23=294.0$ 。

2-(Boc)アミノ-5-メトキシカルボニル安息香酸: 収量988mg、収率49%、 $M+1=296.1$ 。

【0602】

[参考例2-17]

## 5-クロロイサト酸無水物の合成

2-(Boc)アミノ-6-クロロ安息香酸(1.51g, 5.56mmol)を、トルエン(20mL)に懸濁し、加熱還流させた。ここに、オキザリルクロリド(0.572 mL, 6.67mmol)を滴下し、10分間激しく攪拌した。反応液を氷冷した後に、析出した結晶を濾取して、n-ヘキサンで洗浄し、デシケーター中で乾燥し、5-クロロイサト酸無水物を得た。

収量769mg, 収率70%,  $M+1=198.0$ 。

$^1\text{H-NMR}$  (270MHz, DMSO- $d_6$ ):  $\delta$  11.8 (1H, s), 7.65 (1H, t,  $J=8.2\text{Hz}$ ), 7.30 (1H, d,  $J=8.2\text{Hz}$ ), 7.10 (1H, d,  $J=8.2\text{Hz}$ ) ppm.

## 【0603】

以下の中間体をそれぞれ対応する反応物を用いて、参考例2-17の方法に従い合成した。

8-クロロイサト酸無水物: 収量1.42g, 収率55%,  $M+1=197.9$

6-メトキシカルボニルイサト酸無水物: 収量397mg, 収率57%,  $M+1=222.0$ 。

6-トリフルオロメチルイサト酸無水物: 収量1.52g, 収率50%,  $M+1=232.0$ 。

## 【0604】

## [参考例2-18]

## 6-(トリフルオロメトキシ)イサト酸無水物の合成

5-(トリフルオロメトキシ)アントラニル酸(2.221g, 10.04mmol)をTHF(25mL)に溶解し、トリホスゲン(1.08g)を加えて、室温で終夜攪拌した。反応終了後、溶媒を除去し、残渣を減圧乾燥した。アセトン及びヘキサンで洗浄し、デシケーター中で減圧乾燥し、6-(トリフルオロメトキシ)イサト酸無水物を得た。LC-MSにより同定した。

収量1.516g, 収率61%,  $M+1=248.0$ 。

## 【0605】

以下の中間体をそれぞれ対応する反応物を用いて、参考例2-18の方法に従

い合成した。

6-ニトロイサト酸無水物：収量 0.889 g、収率 43%、 $M+1=208.9$ 。

6-メチルイサト酸無水物：収量 1.251 g、収率 70%、 $M+1=178.0$ 。

5-カルボキシルイサト酸無水物：収量 1.352 g、収率 65%、 $M+1=208.0$ 。

6-フルオロイサト酸無水物： $M+1=182.0$ 。

6-ヒドロキシイサト酸無水物： $M+1=180.0$ 。

6-メトキシイサト酸無水物： $M+1=194.0$ 。

5-メチルイサト酸無水物： $M+1=178.0$ 。

【0606】

[参考例 2-19]

2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩類縁体の合成

参考例 2-17 又は 2-18 を用いて合成したイサト酸無水物に対して、参考例 2-10、参考例 2-11 を用いて、以下に示す中間体を合成した。

5-クロロ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

8-クロロ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-メトキシカルボニル-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-トリフルオロメチル-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-トリフルオロメトキシ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-ニトロ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-メチル-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

5-メトキシカルボニル-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-フルオロ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-ヒドロキシ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

6-メトキシ-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

5-メチル-2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩。

#### 【0607】

[実施例2-201~2-250、499、511、513、565]

化合物番号2-201~2-250、499、511、513、及び565の化合物を、参考例2-15~2-19によって合成した、それぞれ対応する2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オン塩酸塩類縁体と反応物を用いて、実施例2-5の方法に従い、合成した。結果を表15に示す。

#### 3-Nアルキルキナゾリノン誘導体の合成法

[参考例2-20]

4-( {[ (メチルアミノ) チオキソメチル] アミノ } メチル) ピペリジンカルボン酸tertブチルエステルの合成

1-Boc-4-アミノメチルピペリジン(642mg、3mmol)をTHF(8ml)に溶解し、メチルイソチオシアネート(241mg、3.3mmol)を加えて、終夜室温で攪拌した。反応終了後、シリカゲルカラムクロマトグラフィー(Hex/AcOEt=1/4)により精製し、4-( {[ (メチルアミノ) チオキソメチル] アミノ } メチル) ピペリジンカルボン酸tertブチルエステルを得た。LC-MS測定により同定した。

収量 8 3 9 m g、収率 9 8 %、 $M+1=288.1$ 。

【0608】

[参考例 2-21]

3-メチル-2-[(4-ピペリジルメチル)アミノ]-3-ヒドロキナゾリン-4-オン塩酸塩の合成

参考例 2-9 (収率 9 4 %、収量 1 1 7 1 m g)、参考例 2-10 (収率 3 3 %、収量 3 3 1 m g)、参考例 2-11 (収率定量的、収量 1 1 6 m g) に従い、3-メチル-2-[(4-ピペリジルメチル)アミノ]-3-ヒドロキナゾリン-4-オン塩酸塩を合成した。

収率定量的、収量 1 1 6 m g、 $M+1=273.1$ 。

【0609】

[実施例 2-492~2-495]

化合物番号 2-492~2-495 の化合物を、参考例 2-20、2-21 に  
よって合成した化合物に対し、それぞれ対応する反応物を用いて、実施例 2-5  
の方法に従い合成した。結果を表 15 に示す。

【0610】



【表 397】

表15

化合物No.2-	収量(mg)	収率(%)	MW	M+1
1	27.0	44	416.1	417.1
2	25.0	38	450.1	453.2 (Cl×3)
3	4.1	6	432.2	433.2
4	12.0	49	398.2	399.3
5	15.0	39	382.1	383.1
6	21.0	55	382.1	383.1
7	25.0	65	382.1	383.1
8	23.3	92	378.2	379.1
9	36.0	100	378.2	379.1
10	22.6	97	376.2	377.2
11	23.2	97	398.2	399.1
12	25.3	95	442.1	443.0
13	27.7	100	456.1	458.1 (Br)
14	19.3	72	444.1	445.0
15	24.8	97	426.1	427.1
16	23.3	90	432.1	433.1
17	23.3	97	400.1	401.1
18	24.3	90	401.2	402.2
19	29.1	100	404.2	405.2
20	11.9	50	394.2	395.2
21	13.1	55	393.2	394.2
22	12.1	53	378.2	379.2
23	17.0	71	398.2	399.2
24	12.5	60	348.2	349.2
25	7.6	35	362.2	363.2
26	1.6	3	476.0	479.0 (Br)
27	6.0	15	389.2	390.2
28	12.0	30	466.1	467.2
29	12.0	28	466.1	467.1
30	14.0	40	398.2	399.1
186	15.0	57	432.1	433.0
187	25.8	95	443.1	444.1
188	25.0	96	428.2	429.1
189	21.6	85	416.1	417.1
190	22.6	80	460.1	463.0 (Br,Cl)

【0611】

【表 3 9 8】

表15のつづき1

191	24.4	93	427.1	428.1
192	26.6	96	412.2	413.1
193	21.3	75	466.1	467.1
194	17.2	65	435.2	436.2
195	24.2	90	438.1	439.1
196	21.4	92	382.2	383.1
197	22.5	90	410.2	411.1
198	16.5	57	476.1	479 (Br,Cl)
199	7.8	30	432.2	433.1
200	6.3	26	396.2	397.1
201	1.0	5	466.1	469.1 (Cl×3)
202	4.7	34	462.1	463.0
203	4.0	21	490.1	491.1
204	4.8	34	466.1	469.0 (Cl×3)
205	2.0	41	490.1	491.0
206	2.5	14	466.1	467.0
207	2.8	14	516.1	517.1
208	2.9	15	490.1	491.1
209	3.9	19	500.1	501.1
210	2.4	12	446.1	447.1
211	5.0	26	450.1	451.1
212	2.5	13	448.1	449.0
213	4.0	9	477.1	478.0
214	20.0	37	468.1	469.1
215	7.0	13	450.1	451.0
216	2.8	6	446.1	447.1
217	3.0	8	457.1	458.1
218	2.2	13	432.1	433.0
219	9.5	74	428.2	429.3
220	5.5	31	456.2	457.1
221	5.2	40	432.1	433.1
222	3.1	68	456.2	457.2
223	6.7	40	432.1	433.1
224	5.0	27	482.1	483.1
225	3.5	20	456.2	457.2
226	3.4	18	466.1	467.1

【 0 6 1 2 】

【表 3 9 9】

表15のつづき2

227	3.0	17	412.2	413.1
228	5.9	33	416.1	417.0
229	4.0	23	432.2	433.1
230	2.0	23	428.2	429.2
231	1.0	6	456.2	457.2
232	1.6	9	432.2	433.2
233	1.7	9	456.2	457.3
234	7.2	43	432.2	433.1
235	8.5	46	482.2	483.1
236	2.6	15	456.2	457.2
237	2.0	11	466.2	467.2
238	3.7	21	412.2	413.2
239	5.3	30	416.2	417.1
240	6.3	38	410.2	411.2
241	2.9	36	406.2	407.3
242	9.2	55	434.2	435.2
243	10.2	62	410.2	411.2
244	21.1	121	434.2	435.2
245	10.0	63	410.2	411.1
246	15.7	89	460.2	461.2
247	2.1	13	434.2	435.2
248	5.8	33	444.2	445.2
249	4.4	26	390.2	391.2
250	7.6	45	394.2	395.1
492	5.0	17	446.1	447.1
493	9.0	31	412.2	413.2
494	16.0	55	412.2	413.2
495	15.0	52	390.2	391.2
499	12.0	38	430.9	431.1
511	10.0	32	434.9	435.1
513	6.0	16	430.9	431.1
565	9.2	26	442.9	443.1

【0 6 1 3】

## ベンゾチアジアジン誘導体の合成法

## [参考例 3-1]

7-フルオロ-2H, 4H-ベンゾ[e] 1, 2, 4-チアジアジン-1, 1, 3-トリオンの合成

クロロスルホニルイソシアナート (3.29 mL, 37.8 mmol) をニトロエタン (45 mL) に溶解し、-80℃に冷却した。これに、4-フルオロアニリン (3.50 g, 31.5 mmol) のニトロメタン溶液 (5 mL) を、10分間で滴下した。反応液を0℃まで昇温し、塩化アルミニウム (5.33 g, 40.0 mmol) を加えた。30分間加熱還流した後に、室温まで冷却してから、反応液を氷水 (120 mL) に注いだ。析出した結晶を濾取、乾燥して、7-フルオロ-2H, 4H-ベンゾ[e] 1, 2, 4-チアジアジン-1, 1, 3-トリオンを得た。

収量 3.72 g、収率 55%、 $M+1=217.0$ 。

参考例 3-1 に従い、7-メチル-2H, 4H-ベンゾ[e] 1, 2, 4-チアジアジン-1, 1, 3-トリオン (4.24 g、67%)、7-エチル-2H, 4H-ベンゾ[e] 1, 2, 4-チアジアジン-1, 1, 3-トリオン (2.6 g、37%)、及び 7-メトキシ-2H, 4H-ベンゾ[e] 1, 2, 4-チアジアジン-1, 1, 3-トリオン (1.09 g、16%) を合成した。

【0614】

## [参考例 3-2]

2-アミノ-5-フルオロベンゼンスルホンアミドの合成

7-フルオロ-2H, 4H-ベンゾ[e] 1, 2, 4-チアジアジン-1, 1, 3-トリオン (3.00 g, 13.9 mmol) を、50%硫酸 (90 mL) に懸濁し、130℃で1時間攪拌した。反応液を氷浴で冷却しながら、40%水酸化ナトリウム水溶液を加えて中和した。この水溶液を200 mLまで減圧濃縮し、析出物を濾取した。これを酢酸エチル (100 mL) に懸濁させて、不溶物を濾別した。濾液を減圧濃縮、乾燥して2-アミノ-5-フルオロベンゼンスルホンアミドを得た。

収量 2.27 g、収率 86%、 $M+1=191.0$ 。

参考例 3-2 に従い、2-アミノ-5-メチルベンゼンスルホンアミド（収量 958 mg、収率 55%）、2-アミノ-5-エチルベンゼンスルホンアミド（収量 1.4 g、収率 64%）、及び 2-アミノ-5-メトキシベンゼンスルホンアミド（収量 696 mg、収率 72%）を合成した。

【0615】

[参考例 3-3]

2-ブロモ-4, 5-ジメチルニトロベンゼンの合成

磁気攪拌子を備えた 300 mL なすフラスコに、4, 5-ジメチル-2-ニトロアニリン 10.02 g (60.3 mmol) を量り取り、48% 臭化水素酸水溶液 30 mL と水 30 mL を加えて激しく攪拌した。懸濁液は、橙色となった。橙色サスペンションのまま、氷水-食塩浴上で冷却し、液温が 5℃ を上回らないように亜硝酸ナトリウム 4.422 g (64.1 mmol) を 24 mL の水に溶解した水溶液を、橙色サスペンションに滴下した。滴下が完了したとき、反応液は茶褐色溶液になった。氷水浴上でそのまま茶褐色溶液を攪拌した。

磁気攪拌子を備えた 1 L 三角フラスコへ、48% 臭化水素酸水溶液 30 mL、臭化銅 (I) 11.85 g (82.6 mmol) を入れて氷水浴上で冷却し、攪拌しながら、上で得られた茶褐色溶液を 5 分間かけて滴下した。滴下終了後、氷水浴上で 20 分間攪拌した後、80℃ の油浴上で激しく攪拌しながら加熱した。

1 時間後に加熱を止め、室温で終夜攪拌した反応混合物を、酢酸エチル 300 mL × 2 回で抽出し、有機層を合わせて 5 規定塩酸、飽和重曹水、飽和食塩水の順で洗浄した。有機層を無水硫酸ナトリウム上で乾燥させた後、乾燥剤を減圧濾過により除去して濾液を濃縮し、黄褐色固体を得た。この黄褐色固体をシリカゲルカラムクロマトグラフィー (Hex-EtOAc / 10 : 1) で精製し、茶褐色針状晶を得た。この茶褐色針状晶をヘキサンから再結晶し、黄色針状晶として 2-ブロモ-4, 5-ジメチルニトロベンゼンを得ることができた。

収量 6.637 g, 収率 47.9%

$^1\text{H}$  NMR (270 MHz,  $\text{CDCl}_3$ )

$\delta$  2.29 (3H, s), 2.31 (3H, s), 7.49 (1H, s), 7.69 (1H, s)

## 【0616】

## [参考例3-4]

## 2-ブロモ-4, 5-ジメチルアニリンの合成

磁気攪拌子を備えた100 mLなすフラスコに2-ブロモ-4, 5-ジメチルニトロベンゼン1.006 g (4.375 mmol)を量り取り、2-メトキシエタノール10 mLと水10 mLを加えて攪拌し、懸濁させた。ここへハイドロサルファイトナトリウム2.799 g (10.07 mmol)を加えて100℃の油浴上で激しく攪拌しながら加熱した。2.5時間後、得られた薄黄色サスペンションを加熱攪拌したまま水10 mLを加えると不溶物が消失し、薄黄色溶液となった。この薄黄色溶液に、濃塩酸10 mLを5分間かけて滴下し、滴下後20分間還流させた。

つづいて室温まで反応液の温度を下げ、炭酸ナトリウムを粉末で加えて反応液を中和すると、pH 7~8付近で薄茶色~白色の沈殿物が析出した。集めた沈殿物を乾燥させ白色固体として2-ブロモ-4, 5-ジメチルアニリンを得た。

収量0.832 g、収率95.0%。

$^1\text{H}$  NMR (270 MHz,  $\text{CDCl}_3$ )

$\delta$  2.13 (6H, s), 6.59 (1H, s), 7.16 (1H, s)

## 【0617】

## [参考例3-5]

## 5-ブロモ-7, 8-ジメチル-2H, 4H-ベンゾ[e]1, 2, 4-チアジアジン-1, 1, 3-トリオンの合成

参考例3-1に従い、表題化合物を得た。

収量5.27 g、収率83%、 $M+1=304.9$ 。

$^1\text{H}$ -NMR (270 MHz,  $\text{CD}_3\text{OD}$ )

$\delta$  7.69 (1H, s), 2.55 (3H, s), 2.31 (3H, s)

## 【0618】

## [参考例3-6]

## 7, 8-ジメチル-2H, 4H-ベンゾ[e]1, 2, 4-チアジアジン-1, 1, 3-トリオンの合成

5-ブロモ-7, 8-ジメチル-2H, 4H-ベンゾ[e]1, 2, 4-チアジアジン-1, 1, 3-トリオン (5.27 g, 17.3 mmol) をメタノール (60 mL) に懸濁し、ギ酸アンモニウム (5.45 g, 86.5 mmol, 5 eq) を加えて窒素置換した。これに10%パラジウム-カーボン粉末 (1.84 g, 1.73 mmol, 10 mol%) を加えて、4時間加熱還流した。反応液を室温まで冷却し、セライトを通じて濾過した。濾液を氷冷して、析出した結晶を濾取、乾燥して、7, 8-ジメチル-2H, 4H-ベンゾ[e]1, 2, 4-チアジアジン-1, 1, 3-トリオンを得た。

収量3.66 g、収率94%、 $M+1=227.0$ 。

$^1\text{H-NMR}$  (270 MHz,  $\text{CD}_3\text{OD}$ )

$\delta$  7.19 (1H, d,  $J=8.3$  Hz), 6.78 (1H, d,  $J=8.3$  Hz), 2.57 (3H, s), 2.26 (3H, s)

【0619】

[参考例3-7]

2-アミノ-5, 6-ジメチルベンゼンスルホンアミドの合成

参考例3-2に従い、表題化合物を得た。

収量1.98 g、収率61%、 $M+1=201.1$ 。

$^1\text{H-NMR}$  (270 MHz,  $\text{DMSO}-d_6$ )

$\delta$  7.20 (2H, s), 6.98 (1H, d,  $J=8.4$  Hz), 6.55 (1H, d,  $J=8.4$  Hz), 5.98 (2H, s), 2.39 (3H, s), 2.10 (3H, s)

参考例3-3~3-7に従い、4-メチル-2-ニトロアニリンを原料にして、2-アミノ-6-メチルベンゼンスルホンアミドを合成した。収量555 mg、収率45%。

$^1\text{H-NMR}$  (270 MHz,  $\text{DMSO}$ )

$\delta$  2.48 (3H, s), 6.12 (2H, s), 6.40 (1H, d,  $J=7.0$  Hz), 6.62 (1H, d,  $J=8.1$  Hz), 6.99-7.04 (1H, dd,  $J=8.1$  Hz,  $J=7.0$  Hz), 7.19 (2H, s)

【0620】

## [参考例 3-8]

4- { [ (7-フルオロ-1, 1-ジオキソ-4 H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル} ピペリジンカルボン酸 *tert* ブチルエステルの合成

1-N-Boc-4-アミノメチルピペリジン (1.08 g, 5.04 mmol) をアセトニトリル (8.0 mL) に溶解し、0℃に冷却した。これに 1, 1'-チオカルボニルジイミダゾール (988 mg, 5.54 mmol) 及びイミダゾール (103 mg, 1.51 mmol) のアセトニトリル溶液 (10 mL) を滴下して、室温で 2 時間攪拌した。反応液に 2-アミノ-5-フルオロベンゼンスルホンアミド (1.25 g, 6.55 mmol) 及びジメチルアミノピリジン (739 mg, 6.05 mmol) を加え、80℃で 1 晩攪拌した。これにジイソプロピルカルボジイミド (0.233 mL, 1.51 mmol) を加えて、1 時間攪拌した。反応液を室温に冷却した後に減圧濃縮して、残渣を酢酸エチル (50 mL) に溶解した。これを水 (20 mL) 及び飽和食塩水 (20 mL) で洗浄した後に、無水硫酸ナトリウムで乾燥させた。減圧濃縮後の残渣をシリカゲルカラムクロマトグラフィー (n-ヘキサン：酢酸エチル = 3 : 2 → 2 : 3) で精製して、4- { [ (7-フルオロ-1, 1-ジオキソ-4 H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル} ピペリジンカルボン酸 *tert* ブチルエステルを得た。

収量 1.66 g、収率 80%、 $M-Boc + 2H = 313.1$ 。

【0621】

参考例 3-8 に従い、

4- { [ (1, 1-ジオキソ-4 H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル} ピペリジンカルボン酸 *tert* ブチルエステル：収量 132 mg、収率 67%

4- { [ (7-メチル-1, 1-ジオキソ-4 H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル} ピペリジンカルボン酸 *tert* ブチルエステル：収量 681 mg、収率 49%

4- { [ (7-メトキシ-1, 1-ジオキソ-4 H-ベンゾ [e] 1, 2, 4-



チアジアジン-3-イル) アミノ] メチル) ピペリジンカルボン酸 *tert* ブチルエステル: 収量 766 mg、収率 63%

4- { [ (7-エチル-1, 1-ジオキソ-4H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル) ピペリジンカルボン酸 *tert* ブチルエステル: 収量 525 mg、収率 36%

4- { [ (8-メチル-1, 1-ジオキソ-4H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル) ピペリジンカルボン酸 *tert* ブチルエステル: 収量 203 mg、収率 44%

4- { [ (7, 8-ジメチル-1, 1-ジオキソ-4H-ベンゾ [e] 1, 2, 4-チアジアジン-3-イル) アミノ] メチル) ピペリジンカルボン酸 *tert* ブチルエステル: 収量 175 mg、収率 30%

を合成した。

#### 【0622】

##### [参考例 3-9]

7-フルオロ-3- [ (4-ピペリジルメチル) アミノ] -4H-ベンゾ [e] 1, 2, 4-チアジアジン-1, 1-ジオン塩酸塩の合成

参考例 2-11 に従い、7-フルオロ-3- [ (4-ピペリジルメチル) アミノ] -4H-ベンゾ [e] 1, 2, 4-チアジアジン-1, 1-ジオンを得た。  
収量 497 mg、収率 90%、 $M+1=313.1$ 。

#### 【0623】

参考例 3-9 に従い、以下の化合物を合成した。

7-メチル-3- [ (4-ピペリジルメチル) アミノ] -4H-ベンゾ [e] 1, 2, 4-チアジアジン-1, 1-ジオン塩酸塩: 収量 691 mg、収率定量的

。

3- [ (4-ピペリジルメチル) アミノ] -4H-ベンゾ [e] 1, 2, 4-チアジアジン-1, 1-ジオン塩酸塩: 収率定量的、収量 116 mg、 $M+1=295.1$ 。

7-メトキシ-3- [ (4-ピペリジルメチル) アミノ] -4H-ベンゾ [e] 1, 2, 4-チアジアジン-1, 1-ジオン塩酸塩: 収量 505 mg、収率 79

%、 $M+1=325.0$ 。

7-エチル-3-[(4-ピペリジルメチル)アミノ]-4H-ベンゾ[e]1,2,4-チアジアジン-1,1-ジオン塩酸塩：収量470mg、収率定量的、 $M+1=323.1$ 。

8-メチル-3-[(4-ピペリジルメチル)アミノ]-4H-ベンゾ[e]1,2,4-チアジアジン-1,1-ジオン塩酸塩：収量97mg、収率63%、 $M+1=309.1$ 。

7,8-ジメチル-3-[(4-ピペリジルメチル)アミノ]-4H-ベンゾ[e]1,2,4-チアジアジン-1,1-ジオン塩酸塩：収量44mg、収率89%、 $M+1=323.1$ 。

【0624】

[実施例3-1~3-10、3-208~3-577]

化合物番号3-1~3-10及び3-208~3-577の化合物を、参考例3-1~3-9によって合成した化合物に対し、それぞれ対応する反応物を用いて、実施例2-5の方法に従い、合成した。結果を表16に示す。

【0625】

【表 4 0 0】

表 16

化合物No.3-	収量(mg)	収率(%)	MW	M+1
1	10.0	35	468.0	469.0
2	7.0	26	434.1	435.1
3	9.0	34	434.1	435.0
4	13.0	52	412.1	413.1
5	25.2	66.2	482.1	483.0
6	20.8	58.9	448.1	449.1
7	25.4	71.9	448.2	449.2
8	9.9	26.1	486.1	487.0
9	20.5	58.1	452.1	453.1
10	38.3	100	452.2	453.1
208	20.4	54	499.4	499.0
220	4.7	11	483.4	483.0
223	28.7	81	465.0	465.1
235	8.1	21	449.0	449.1
238	34.1	97	464.6	465.2
368	4.5	12	463.0	463.1
504	4.0	13	497.4	497.1
505	10.0	35	463.0	463.1
511	9.8	24	467.0	467.0
523	15.0	50	470.9	471.1
525	5.4	13	467.0	467.1
526	8.0	27	481.0	481.1
527	18.0	60	483.0	483.1
555	17.2	37	481.0	481.1
577	9.4	25	479.1	479.0

【 0 6 2 6 】

## ジヒドロキナゾリン誘導体の合成法

[参考例 4 - 1]

## 4 - (ジヒドロキナゾリン-2-アミノメチル) ピペリジン 2 塩酸塩の合成

1 - Boc - 4 - (アミノメチル) ピペリジン (350 mg、1.6 mmol)  
 ) を  $\text{CH}_3\text{CN}$  (15 ml) に溶解し、チオカルボニルジイミダゾール (350

mg、1.9 mmol)を加え、室温で1時間攪拌した。反応液に、2-アミノベンジルアミン(240 mg、1.9 mmol)を加え、室温で1時間攪拌した。溶媒を減圧留去し、1-Boc-4-(2-アミノベンジルチオウレアメチル)ピペリジンを得た。LC-MSにより同定した。

$M+1=379.2$ 。

1-Boc-4-(2-アミノベンジルチオウレアメチル)ピペリジンをEtOH(30 mL)に溶かし、酸化水銀(800 mg)を加え、1時間還流した。溶媒を減圧留去し、1-Boc-4-(ジヒドロキナゾリン-2-アミノメチル)ピペリジンを得た。LC-MSにより同定した。

$M+1=345.2$ 。

1-Boc-4-(ジヒドロキナゾリン-2-アミノメチル)ピペリジンをメタノール(10 mL)に溶かし、4規定塩化水素/1,4-ジオキサン溶液(16 mL)を加え、50℃で90分攪拌した。溶媒を減圧留去し、4-(ジヒドロキナゾリン-2-アミノメチル)ピペリジン・塩酸塩を得た。LC-MSにより同定した。

収量449 mg、89%、 $M+1=245.1$ 。

【0627】

[実施例4-1~4-5]

化合物番号4-1~4-5の化合物を、それぞれ対応する反応物を用いて、実施例2-5の方法に従い合成した。結果を表17に示す。

【0628】

[実施例4-7]

2,4-ジクロロ-6-[(4-{[(6-クロロ(1,4-ジヒドロキナゾリン-2-イル))アミノ]メチル}ピペリジル)メチル]フェノールの合成

化合物番号2-193(2.5 mg、0.028 mmol)をTHF(0.5 mL)に溶解し、1N-BH<sub>3</sub>・THF溶液(0.56 mL、0.56 mmol)を加え、80℃にて24時間攪拌した。得られた溶液に、5N-NaOH aq(0.5 mL)を加え、80℃にて5時間攪拌した。得られた溶液を酢酸エチルにて抽出(2 mL×2)、Sep-Pak-Dry(商品名、パリアン製、硫

酸ナトリウムのカートリッジ)に移して乾燥し、さらにSCX(ボンドエルトSCX500MG)に移した。SCXを $\text{CHCl}_3/\text{MeOH}$ (1/1)混合溶液(5mL)で洗浄し、 $2\text{N-NH}_3/\text{MeOH}$ 溶液(5mL)で溶出した。溶出液を濃縮し、HPLC分取システムにより精製を行ない、2,4-ジクロロ-6-[ (4-{ [(6-クロロ(1,4-ジヒドロキナゾリン-2-イル)) アミノ] メチル} ピペリジル) メチル] フェノールを得た。

収量2.3 mg、収率19%、 $\text{M}+1=453.0$ 。

【0629】

[実施例4-6、4-8~4-11]

化合物番号4-6、4-8~4-11の化合物を、それぞれ対応する反応物を用いて、実施例4-2の方法に従い合成した。結果を表17に示す。

【0630】

【表401】

表17

化合物No.4-	収量(mg)	収率(%)	MW	M+1
1	3.6	10	402.2	403.2
2	2.7	16	418.1	419.0
3	7.7	50	384.1	385.1
4	1.0	7	384.1	385.1
5	6.6	61	362.2	363.2
6	2.6	25	502.1	503.1
7	2.3	19	452.1	453.0
8	1.4	3	432.2	433.1
9	6.3	12	436.1	437.1
10	2.1	4	432.2	433.1
11	2.8	6	434.1	435.1

【0631】

2-[ (4-ピペリジニルメチル) アミノ] ヒドロチオフェノ [3, 2, d] ピリミジン-4-オン誘導体の合成法

[参考例5-1]

3-{ [(フェニルカルボニルアミノ) チオキソメチル] アミノ} チオフェン-

## 2-カルボン酸メチルエステルの合成

3-アミノチオフエン-2-カルボン酸メチルエステル (500 mg, 3.18 mmol) のアセトン (3 mL) 溶液に、ベンゾイルイソチオシアネート (1038 mg, 6.36 mmol) のアセトン (3 mL) 溶液を添加した。室温にて10時間攪拌、その後濃縮し、残渣をシリカゲルクロマトグラフィー (Hex/EtOAc = 10/1) により精製し、3- { [ (フェニルカルボニルアミノ) チオキソメチル] アミノ } チオフエン-2-カルボン酸メチルエステルを得た。

収量 866 mg、収率 85%、 $M+1 = 321.0$ 。

【0632】

[参考例 5-2]

カリウムヒドロチオフエノ [3, 2, d] ピリミジン-4-オン-2-チオレート  
トの合成

KOH (303 mg, 5.4 mmol) の EtOH (10 mL) 溶液に、3- { [ (フェニルカルボニルアミノ) チオキソメチル] アミノ } チオフエン-2-カルボン酸メチルエステル (866 mg, 2.7 mmol) の EtOH (3 mL) 溶液を添加した。加熱還流下3時間攪拌、析出した白色沈殿を濾取した。EtOH (5 mL × 2) で洗浄し、減圧下乾燥してカリウムヒドロチオフエノ [3, 2, d] ピリミジン-4-オン-2-チオレートを得た。

収量 476 mg、収率 79%。

NMR (DMSO- $d_6$ ) :  $\delta$  H 6.85 (m, 1H), 7.22 (m, 1H), 10.41 (br, 1H)

【0633】

[参考例 5-3]

2-メチルチオヒドロチオフエノ [3, 2, d] ピリミジン-4-オンの合成

カリウムヒドロチオフエノ [3, 2, d] ピリミジン-4-オン-2-チオレート (476 mg, 2.14 mmol) の水 (10 mL) 溶液に、MeI (133 L, 2.14 mmol) を添加した。室温にて3時間攪拌、析出した白色沈殿を濾取した。水 (5 mL × 2) で洗浄し、減圧下乾燥して、2-メチルチオヒド

ロチオフェノ [3, 2, d] ピリミジン-4-オンを得た。

収量 337 mg、収率 79%。

NMR (DMSO- $d_6$ ) :  $\delta$  H 2.49 (s, 1H), 7.26 (d, J = 5.4, 1H), 8.08 (d, J = 5.4, 1H)

【0634】

[参考例 5-4]

2-[(1-Boc-4-ピペリジニルメチル) アミノ] ヒドロチオフェノ [3, 2, d] ピリミジン-4-オンの合成

2-メチルチオヒドロチオフェノ [3, 2, d] ピリミジン-4-オン 200 mg (1.0 mmol) のクロロホルム (5 mL) 溶液に、60%の3-クロロ過安息香酸 287 mg (1.0 mmol) を氷冷下に加え、室温にて2時間攪拌する。得られた溶液を濃縮し、化合物 1-Boc-4-アミノメチルピペリジン 235 mg (1.1 mmol)、トリエチルアミン 0.2 mL (1.5 mmol) 及び diglyme (diethylene glycol dimethyl ether) (5 mL) を加える。得られた溶液を、180℃にて10時間攪拌、その後水 (10 mL) を加え、酢酸エチル (5 mL × 2) にて抽出し、硫酸マグネシウムにて乾燥する。ろ過後、ろ液を濃縮し、残渣をシリカゲルクロマトグラフィー (Hex/EtOAc = 1/1 → 0/1) にて精製し、2-[(1-Boc-4-ピペリジニルメチル) アミノ] ヒドロチオフェノ [3, 2, d] ピリミジン-4-オンを得た。

収量 160 mg、収率 44%。

LC/MS (LC/MSD) : (M+H)<sup>+</sup> = 365.1 (実測値) M = 364.16 (理論値)。

【0635】

[参考例 5-5]

2-[(4-ピペリジニルメチル) アミノ] ヒドロチオフェノ [3, 2, d] ピリミジン-4-オンの合成

参考例 2-11 に従い、合成した。

収量 135 mg、収率 90%、M+1 = 265.1。

【0636】

[実施例 5-1]

化合物番号 5-1 の化合物を、参考例 5-1～5-5 によって合成した化合物に対して、対応する反応物を用いて、実施例 2-5 の方法に従い合成した。結果を表 18 に示す。

【0637】

【表 402】

表 18

化合物No.5-	収量(mg)	収率(%)	MW	M+1
1	17.0	42	438.0	439.0

【0638】

5-メチル-2-[(4-ピペリジニルメチル) アミノ] ヒドロピロロ [3, 2, d] ピリミジン-4-オン誘導体の合成

[参考例 6-1]

5-メチル-2-[(4-ピペリジニルメチル) アミノ] ヒドロピロロ [3, 2, d] ピリミジン-4-オンの合成

参考例 5-1～5-5 に従い、3-アミノ-1-メチルピロロ-2-カルボン酸エチルエステルを原料に用いて合成し、表題化合物を得た。

収量 245 mg、収率 92%、 $M+1 = 262.1$  (実測値)  $M = 261.1$  (理論値)。

【0639】

[実施例 6-1]

化合物番号 6-1 の化合物を、参考例 6-1 によって合成した化合物に対して、対応する反応物を用いて、実施例 2-5 の方法に従い合成した。結果を表 19 に示す。

【0640】



【表 4 0 3】

表 19

化合物No.6-	収量(mg)	収率(%)	MW	M+1
1	4.0	11	436.3	436.1

【0 6 4 1】

2 - [ ( 4 - ピペリジニルメチル ) アミノ ] - 1 H , 5 H - ベンゾ [ f ] 1 , 3 - ジアゼピン - 4 - オン誘導体の合成

[参考例 7 - 1]

4 - { [ ( { [ 2 - ( カルバモイルメチル ) フェニル ] アミノ } チオキソメチル ) アミノ ] メチル } ピペリジンカルボン酸 t e r t ブチルエステルの合成

1 - N - B o c - 4 - アミノメチルピペリジン ( 8 6 9 m g , 4 . 0 6 m m o l ) をアセトニトリル ( 1 0 m L ) に溶解し、氷浴下でチオカルボニルジイミダゾール ( 7 9 4 m g , 4 . 4 6 m m o l ) 及びイミダゾール ( 8 2 . 9 m g , 1 . 2 2 m m o l ) のアセトニトリル溶液 ( 1 5 m L ) を滴下して、室温で 4 時間攪拌した。これに 2 - ( 2 - アミノフェニル ) - アセトアミド ( 6 7 0 m g , 4 . 4 6 m m o l ) を加え、6 0 ° C で一晩攪拌した。反応液を減圧濃縮した残渣をシリカゲルカラムクロマトグラフィー ( 塩化メチレン / メタノール = 6 5 : 1 → 4 9 : 1 ) で精製して表題化合物を得た。

収量 1 . 4 1 g 、収率 8 5 % 、 M + 1 = 4 0 7 . 2 ( 実測値 ) M = 4 0 6 . 2 ( 理論値 ) 。

【0 6 4 2】

[参考例 7 - 2]

4 - { [ ( 4 - オキソ - 1 H , 5 H - ベンゾ [ f ] 1 , 3 - ジアゼピン - 2 - イル ) アミノ ] メチル } ピペリジンカルボン酸 t e r t ブチルエステルの合成

4 - { [ ( { [ 2 - ( カルバモイルメチル ) フェニル ] アミノ } チオキソメチル ) アミノ ] メチル } ピペリジンカルボン酸 t e r t ブチルエステル ( 4 1 0 m g , 1 . 0 1 m m o l ) をテトラヒドロフラン ( 1 5 m L ) に溶解し、N , N' - ジシクロヘキシルカルボジイミド ( 2 0 8 m g , 1 . 0 1 m m o l ) を加え、室温で 6 時間攪拌した。不溶物を濾別して得られた濾液を減圧濃縮後、n -

ヘキサン／酢酸エチル（1：2，3 mL）に懸濁した。再度不溶物を濾別し、濾液を減圧濃縮した残渣をシリカゲルカラムクロマトグラフィー（n-ヘキサン／酢酸エチル＝2／3→1／2）で精製して表題化合物を得た。収量193mg、収率51%。

$^{13}\text{C}$ -NMR (100MHz,  $\text{CDCl}_3$ ) :  $\delta$  = 156.6, 155.0, 136.1, 129.6, 129.2, 126.6, 126.2, 117.9, 79.7, 45.6, 36.7, 29.7, 28.5, 20.5

$^1\text{H}$ -NMR (400MHz,  $\text{CDCl}_3$ ) :  $\delta$  = 7.38 (1H, d,  $J$  = 7.3 Hz), 7.18-7.32 (3H, m), 7.14 (1H, s), 5.43 (1H, s), 4.07 (2H, s), 3.71 (2H, s), 3.06 (1H, s), 2.65 (2H, m), 1.61 (3H, m), 1.48 (9H, s), 1.05 (2H, m)

【0643】

〔参考例7-3〕

2-[(4-ピペリジニルメチル)アミノ]-1H, 5H-ベンゾ[f]1,3-ジアゼピン-4-オン合成

参考例2-11に従い、4-{[(4-オキソ-1H, 5H-ベンゾ[f]1,3-ジアゼピン-2-イル)アミノ]メチル}ピペリジンカルボン酸tertブチルエステルを原料に用いて、表題化合物を合成した。

収量218mg、収率定量的、 $M+1=273.1$  (実測値)  $M=272.2$  (理論値)。

【0644】

〔実施例7-1〕

化合物番号7-16および7-504の化合物を、参考例7-1～7-3によって合成した化合物に対して、対応する反応物を用いて、実施例2-5の方法に従い合成した。結果を表20に示す。

【0645】

【表 4 0 4】

表20

化合物No.7-	収量(mg)	収率(%)	MW	M+1
16	4.0	10	447.4	447.1
504	6.0	16	430.9	431.1

【0 6 4 6】

[2-[(4-ピペリジルメチル)アミノ]ヒドロキナゾリン-4-オンの誘導体の合成

【実施例 8-1】

化合物番号 8-16 の合成

磁気攪拌子を備えた 15 mL なすフラスコに化合物番号 1-16 を 5.7 mg (0.0132 mmol) を入れ、オキシ塩化リン 1 g に溶解してバス温 120℃ 上で 1 時間攪拌した。減圧濃縮によりオキシ塩化リンを留去したのち、チオ尿素 84.2 mg (1.106 mmol) と 1,4-ジオキサン 4 mL を加えて 1 時間還流させた。一旦溶媒を留去した後、残渣をメタノールに懸濁させ、SCX カラムにて固相抽出処理をおこない、2M アンモニア/メタノール溶液で溶出させた溶出液を濃縮し、分取 HPLC で精製した。目的物を含むフラクションを濃縮し、無色粉末状の表題化合物を得た。結果を表 21 に示す。

【0 6 4 7】

【表 4 0 5】

表21

化合物No.8-	収量(mg)	収率(%)	MW	M+1
16	0.6	10	449.4	449.1

【0 6 4 8】

【実施例 9】

エオタキシンにより惹起される CCR3 発現細胞の細胞内カルシウム濃度上昇に対する被験化合物の阻害能の測定

CCR3 を安定して発現する K562 細胞を用いて、細胞内カルシウム濃度上昇に対する本発明による化合物の阻害能を次の方法にて測定した。

【0649】

CCR3発現K562細胞を、10mMHEPES (N-[2-hydroxyethyl] piperazine-N'-[2-ethanesulfonic acid]、ギブコBRL社製) 含有HBSS溶液 (Hanks' Balanced Salt Solution、ギブコBRL社製) に懸濁したものに、最終濃度が1mMとなるように、1mM Fura2アセトキシメチルエステル (同仁化学社製) を加え、37℃にて30分間インキュベートした。細胞を洗浄後、被検化合物と同時に96穴ホワイトプレート (ファルコン製) に添加し、一定時間後にアゴニストを添加し、これを340nmと380nmで励起し、340/380比をモニターすることにより、細胞内カルシウム濃度を測定した。アゴニストとしてヒトエオタキシン (ゼンザイム・テクネ社製) (0.5  $\mu$ g/ml) を使い、被験化合物の阻害能は、エオタキシンで刺激する5分前に、CCR3発現K562細胞を被験化合物で処理した時の、細胞内カルシウム濃度を測定し、下記の式により抑制率 (%) を算出した。

$$\text{抑制率 (\%)} = \{1 - (A - B) / (C - B)\} \times 100$$

(A : 被験化合物で処理した後エオタキシンで刺激したときの細胞内カルシウム濃度、B : 無刺激のときの細胞内カルシウム濃度、C : 被験化合物で処理せずにエオタキシンで刺激したときの細胞内カルシウム濃度)

本発明のピペリジン誘導体の阻害能を測定したところ、例えば、下記の化合物番号で表す化合物は、10  $\mu$ M又は2  $\mu$ Mの下記化合物の濃度において、それぞれ20~50%、50%~80%、又は80%以上の阻害能を示した。

10  $\mu$ Mの濃度で20%~50%の阻害能を示した化合物 :

化合物番号No1- : 1-7、1-9、2-5、2-6、2-8、2-12、2-13、2-15、2-16、2-18、2-21、2-22、2-24、2-29、2-31、2-35、2-43、2-45、2-48、2-56、2-70、2-71、2-77、2-85、2-96、2-100~2-103、2-107、2-108、2-116、2-128、2-129、2-136、2-141、2-146、2-147、2-176~2-180、3-8、3-55、3-56、3-58、5-37、5-98、5-104、5-113、5-1

18、5-122、5-125、5-127、5-141、6-4~6-6、6-8

10  $\mu$ Mの濃度において50%~80%の阻害能を示した化合物：

化合物番号No1-：1-3~1-6、1-10、1-11、2-2~2-4、2-23、2-30、2-33、2-34、2-39、2-41、2-42、2-47、2-49、2-51、2-54、2-57、2-60、2-61、2-64~2-66、2-73、2-80~2-82、2-84、2-89~2-91、2-95、2-106、2-109、2-112、2-113、2-115、2-120、2-122、2-123、2-127、2-130、2-133、2-134、2-137、2-138、2-142、2-142、2-170、2-173~2-175、3-7、3-9、4-29、5-20、5-21、5-30、5-36、5-39、5-40、5-42~5-45、5-49、5-65、5-72、5-96、5-97、5-99、5-101~5-103、5-108、5-109、5-111、5-115、5-117、5-119、5-121、5-128~5-130、5-134、5-135、5-137~5-139、5-142、5-147、5-148、5-154~5-158、5-167、5-168、5-174、5-175、5-180、5-181、5-183

化合物番号No2-：2~4

10  $\mu$ Mの濃度で80%以上の阻害能を示した化合物：

化合物番号No1-：1-1、1-8、2-1、2-14、2-36~2-38、2-40、2-50、2-52、2-72、2-75、2-98、2-117~2-119、2-121、2-124~2-126、2-131、2-149~2-151、2-153、2-154、3-2、3-13、3-15、3-17、3-18、3-21~3-23、3-25、3-26、3-28~3-30、3-32~3-38、3-42~3-52、3-59、3-61、3-62、5-22~5-29、5-31~5-35、5-38、5-41、5-46~5-48、5-50~5-64、5-66~5-71、5-88~5-93、5-95、5-107、5-110、5-114、5-116、5-120、5-1

23、5-124、5-126、5-131~5-133、5-136、5-140、5-143~5-146、5-149~5-153、5-159~5-166、5-169~5-173、5-176~5-179、5-182、6-7、6-9、6-11~6-13、6-15

化合物番号No2-:1

化合物番号No4-:1

2  $\mu$ Mの濃度で20%~50%の阻害能を示した化合物:

化合物番号No1-:2-156~2-159、2-163、2-164、3-14、3-24、3-27、3-40、4-1、4-3、4-4、4-6、5-15、5-16、5-74、5-75、5-77、5-79、5-82、5-84、5-85

化合物番号No2-:5、7、8、13、22、24、200、232、243、245、247、

2  $\mu$ Mの濃度で50%~80%の阻害能を示した化合物:

化合物番号No1-:2-166、2-168、2-169、3-4、3-11、3-16、3-31、4-12、4-15~4-17、5-7、5-8、5-14、5-19、5-73、5-76、5-78、5-80、5-81、5-83、5-86、5-188

化合物番号No2-:6、10、14、16、17、20、21、23、29、196、205、221、223、224、234、237、244、495

化合物番号No4-:5

化合物番号No7-:504

2  $\mu$ Mの濃度で80%以上の阻害能を示した化合物:

化合物番号No1-:2-160、2-162、2-165、2-167、3-1、3-3、3-5、3-6、4-10、4-11、4-13、4-14、4-18~4-21、5-1~5-6、5-9~5-13、5-17、5-18、5-184~5-187、5-189、5-190

化合物番号No2-:11、12、15、18、19、26~28、30、186~195、197~199、201~204、206~220、225~23

1、235、236、238～242、246、248～250、499、51

1、513、565

化合物番号No3-: 1～10、208、220、223、235、238、3  
68、504、505、511、523、525、526、527、555、5  
77

化合物番号No4-: 2～4、6～11

化合物番号No6-: 1

化合物番号No7-: 16、504

化合物番号No8-: 16

【0650】

〔実施例10〕

CCR3発現細胞膜画分へのエオタキシンの結合に対する阻害能の測定

ヒトCCR3発現K562細胞より調製した細胞膜画分を、0.5mg/mL  
になるようにアッセイバッファー(25mM HEPES、pH7.6、1mM  
CaCl<sub>2</sub>、5mM MgCl<sub>2</sub>、0.5% BSA(牛血清アルブミン、シグ  
マ社製)に懸濁し、膜画分懸濁液とした。被験化合物をアッセイバッファーで希  
釈した溶液を、被験化合物溶液とした。 [<sup>125</sup>I] 標識ヒトエオタキシン(アマ  
シヤム社製)を、1μCi/mLになるようにアッセイバッファーで希釈した溶  
液を標識リガンド溶液とした。0.5%BSAで被覆した96ウェルマイクロプ  
レート(ファルコン社製)に、1ウェルあたり、被験化合物溶液25μL、標識  
リガンド溶液25μL、膜画分懸濁液50μLの順番に分注し、攪拌後(反応溶  
液100μL)、25℃で90分間インキュベートした。

【0651】

反応終了後、あらかじめ0.5%ポリエチレンイミン溶液にフィルターを浸漬  
した96ウェルフィルタープレート(ミリポア社製)で、反応液をフィルター濾  
過し、フィルターを冷洗浄バッファー(アッセイバッファー+0.5M NaCl  
1)150μLで、4回洗浄した(冷洗浄バッファー150μLを加えた後、濾  
過した)。フィルターを風乾後、液体シンチレーター(MicroScient  
-O、パッカー社製)を、1ウェルあたり25μLずつ加え、フィルター上の

膜画分が保持する放射能をトップカウント（パッカー社製）にて測定した。

## 【0652】

被験化合物の代わりに非標識ヒトエオタキシン100ngを添加した時のカウントを非特異的吸着として差し引き、被験化合物を何も添加しない時のカウントを100%として、ヒトエオタキシンのCCR3膜画分への結合に対する被験化合物の阻害能を算出した。

$$\text{阻害率 (\%)} = \{1 - (A - B) / (C - B)\} \times 100$$

(A: 被験化合物添加時のカウント、B: 非標識ヒトエオタキシン100ng添加時のカウント、C: [<sup>125</sup>I] 標識ヒトエオタキシンのみ添加した時のカウント)

## 【0653】

## 【実施例11】

エオタキシンにより惹起されるCCR3発現細胞の細胞遊走に対する被験化合物の阻害能の測定

CCR3レセプターを安定して発現するL1.2細胞を用いて、細胞遊走能に対する本発明における化合物の阻害能を次の方法にて測定した。

## 【0654】

被検化合物を、0.5%BSA含有RPMI1640（ギブコBRL社製）溶液に懸濁したものに、アゴニストとしてヒトエオタキシン（ゼンザイム・テクネ社製）（20ng/mL）を加えたものを、96穴ケモタキシス・チャンバー（ニューロ・プローブ社製）の下層に入れ、ケモタキシス・チャンバー専用フィルターを上層チャンバーではさみこむようにしてセットした。上層チャンバーにも同じ被検化合物及びCCR3発現L1.2細胞を添加したのち、37℃で2時間インキュベートした。

## 【0655】

反応終了後、鑑別用血液染色液（ディフ・クイック、国際試薬社製）で専用フィルターを染色し、550nmの吸光度の測定を行い、下記の式にて抑制率（%）を算出した。

$$\text{抑制率 (\%)} = \{1 - (A - B) / (C - B)\} \times 100$$



(A : 被験化合物で処理された C C R 3 発現 L 1 . 2 細胞をエオタキシンで刺激したときの細胞遊走能、 B : 無刺激状態における細胞遊走能、 C : 被験化合物で処理せずにエオタキシンで刺激したときの細胞遊走能)

実施例 1 0、 1 1 において、本発明の化合物のいくつかを被験化合物として測定したところ、その阻害能は、それぞれ実施例 9 のものと本質的に同じであった。

【 0 6 5 6 】

【発明の効果】

本発明の式 ( I ) で表される化合物は、エオタキシン等の C C R 3 レセプターのリガンドが標的細胞に結合することを阻害する活性、及び、エオタキシン等の C C R 3 のリガンドの標的細胞への生理的作用を阻害する活性を有し、 C C R 3 拮抗剤として利用できる。

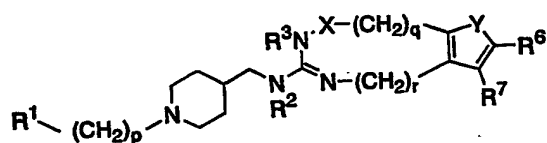
【書類名】 要約書

【要約】

【課題】 本発明の目的は、エオタキシン等のCCR3のリガンドが標的細胞上のCCR3に結合することを阻害する活性を有する低分子化合物、すなわちCCR3拮抗剤を提供することである。

【解決手段】 本発明は、下記式(I)で表わされるピペリジン誘導体、その薬学的に許容される酸付加体、又はその薬学的に許容されるC<sub>1</sub>~C<sub>6</sub>アルキル付加体、及びそれを有効成分として含有する、喘息、アレルギー性鼻炎等のCCR3が関与する疾患を治療及び／又は予防する作用を有する医薬組成物である。

【化1】



(I)

【選択図】 なし

特2002-240509

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